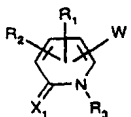
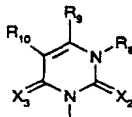
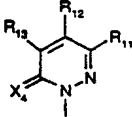
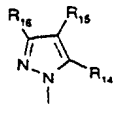
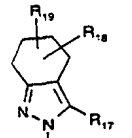
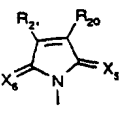
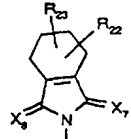
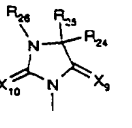
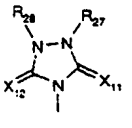
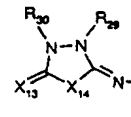
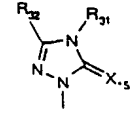




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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| <p>(51) International Patent Classification ⁶ : C07D 401/04, A01N 43/54, 43/58, 43/56, 43/50, 43/653</p> | <p>A1</p> | <p>(11) International Publication Number: WO 99/52893</p> <p>(43) International Publication Date: 21 October 1999 (21.10.99)</p> | | |
| <table style="width: 100%; border: none;"> <tr> <td style="width: 50%; vertical-align: top; padding: 5px;"> <p>(21) International Application Number: PCT/EP99/02313</p> <p>(22) International Filing Date: 6 April 1999 (06.04.99)</p> <p>(30) Priority Data: 840/98 8 April 1998 (08.04.98) CH</p> <p>(71) Applicant (for all designated States except AT US): NOVARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).</p> <p>(71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT MBH [AT/AT]; Brunner Strasse 59, A-1235 Vienna (AT).</p> <p>(72) Inventors; and (75) Inventors/Applicants (for US only): NEBEL, Kurt [CH/CH]; Baselweg 32, CH-4146 Hochwald (CH). KUNZ, Walter [CH/CH]; Buchenstrasse 9, CH-4104 Oberwil (CH). WENGER, Jean [CH/CH]; Zwischen den Rainen 374, CH-4323 Wallbach (CH).</p> <p>(74) Agent: BECKER, Konrad; Novartis AG, Corporate Intellectual Property, Patent & Trademark Dept., CH-4002 Basel (CH).</p> </td> <td style="width: 50%; vertical-align: top; padding: 5px;"> <p>(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).</p> <p>Published <i>With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i></p> </td> </tr> </table> | | | <p>(21) International Application Number: PCT/EP99/02313</p> <p>(22) International Filing Date: 6 April 1999 (06.04.99)</p> <p>(30) Priority Data: 840/98 8 April 1998 (08.04.98) CH</p> <p>(71) Applicant (for all designated States except AT US): NOVARTIS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).</p> <p>(71) Applicant (for AT only): NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT MBH [AT/AT]; Brunner Strasse 59, A-1235 Vienna (AT).</p> <p>(72) Inventors; and (75) Inventors/Applicants (for US only): NEBEL, Kurt [CH/CH]; Baselweg 32, CH-4146 Hochwald (CH). KUNZ, Walter [CH/CH]; Buchenstrasse 9, CH-4104 Oberwil (CH). WENGER, Jean [CH/CH]; Zwischen den Rainen 374, CH-4323 Wallbach (CH).</p> <p>(74) Agent: BECKER, Konrad; Novartis AG, Corporate Intellectual Property, Patent & Trademark Dept., CH-4002 Basel (CH).</p> | <p>(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).</p> <p>Published <i>With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i></p> |
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| <p>(54) Title: N-PYRIDONYL HERBICIDES</p> <div style="display: flex; flex-wrap: wrap; justify-content: space-around; align-items: flex-start; padding: 10px;"> <div style="text-align: center;">  <p>(I)</p> </div> <div style="text-align: center;">  <p>(W₁)</p> </div> <div style="text-align: center;">  <p>(W₂)</p> </div> <div style="text-align: center;">  <p>(W₃)</p> </div> <div style="text-align: center;">  <p>(W₄)</p> </div> <div style="text-align: center;">  <p>(W₅)</p> </div> <div style="text-align: center;">  <p>(W₆)</p> </div> <div style="text-align: center;">  <p>(W₇)</p> </div> <div style="text-align: center;">  <p>(W₈)</p> </div> <div style="text-align: center;">  <p>(W₉)</p> </div> <div style="text-align: center;">  <p>(W₁₀)</p> </div> </div> | | | | |
| <p>(57) Abstract</p> <p>Compounds of formula (I), wherein R₁ is hydrogen, fluorine, chlorine, bromine or methyl; R₂ is C₁–C₄alkyl, C₁–C₄haloalkyl, halogen, nitro, amino, cyano or R₄₃O–; R₃ is as in claim 1; X₁ is oxygen or sulfur; W is a group (W₁), (W₂), (W₃), (W₄), (W₅), (W₆), (W₇), (W₈), (W₉) or (W₁₀); and R₈ to R₃₂, R₄₃ and X₂ to X₁₅ are defined in claim 1, and the agrochemically acceptable salts and stereoisomers of such compounds of formula (I), are suitable for use as herbicides.</p> | | | | |

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N-PYRIDONYL HERBICIDES

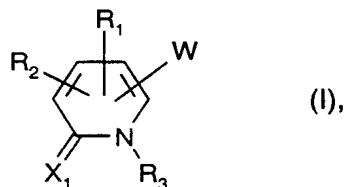
The present invention relates to novel, herbicidally active substituted N-pyridonyl nitrogen heterocycles, to processes for the preparation thereof, to compositions comprising those compounds, and to the use thereof in the control of weeds, especially in crops of useful plants, for example cereals, maize, rice, cotton, soybeans, rape, sorghum, sugar cane, sugar beet, sunflowers, vegetables, plantation crops and fodder plants, or in the inhibition of plant growth, and also in the non-selective control of weeds.

N-Pyridyl-pyrazoles and N-pyridyl-tetramethylenetriazolidine-dione compounds having herbicidal activity are described, for example, in DE-A-3 917 469, DE-A-19 518 054, DE-A-19 530 606 and US-A-5 306 694.

N-(2-Pyridyl)-pyridazinone compounds having herbicidal activity are described, for example, in JP-A-58-213 776.

Novel N-pyridonyl nitrogen heterocycles having herbicidal and growth-inhibiting properties have now been found.

The present invention therefore relates to compounds of formula I



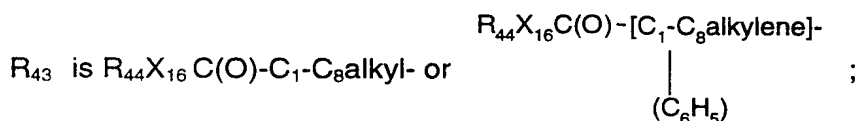
wherein

R₁ is hydrogen, fluorine, chlorine, bromine or methyl;

R₂ is C₁-C₄alkyl, C₁-C₄haloalkyl, halogen, nitro, amino, cyano or R₄₃O- ;

R₄₃ is hydrogen, C₁-C₈alkyl, C₃-C₈alkenyl, C₃-C₈alkynyl, C₃-C₆cycloalkyl, C₁-C₈haloalkyl, cyano-C₁-C₈alkyl, C₃-C₈haloalkenyl, hydroxy-C₁-C₄alkyl, C₁-C₄alkoxy-C₁-C₄alkyl, C₃-C₆-alkenyloxy-C₁-C₄alkyl, C₃-C₆alkynyloxy-C₁-C₄alkyl, C₁-C₄alkoxy-C₁-C₄alkoxy-C₁-C₄-alkyl, C₁-C₄alkylthio-C₁-C₄alkyl, C₁-C₈alkylcarbonyl, C₁-C₈alkoxycarbonyl, C₃-C₈alkenyl-oxycarbonyl, benzyloxy-C₁- or -C₂-alkyl, benzylcarbonyl, benzyloxycarbonyl, phenyl, phenyl-C₂-C₈alkyl, benzyl, pyridyl, pyrimidinyl, pyrazinyl or pyridazinyl, those aromatic

and heteroaromatic rings being unsubstituted or mono- to tri-substituted by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl; or



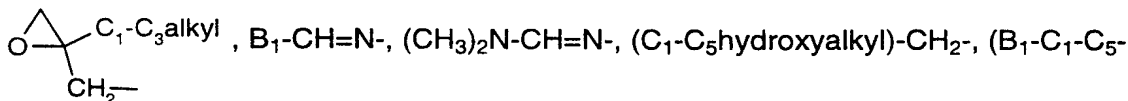
X₁₆ is oxygen, sulfur or $\begin{array}{c} R_{45}N \\ | \end{array}$;

R₄₄ is hydrogen, C₁-C₈alkyl, C₃-C₈alkenyl, C₃-C₈alkynyl, C₃-C₆cycloalkyl, C₁-C₈haloalkyl, C₃-C₈haloalkenyl, C₁-C₄alkoxy-C₁-C₄alkyl, C₃-C₆alkenyloxy-C₁-C₄alkyl, C₁-C₄alkylthio-C₁-C₄alkyl, phenyl, phenyl mono- to tri-substituted by halogen, C₁-C₄alkyl or by C₁-C₄-haloalkyl, benzyl or benzyl mono- to tri-substituted on the phenyl ring by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl;

R₄₅ is hydrogen, C₁-C₈alkyl, C₃-C₈alkenyl, C₃-C₈alkynyl, C₃-C₆cycloalkyl, C₁-C₈haloalkyl or benzyl;

R₃ is hydroxy, C₁-C₆alkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, C₁-C₆haloalkoxy, C₃-C₆-haloalkenyloxy, C₁-C₆alkoxy-C₁-C₆alkyl, C₃-C₆alkenyloxy-C₁-C₆alkyl, C₃-C₆alkynyloxy-C₁-C₆alkyl, C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkyl, B₁-C₁-C₆alkoxy, R₄(R₅)N-, C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₂-C₆haloalkyl, C₃-C₆haloalkenyl, C₃-C₆cycloalkyl, C₃-C₆-halocycloalkyl, B₁-C₁-C₆alkyl, OHC-, C₁-C₆alkylcarbonyl, C₁-C₆alkylcarbonyloxy, C₁-C₆haloalkylcarbonyl, C₂-C₆alkenylcarbonyl, C₁-C₆alkoxycarbonyl, C₁-C₆alkyl-S(O)₂-,

C₁-C₆haloalkyl-S(O)₂-, C₃-C₈trialkylsilyloxy, (C₁-C₆alkyl)₂N-N=CH-, -CH₂-,



hydroxyalkyl)-CH₂-, (B₁-C₁-C₅haloalkyl)-CH₂-, (hydroxy-C₁-C₅alkyl)-O- or (B₁-C₁-C₅-hydroxyalkyl)-O-;

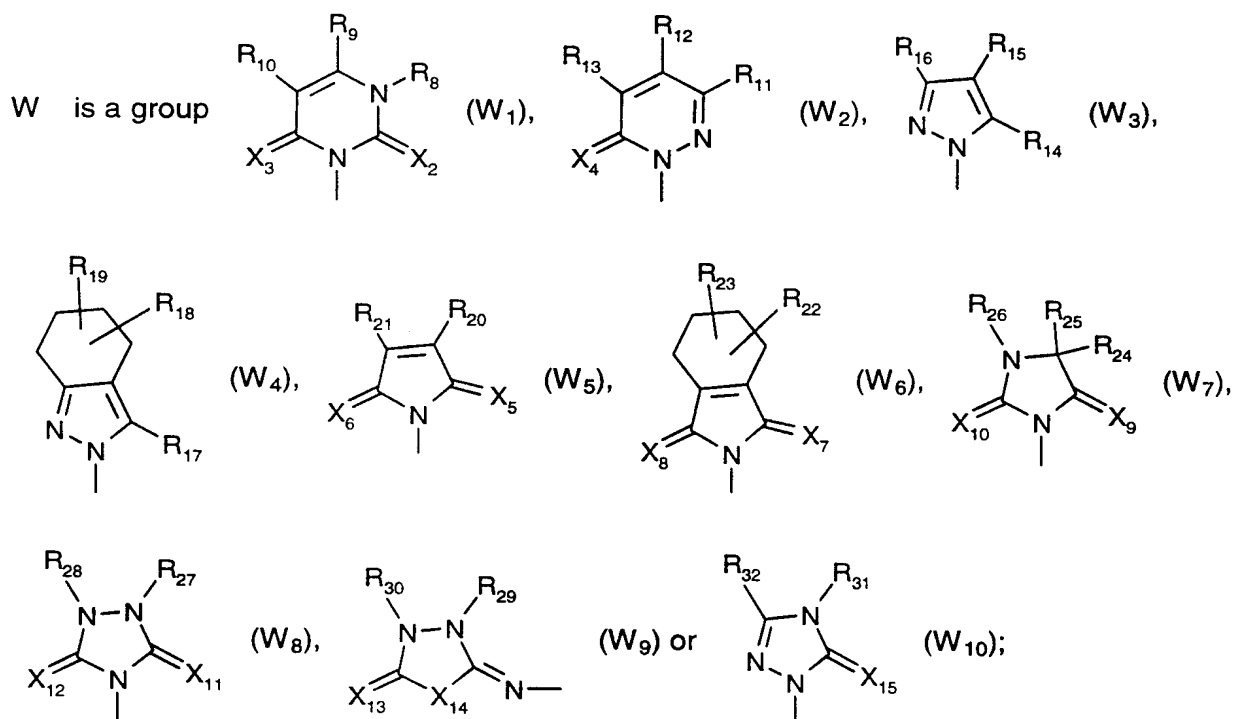
B₁ is cyano, OHC-, HOC(O)-, C₁-C₆alkylcarbonyl, C₁-C₆haloalkylcarbonyl, C₁-C₆alkoxycarbonyl, C₃-C₆alkenyloxycarbonyl, C₃-C₆alkynyloxycarbonyl, benzyloxy, benzyloxy-carbonyl, benzyloxycarbonyl mono- to tri-substituted on the phenyl ring by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl, benzylthio, benzylthiocarbonyl, benzylthiocarbonyl mono- to tri-substituted on the phenyl ring by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl, C₁-C₆haloalkoxycarbonyl, C₁-C₆alkylthio-C(O)-, R₆(R₇)NC(O)-, phenyl, phenyl mono- to

tri-substituted by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl, C₁-C₆alkyl-S(O)₂-, C₁-C₆alkyl-S(O)-, C₁-C₆alkylthio-, C₃-C₆cycloalkyl, C₁-C₆alkoxy, C₃-C₆alkenylthio or C₃-C₆alkynylthio;

R₄ and R₅ are each independently of the other hydrogen, C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₁-C₆haloalkyl, C₃-C₆haloalkenyl, C₃-C₆cycloalkyl, C₁-C₆alkoxy-C₁-C₆alkyl, OHC-, C₁-C₆alkylcarbonyl, C₁-C₆haloalkylcarbonyl, C₁-C₆alkyl-S(O)₂- or C₁-C₆haloalkyl-S(O)₂-;

R₆ and R₇ are each independently of the other hydrogen, C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₁-C₆haloalkyl, C₃-C₆haloalkenyl, phenyl, phenyl mono- to tri-substituted by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl, benzyl or benzyl mono- to tri-substituted on the phenyl ring by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl;

X₁ is oxygen or sulfur;



R₈ is C₁-C₃alkyl, C₁-C₃haloalkyl or amino;

R₉ is C₁-C₃haloalkyl, C₁-C₃alkyl-S(O)_{n1}, C₁-C₃haloalkyl-S(O)_{n1} or cyano; or

R₈ and R₉ together form a C₃- or C₄-alkylene bridge or C₃- or C₄-alkenylene bridge, each of which may be substituted by halogen, C₁-C₃haloalkyl or by cyano;

n₁ is 0, 1 or 2;

R₁₀ is hydrogen, C₁-C₃alkyl, halogen, C₁-C₃haloalkyl or cyano; or

R₁₀ and R₉ together form a C₃- or C₄-alkylene bridge or C₃- or C₄-alkenylene bridge, each of which may be substituted by halogen, C₁-C₃haloalkyl or by cyano;

R₁₁ is hydrogen, C₁-C₃alkyl, halogen or cyano;

R₁₂ is C₁-C₃haloalkyl;

R₁₂ and R₁₁ together form a C₃- or C₄-alkylene bridge or C₃- or C₄-alkenylene bridge;

R₁₃ is hydrogen, C₁-C₃alkyl or halogen;

R₁₃ and R₁₂ together form a C₃- or C₄-alkylene bridge or C₃- or C₄-alkenylene bridge;

R₁₄ is hydrogen, C₁-C₃alkyl, halogen, C₁-C₃haloalkyl, R₃₃O-, R₃₄S(O)_{n2}, R₃₅(R₃₆)N,

R₃₈(R₃₉)N-C(R₃₇)=N-, hydroxy, nitro or N≡C-S- ;

R₃₃ is C₁-C₃alkyl, C₁-C₃haloalkyl, C₂-C₄alkenyl, C₃- or C₄-alkynyl or C₁-C₅alkoxycarbonyl-C₁-C₄alkyl;

R₃₄ is C₁-C₄alkyl or C₁-C₄haloalkyl;

n₂ is 0, 1 or 2;

R₃₅ is hydrogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₃-C₆cycloalkyl, OHC- or C₁-C₄alkylcarbonyl;

R₃₆, R₃₇ and R₃₉ are each independently of the others hydrogen or C₁-C₄alkyl;

R₃₈ is C₁-C₄alkyl;

R₁₅ is hydrogen, C₁-C₄alkyl, halogen, C₁-C₄haloalkyl, C₂-C₄alkenyl, C₃-C₅haloalkenyl, C₃- or C₄-alkynyl, C₁-C₄alkoxy, C₁-C₄alkylcarbonyl, C₁-C₄haloalkylcarbonyl, C₂-C₄alkenylcarbonyl, C₂-C₄haloalkenylcarbonyl, C₂-C₄alkynylcarbonyl, C₂-C₄haloalkynylcarbonyl, C₁-C₄alkoxycarbonyl, C₁-C₄alkylcarbonyl, C₁-C₄alkylS(O)_{n3}, C₃- or C₄-alkynylS(O)_{n3}, OHC-, nitro, amino, cyano or N≡C-S- ;

n₃ is 0, 1 or 2;

R₁₆ and R₁₇ are each independently of the other hydrogen, C₁-C₄alkyl, halogen, C₁-C₄haloalkyl or cyano;

R₁₈ and R₁₉ are each independently of the other hydrogen, methyl, halogen, hydroxy or =O;

R₂₀ and R₂₁ are each independently of the other hydrogen, C₁-C₄alkyl or C₁-C₄haloalkyl;

R₂₂ and R₂₃ are each independently of the other hydrogen, C₁-C₃alkyl, halogen or hydroxy;

R₂₄ and R₂₅ are each independently of the other hydrogen or C₁-C₄alkyl; or

R₂₄ and R₂₅ together form the group
$$\begin{array}{c} \text{R}_{40} \\ \diagup \\ \text{C} \\ \diagdown \\ \text{R}_{41} \end{array} =$$
 ;

R₄₀ and R₄₁ are each independently of the other C₁-C₄alkyl; or

R₄₀ and R₄₁ together form a C₄- or C₅-alkylene bridge;

R₂₆ is hydrogen or C₁-C₃alkyl ; or

R₂₆ together with R₂₅ forms a C₃-C₅alkylene bridge, which may be interrupted by oxygen and/or substituted by halogen, C₁-C₄alkyl, C₂-C₄alkenyl, C₁-C₃haloalkyl, C₁-C₃alkyl-carbonyloxy, C₁-C₄alkoxycarbonyl, C₁-C₃alkylsulfonyloxy, hydroxy or by =O;

R₂₇, R₂₈, R₂₉ and R₃₀ are each independently of the others hydrogen, C₁-C₃alkyl, C₃- or C₄-alkenyl or C₃-C₅alkynyl; or

R₂₇ and R₂₈ together and/or R₂₉ and R₃₀ together in each case form a C₂-C₅alkylene bridge or C₃-C₅alkenylene bridge, each of which may be interrupted by oxygen, sulfur or -S(O)₂- and/or substituted by halogen, C₁-C₄alkyl, C₂-C₄alkenyl, C₁-C₃alkylcarbonyloxy, C₁-C₃alkylsulfonyloxy, hydroxy or by =O;

R₃₁ is hydrogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₃- or C₄-alkenyl, C₃- or C₄-haloalkenyl or C₃- or C₄-alkynyl;

R₃₂ is hydrogen, C₁-C₄alkyl, C₁-C₃alkoxy-C₁- or -C₂-alkyl, C₁-C₄haloalkyl, C₃- or C₄-alkenyl, C₃- or C₄-haloalkenyl or C₃- or C₄-alkynyl; or

R₃₂ and R₃₁ together form a C₃-C₅alkylene bridge; and

X₂, X₃, X₄, X₅, X₆, X₇, X₈, X₉, X₁₀, X₁₁, X₁₂, X₁₃, X₁₄ and X₁₅ are each independently of the others oxygen or sulfur,

and the agrochemically acceptable salts and stereoisomers of those compounds of formula I.

In the above definitions, halogen is to be understood as being iodine or, preferably, fluorine, chlorine or bromine.

The alkyl, alkenyl and alkynyl groups in the substituent definitions may be straight-chain or branched, this applying also to the alkyl, alkenyl and alkynyl moiety of the following groups: alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, hydroxyalkyl, cyanoalkyl, alkoxyalkyl, alkoxyalkoxyalkyl, alkylthio, alkenylthio, alkynylthio, alkylthio-C(O)-, alkylsulfinyl, alkylsulfonyl, alkylaminocarbonyl, dialkylaminocarbonyl, (alkyl)₂N-N=CH-, alkylcarbamoyl, trialkylsilyloxy, B₁-alkyl and HOC(O)-alkyl.

Alkyl groups are, for example, methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, tert-butyl and the various isomeric pentyl and hexyl radicals. Methyl, ethyl, n-propyl, isopropyl and n-butyl are preferred.

Examples of alkenyl radicals that may be mentioned are vinyl, allyl, methallyl, 1-methylvinyl, but-2-en-1-yl, pentenyl and 2-hexenyl, with preference being given to alkenyl radicals

having a chain length of from 3 to 5 carbon atoms.

Examples of alkynyl radicals that may be mentioned are ethynyl, propargyl, 1-methyl-propargyl, 3-butylnyl, but-2-yn-1-yl, 2-methylbutyn-2-yl, but-3-yn-2-yl, 1-pentylnyl, pent-4-yn-1-yl and 2-hexynyl, with preference being given to alkynyl radicals having a chain length of from 2 to 4 carbon atoms.

Suitable haloalkyl radicals are alkyl groups that are mono- or poly-substituted, especially mono- to tri-substituted, by halogen, halogen being in particular iodine or especially fluorine, chlorine or bromine, for example fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2-fluoroethyl, 2,2-difluoroethyl, 2-chloroethyl, 2,2-dichloroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl and pentafluoroethyl.

Suitable haloalkenyl radicals are alkenyl groups mono- or poly-substituted by halogen, halogen being in particular bromine, iodine or especially fluorine or chlorine, for example 2- or 3-fluoropropenyl, 2- or 3-chloropropenyl, 2- or 3-bromopropenyl, 2,3,3-trifluoropropenyl, 2,3,3-trichloropropenyl, 4,4,4-trifluorobut-2-en-1-yl and 4,4,4-trichlorobut-2-en-1-yl. Of the alkenyl radicals mono-, di- or tri-substituted by halogen, preference is given to those having a chain length of 3 or 4 carbon atoms. The alkenyl groups may be substituted by halogen at saturated or unsaturated carbon atoms.

Suitable haloalkynyl radicals are, for example, alkynyl groups mono- or poly-substituted by halogen, halogen being bromine, iodine or especially fluorine or chlorine, for example 3-fluoropropynyl, 3-chloropropynyl, 3-bromopropynyl, 3,3,3-trifluoropropynyl and 4,4,4-trifluoro-but-2-yn-1-yl.

Alkylthio is, for example, methylthio, ethylthio, propylthio or butylthio or a branched isomer thereof.

Alkylsulfonyl is, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, n-butylsulfonyl, isobutylsulfonyl, sec-butylsulfonyl, tert-butylsulfonyl or an isomer of pentylsulfonyl or hexylsulfonyl; preferably methylsulfonyl or ethylsulfonyl.

Haloalkylsulfonyl is, for example, fluoromethylsulfonyl, difluoromethylsulfonyl, trifluoromethylsulfonyl, chloromethylsulfonyl, trichloromethylsulfonyl, 2-fluoroethylsulfonyl, 2,2,2-trifluoroethylsulfonyl or 2,2,2-trichloroethylsulfonyl.

Cyanoalkyl is, for example, cyanomethyl, cyanoethyl, cyanoeth-1-yl or cyanopropyl.

Hydroxyalkyl is, for example, hydroxymethyl, 2-hydroxyethyl or 3-hydroxypropyl.

Alkylamino is, for example, methylamino, ethylamino or an isomer of propylamino or butylamino.

Dialkylamino is, for example, dimethylamino, diethylamino or an isomer of dipropylamino or dibutylamino.

Alkenylamino is, for example, allylamino, methallylamino or but-2-en-1-ylamino.

Alkynylamino is, for example, propargylamino or 1-methylpropargylamino.

Haloalkylamino is, for example, chloroethylamino, trifluoroethylamino or 3-chloropropylamino.

Di(haloalkyl)amino is, for example, di(2-chloroethyl)amino.

Alkylcarbonyl is especially acetyl or propionyl.

Haloalkylcarbonyl is especially trifluoroacetyl, trichloroacetyl, 3,3,3-trifluoropropionyl or 3,3,3-trichloropropionyl.

Alkenylcarbonyl is especially vinylcarbonyl, allylcarbonyl, methallylcarbonyl, but-2-en-1-ylcarbonyl, pentenylcarbonyl or 2-hexenylcarbonyl.

Alkynylcarbonyl is especially acetylenecarbonyl, propargylcarbonyl, 1-methylpropargylcarbonyl, 3-butynylcarbonyl, but-2-yn-1-ylcarbonyl or pent-4-yn-1-ylcarbonyl.

Alkoxy is, for example, methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy or an isomer of pentyloxy or hexyloxy.

Alkenyloxy is, for example, allyloxy, methallyloxy or but-2-en-1-yloxy.

Alkynyloxy is, for example, propargyloxy or 1-methylpropargyloxy.

Alkoxyalkyl is, for example, methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, n-propoxymethyl, n-propoxyethyl, isopropoxymethyl or isopropoxyethyl.

Alkenyloxyalkyl is, for example, allyloxyalkyl, methallyloxyalkyl or but-2-en-1-yloxyalkyl.

Alkynyloxyalkyl is, for example, propargyloxyalkyl or 1-methylpropargyloxyalkyl.

Alkoxycarbonyl is, for example, methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl or n-butoxycarbonyl, preferably methoxycarbonyl or ethoxycarbonyl.

Alkenyloxycarbonyl is, for example, allyloxycarbonyl, methallyloxycarbonyl, but-2-en-1-yloxycarbonyl, pentenyloxycarbonyl or 2-hexenyloxycarbonyl.

Alkynyloxycarbonyl is, for example, propargyloxycarbonyl, 3-butynyloxycarbonyl, but-2-yn-1-yloxycarbonyl or 2-methylbutyn-2-yloxycarbonyl.

Haloalkoxy is, for example, fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, 1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy or 2,2,2-trichloroethoxy.

Suitable haloalkenyloxy radicals are alkenyloxy groups mono- or poly-substituted by halogen, halogen being in particular bromine, iodine or especially fluorine or chlorine, for example 2- or 3-fluoropropenyloxy, 2- or 3-chloropropenyloxy, 2- or 3-bromopropenyloxy, 2,3,3-trifluoropropenyloxy, 2,3,3-trichloropropenyloxy, 4,4,4-trifluoro-but-2-en-1-yloxy or

4,4,4-trichlorobut-2-en-1-yloxy.

The cycloalkyl radicals suitable as substituents are, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The halocycloalkyl radicals suitable as substituents are, for example, mono-, di- or up to per-halogenated cycloalkyl radicals, for example fluorocyclopropyl, chlorocyclopropyl, bromocyclopropyl, 2,2-dichlorocyclopropyl, 2,2-difluorocyclopropyl, 2,2-dibromocyclopropyl, 2-fluoro-2-chlorocyclopropyl, 2-chloro-2-bromocyclopropyl, 2,2,3,3-tetrafluorocyclopropyl, 2,2,3,3-tetrachlorocyclopropyl, pentafluorocyclopropyl, fluorocyclobutyl, chlorocyclobutyl, 2,2-difluorocyclobutyl, 2,2,3,3-tetrafluorocyclobutyl, 2,2,3-trifluoro-3-chlorocyclobutyl, 2,2-dichloro-3,3-difluorocyclobutyl, fluorocyclopentyl, difluorocyclopentyl, chlorocyclopentyl, perfluorocyclopentyl, chlorocyclohexyl and pentachlorocyclohexyl.

Corresponding meanings may also be given to the substituents in combined definitions, such as, for example, alkylcarbonyloxy, alkoxyalkoxyalkyl, alkoxycarbonylalkyl, haloalkoxy-carbonyl, haloalkylcarbonyl, haloalkenylcarbonyl, haloalkynylcarbonyl, alkylthio-C(O)-, alkenylthio, alkynylthio, alkyl-S(O)-, alkylsulfonyloxy, $R_{33}O-$, $R_4(R_5)N-$, $R_{35}(R_{36})N-$, $R_6(R_7)NC(O)-$, $R_{38}(R_{39})N-C(R_{37})=N-$, $R_{34}S(O)_{n2}$, B_1 -alkyl, B_1 -alkoxy, $B_1-CH=N-$, $(B_1\text{-haloalkyl})-CH_2-$ and $(B_1\text{-hydroxyalkyl})-CH_2-$.

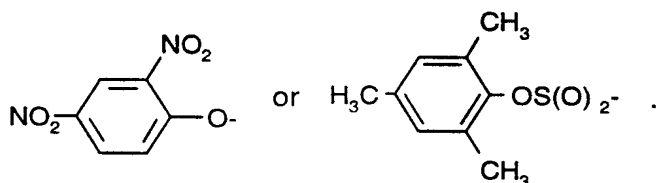
In the definition of R_3 , $(C_1-C_5\text{hydroxyalkyl})-CH_2-$, $(B_1-C_1-C_5\text{hydroxyalkyl})-CH_2-$ and $(B_1-C_1-C_5\text{haloalkyl})-CH_2-$ signify that only the C_1-C_5 alkyl moiety is hydroxylated or halogenated, that is to say the methylene group is not hydroxylated or halogenated.

L in the reagents of formulae VI, XI, XIX, XXVIII, XXXIa, XXXIb and XXXXII denotes a leaving group, such as, for example, halogen, preferably chlorine, bromine or iodine,

C_1-C_3 alkyl- or aryl-sulfonyloxy, preferably CH_3SO_2O- or CH_3 -- SO_2O- , or

C_1-C_6 alkylcarbonyloxy, preferably acetyloxy.

L_1 in the reagent of formula XX denotes a leaving group, such as, for example, $HOS(O)_2O-$,



L_2 in the reagents of formulae XXXIIa and XXXIIc denotes a leaving group, such as, for example, hydroxy, C_1-C_4 alkoxy or halogen, preferably chlorine, bromine or iodine.

L₃ in the reagent of formula XXXVIII denotes a leaving group, such as, for example, chlorine

or bromine, trichloromethoxy or .

In the definitions of cyanoalkyl, alkylcarbonyl, alkylcarbonyloxy, alkenylcarbonyl, haloalkenylcarbonyl, alkynylcarbonyl, alkoxycarbonyl, alkylthiocarbonyl and haloalkylcarbonyl, the upper and lower limits of the number of carbon atoms given in each case do not include the cyano or carbonyl carbon atom, as the case may be.

The invention relates also to the salts that the compounds of formula I having azide hydrogen, especially the derivatives with carboxylic acid and sulfonamide groups (e.g. carboxyl-substituted alkyl and alkoxy groups and alkyl-S(O)₂NH and haloalkyl-S(O)₂NH groups) are able to form with bases. Those salts are, for example, alkali metal salts, e.g. sodium and potassium salts; alkaline earth metal salts, e.g. calcium and magnesium salts; ammonium salts, that is to say unsubstituted ammonium salts and mono- or poly-substituted ammonium salts, e.g. triethylammonium and methylammonium salts; or salts with other organic bases.

Among the alkali metal and alkaline earth metal hydroxides as salt formers, attention is drawn, for example, to the hydroxides of lithium, sodium, potassium, magnesium and calcium, but especially to the hydroxides of sodium and potassium. Suitable salt formers are described, for example, in WO 97/41112.

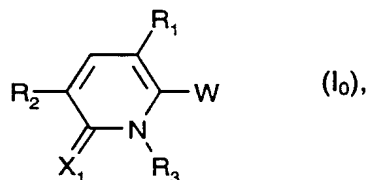
Examples of amines suitable for ammonium salt formation include ammonia as well as primary, secondary and tertiary C₁-C₁₈alkylamines, C₁-C₄hydroxyalkylamines and C₂-C₄-alkoxyalkylamines, for example methylamine, ethylamine, n-propylamine, isopropylamine, the four isomers of butylamine, n-amylamine, isoamylamine, hexylamine, heptylamine, octylamine, nonylamine, decylamine, pentadecylamine, hexadecylamine, heptadecylamine, octadecylamine, methylethylamine, methylisopropylamine, methylhexylamine, methylnonylamine, methylpentadecylamine, methyloctadecylamine, ethylbutylamine, ethylheptylamine, ethyloctylamine, hexylheptylamine, hexyloctylamine, dimethylamine, diethylamine, di-n-propylamine, diisopropylamine, di-n-butylamine, di-n-amylamine, diisoamylamine, dihexylamine, diheptylamine, dioctylamine, ethanolamine, n-propanolamine, isopropanolamine, N,N-diethanolamine, N-ethylpropanolamine, N-butylethanolamine, allylamine, n-butenyl-2-amine, n-pentenyl-2-amine, 2,3-dimethylbutenyl-2-amine, dibutenyl-2-amine, n-hexenyl-2-amine, propylenediamine, trimethylamine, triethylamine, tri-n-propylamine, triisopropylamine, tri-n-butylamine, triisobutylamine, tri-sec-butylamine, tri-n-amylamine,

methoxyethylamine and ethoxyethylamine; heterocyclic amines, for example pyridine, quinoline, isoquinoline, morpholine, thiomorpholine, piperidine, pyrrolidine, indoline, quinuclidine and azepine; primary arylamines, for example anilines, methoxyanilines, ethoxyanilines, o-, m- and p-toluidines, phenylenediamines, benzidines, naphthylamines and o-, m- and p-chloroanilines; but especially triethylamine, isopropylamine and diisopropylamine.

The salts of compounds of formula I having basic groups, especially having basic pyrazolyl rings (W_3 , W_4), or the derivatives with amino groups, for example alkylamino and dialkylamino groups, in the definition of R_3 , R_8 or R_{14} are, for example, salts with inorganic or organic acids, for example hydrohalic acids, such as hydrofluoric acid, hydrochloric acid, hydrobromic acid or hydriodic acid, and also sulfuric acid, phosphoric acid and nitric acid, and organic acids, such as acetic acid, trifluoroacetic acid, trichloroacetic acid, propionic acid, glycolic acid, thiocyanic acid, citric acid, benzoic acid, oxalic acid, formic acid, benzenesulfonic acid, p-toluenesulfonic acid and methanesulfonic acid.

The presence of an asymmetric carbon atom in the compounds of formula I, e.g. in the substituent R_3 where R_3 is a branched alkyl, alkenyl, haloalkyl or alkoxyalkyl group or R_3 is $(B_1-C_1-C_5\text{hydroxyalkyl})-CH_2-$, wherein e.g. B_1 is $C_1-C_6\text{alkyl-S(O)-}$, means that the compounds may be in the form of optically active individual isomers or in the form of racemic mixtures. In the present invention, "compounds of formula I" is to be understood as including both the pure optical antipodes and the racemates or diastereoisomers. When an aliphatic $C=C$ or $C=N-O$ double bond (syn/anti) is present, geometric isomerism may occur. The present invention relates to those isomers also.

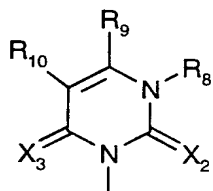
Preference is given to compounds of formula I_0



wherein

R_1 is hydrogen, fluorine, chlorine, bromine or methyl; R_2 is methyl, halogen, hydroxy, nitro, amino or cyano; and R_3 , X_1 and W are as defined for formula I.

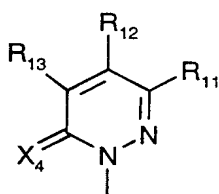
Preference is also given to compounds of formula I wherein W is the group



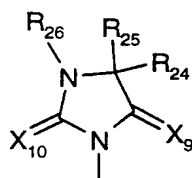
(W₁); and R₈, R₉, R₁₀, X₂ and X₃ are as defined for formula I. Of those

compounds, special preference is given to those wherein R₈ is methyl; R₉ is trifluoromethyl; R₁₀ is hydrogen; and X₂ and X₃ are oxygen.

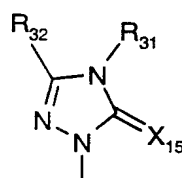
Also preferred are compounds of formula I wherein W is a group



(W₂),



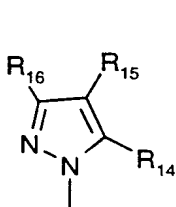
(W₇) or



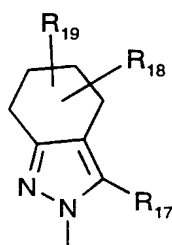
(W₁₀);

and R₁₁, R₁₂, R₁₃, R₂₄, R₂₅, R₂₆, R₃₁, R₃₂, X₄, X₉, X₁₀ and X₁₅ are as defined for formula I.

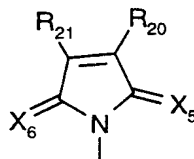
Preferred compounds of formula I are those wherein W is a group



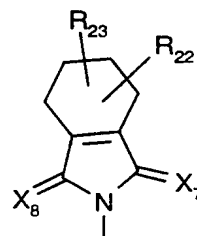
(W₃),



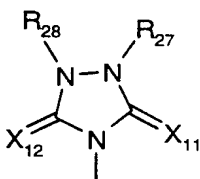
(W₄),



(W₅),



(W₆) or



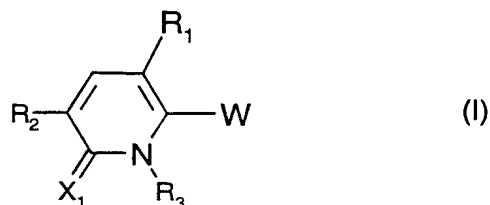
(W₈); and R₁₄, R₁₅, R₁₆, R₁₇, R₁₈, R₁₉, R₂₀, R₂₁, R₂₂, R₂₃, R₂₇, R₂₈, X₅, X₆, X₇,

X₈, X₁₁ and X₁₂ are as defined for formula I.

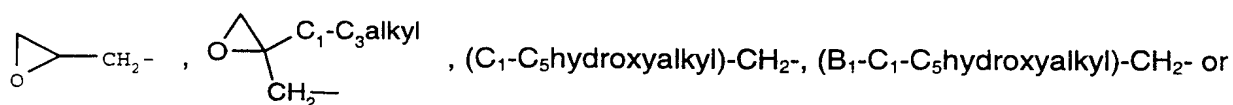
Also preferred are compounds of formula I wherein R₁ is hydrogen, fluorine or chlorine; R₂ is chlorine, bromine, cyano or CF₃; and X₁ is oxygen.

Of those compounds, special preference is given to those wherein R₁ is fluorine or chlorine; and R₂ is chlorine, bromine or cyano, and of those compounds special importance is attached to those wherein R₁ is fluorine; and R₂ is chlorine.

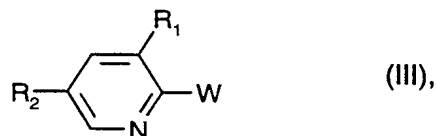
The process according to the invention for the preparation of compounds of formula I



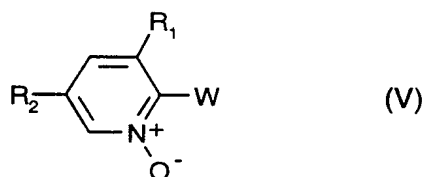
wherein R₁, R₂ and W are as defined for formula I; X₁ is O or S; R₃ is C₁-C₆alkoxy-C₁-C₆-alkyl, C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₂-C₆-haloalkyl, C₃-C₆haloalkenyl, C₃-C₆cycloalkyl, C₃-C₆halocycloalkyl, B₁-C₁-C₆alkyl,



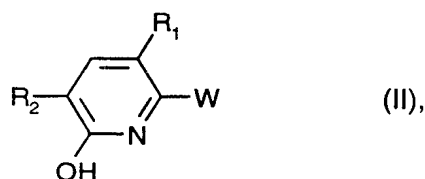
is carried out analogously to known procedures, for example as described in CH Application No. 695/97 and references given therein, and comprises oxidising a compound of formula III



for example with hydrogen peroxide/urea adduct in the presence of a carboxylic acid and/or a carboxylic acid anhydride, organic peracid or persulfonic acid (Caro's acid) in a suitable solvent, to form a compound of formula V



and then rearranging that compound in an inert solvent in the presence of an anhydride or in the presence of antimony pentachloride (Katada reaction) to yield, after aqueous working-up and purification, a compound of formula II



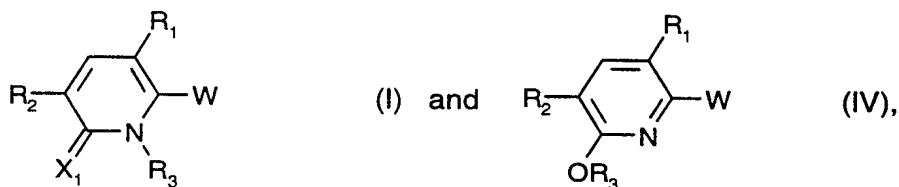
the radicals R_1 , R_2 and W in the compounds of formulae II, III and V being as defined, and then alkylating that compound in the presence of an inert solvent and a base with a compound of formula VI



wherein R_3 is as defined and L is a leaving group, preferably chlorine, bromine, iodine,

$CH_3SO_2O^-$ or $CH_3-C_6H_4-SO_2O^-$, in a suitable inert solvent and a base to form the isomeric

compounds of formulae I and IV

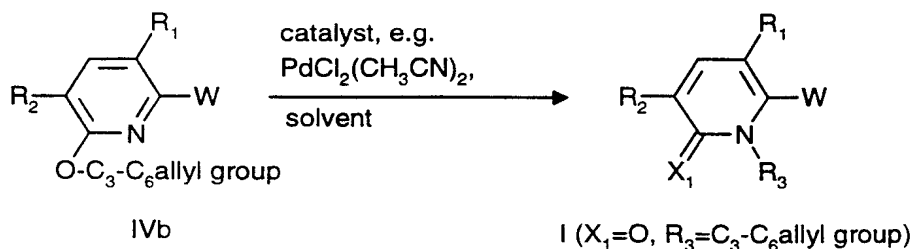


wherein R_1 , R_2 , R_3 and W are as defined and X_1 is O, and then, after the compound of formula I has been separated from the pyridol derivative of formula IV, optionally functionalising the pyridono derivative of formula I further in accordance with the definition of X_1 and R_3 , for example with the aid of a suitable sulfur reagent to form the corresponding pyridinethione derivative ($X_1 = S$).

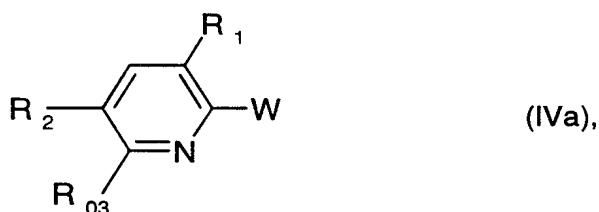
When R_3 in the pyridol derivative of formula IV is an allyl group or a homolog thereof ($R_3 = C_3-C_6$ allyl group), those pyridol derivatives of formula IVb in Reaction Scheme 1 may be rearranged in a manner analogous to that described, for example, in J. Org. Chem. 50, 764 (1985) and Tetrahedron Lett. 1979, 3949, in the presence of a suitable catalyst, for example palladium(II) chloride/diacetonitrile or palladium(II) chloride/phenylacetonitrile complex, to form the isomeric N-allylated pyridone derivative of formula I ($R_3 = C_3-C_6$ allyl group).

That rearrangement reaction is illustrated in Reaction Scheme 1 below.

Reaction Scheme 1:



The compounds of formula I wherein R₁, R₂ and W are as defined for formula I, X₁ is oxygen and R₃ is C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₂-C₆haloalkyl or C₃-C₆haloalkenyl may be obtained in a manner analogous to that described in J. Org. Chem. 38, 3268 (1973), ibid 16, 1143 (1951), Chem. Communic. 1979, 552 or J. Am. Chem. Soc. 78, 416 (1956) from the compounds of formula IVa

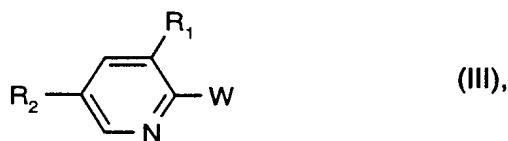


wherein R₁, R₂ and W are as defined for formula I and R₀₃ is a lower alkoxy group, e.g. C₁- or C₂-alkoxy, benzyloxy or halogen, e.g. chlorine or bromine, by first reacting a compound of formula IVa with an alkylating agent of formula VI



wherein R₃ is C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₂-C₆haloalkyl or C₃-C₆haloalkenyl and L is a leaving group, and then either heating or treating with dimethyl sulfoxide, if appropriate in the presence of an alkali metal halide, or with iodine or hydrochloric acid.

The compounds of formula I wherein R₁, R₂ and W are as defined for formula I, X₁ is oxygen and R₃ is C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₂-C₆haloalkyl, C₃-C₆haloalkenyl or C₃-C₆cycloalkyl may, in a further synthesis variant, be obtained in a manner analogous to that described in Heterocycles 45, 1059 (1997) or Chem. Pharm. Bull. 2, 193 (1954) from the compounds of formula III

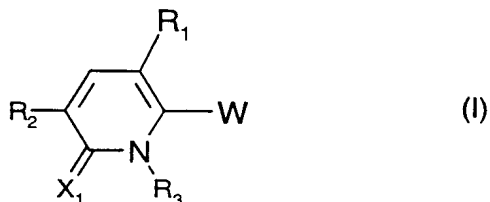


wherein R₁, R₂ and W are as defined, by reaction with an alkylating reagent of formula VI

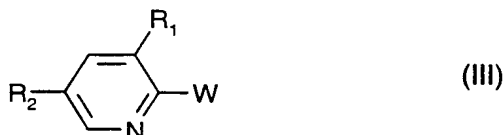


wherein R_3 is C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_3 - C_6 alkynyl, C_2 - C_6 haloalkyl, C_3 - C_6 haloalkenyl or C_3 - C_6 cycloalkyl and L is a leaving group, and with an oxidising agent, for example manganese dioxide (MnO_2) or potassium hexacyanoferrate ($K_3Fe(CN)_6$).

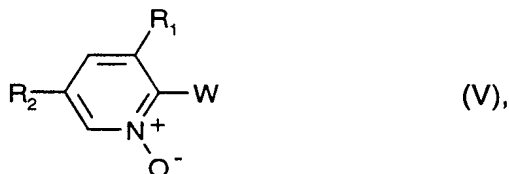
The process according to the invention for the preparation of compounds of formula I



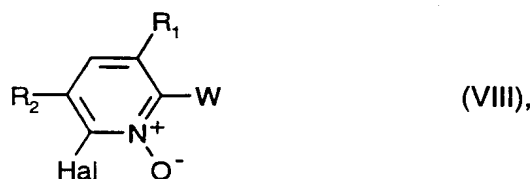
wherein R_1 , R_2 and W are as defined for formula I; X_1 is S; R_3 is hydroxy, C_1 - C_6 alkoxy, C_3 - C_6 alkenyloxy, C_3 - C_6 alkynyloxy, C_1 - C_6 haloalkoxy, C_3 - C_6 haloalkenyloxy, B_1 - C_1 - C_6 alkoxy, C_1 - C_6 alkylcarbonyloxy, C_3 - C_6 trialkylsilyloxy, (hydroxy- C_1 - C_5 alkyl)-O- or (B_1 - C_1 - C_5 hydroxy-alkyl)-O-; and B_1 is as defined for formula I is carried out analogously to known procedures, for example as described in WO 98/42698 and references given therein, and comprises first oxidising a compound of formula III



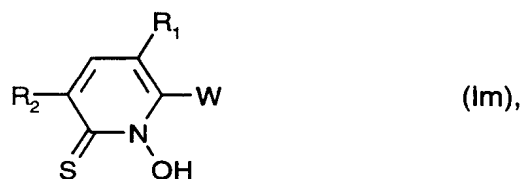
to yield a compound of formula V



chlorinating or brominating that compound, for example with phosphorus oxychloride, phosphorus oxybromide, sulfuryl chloride, thionyl chloride or phosphorus pentachloride in phosphorus oxychloride, and then oxidising it again to form a compound of formula VIII



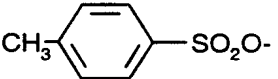
the radicals R_1 , R_2 and W in the compounds of formulae III, V and VIII being as defined and Hal in the compound of formula VIII being chlorine or bromine, then converting that compound in the presence of a solvent, e.g. water, an alcohol or a mixture thereof, or an amide, using a suitable sulfur reagent, e.g. hydrogen sulfide, thiourea, sodium hydrogen sulfide (NaSH) or phosphorus pentasulfide (P_2S_5), into a compound of formula Im



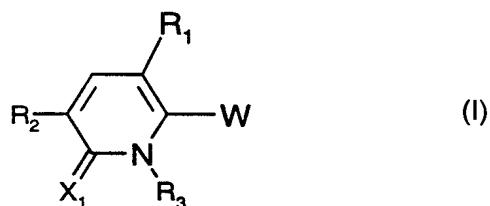
and reacting that compound in the presence of a solvent and a base with a compound of formula XI



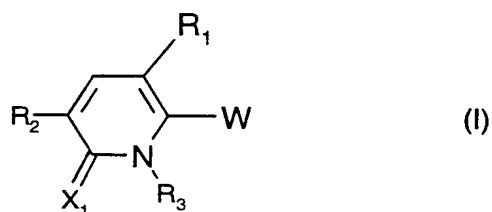
wherein R_{42} is $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_3\text{-C}_6$ alkenyl, $\text{C}_3\text{-C}_6$ alkynyl, $\text{C}_1\text{-C}_6$ haloalkyl, $\text{C}_3\text{-C}_6$ haloalkenyl, $\text{B}_1\text{-C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkylcarbonyl, $\text{C}_3\text{-C}_8$ trialkylsilyl, hydroxy- $\text{C}_1\text{-C}_5$ alkyl or $\text{B}_1\text{-C}_1\text{-C}_5$ hydroxyalkyl; B_1 is as defined; and L is a leaving group, e.g. halogen, for example chlorine, bromine

or iodine, $\text{CH}_3\text{SO}_2\text{O}-$,  or $\text{C}_1\text{-C}_6$ alkylcarbonyloxy.

The process according to the invention for the preparation of compounds of formula I



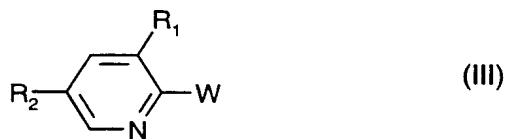
wherein R_1 , R_2 , R_3 and W are as defined for formula I and X_1 is S is carried out analogously to known procedures, for example as described in WO 98/42698 and references given therein, and comprises treating a compound of formula I



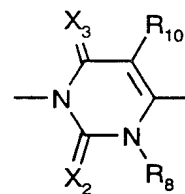
wherein R_1 , R_2 , R_3 and W are as defined and X_1 is O in an inert solvent with a sulfur reagent, for example phosphorus pentasulfide or Lawesson reagent.

For the preparation of the pyridine intermediates of formula III, a large number of known standard procedures of heterocyclic chemistry are available, the choice of a suitable preparation procedure being governed by the properties (reactivities) of the substituents in the respective intermediates.

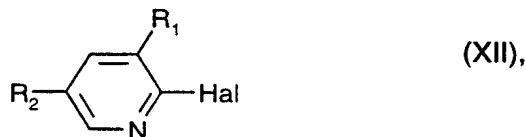
The process according to the invention for the preparation of compounds of formula III is carried out analogously to known procedures, for example as described in EP-A-0 438 209 or DE-OS 19 604 229, and, for the purpose of preparing compounds of formula III



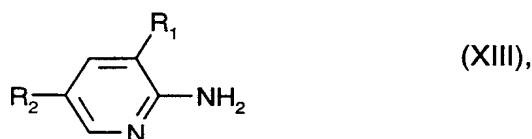
wherein R_1 and R_2 are as defined for formula I, W is a group W_1 —N— (W₁) and



R_8 , R_9 , R_{10} , X_2 and X_3 are as defined for formula I (corresponding to the compound of formula IIIa in Reaction Scheme 2), comprises, for example, converting a compound of formula XII

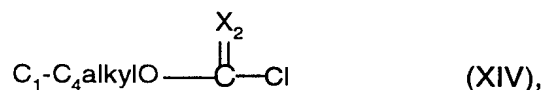


wherein R_1 and R_2 are as defined and Hal is fluorine, chlorine or bromine, in the presence of an inert solvent and ammonia, if appropriate in an autoclave at temperatures of from -10 to 180°C , into a compound of formula XIII

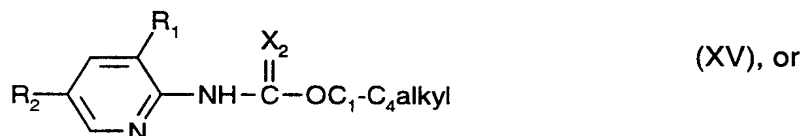


converting that compound in the presence of a base and a solvent

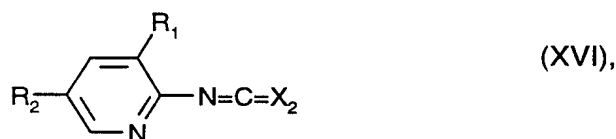
a) with a chloroformic acid ester of formula XIV



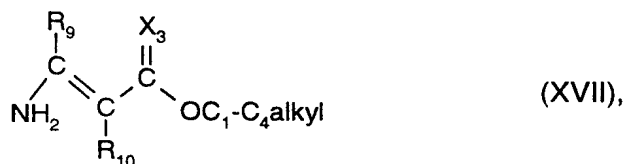
wherein X_2 is as defined for formula I, into a compound of formula XV



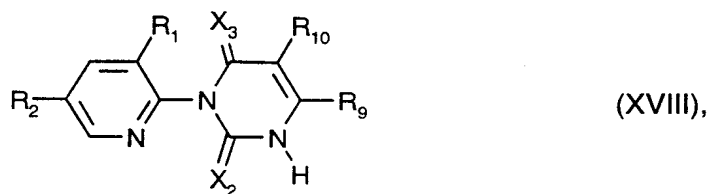
b) with oxalyl chloride, phosgene or thiophosgene into a compound of formula XVI



then cyclising the compound of formula XV or XVI in the presence of from 0.1 to 1.5 equivalents of a base in an inert solvent with an enamine derivative of formula XVII



wherein R_9 and R_{10} are as defined for formula I and X_3 is oxygen, to yield a compound of formula XVIII



wherein R_1 , R_2 , R_9 , R_{10} , X_2 and X_3 are as defined, and reacting that compound further in the presence of an inert solvent and a base

c) with a compound of formula XIX



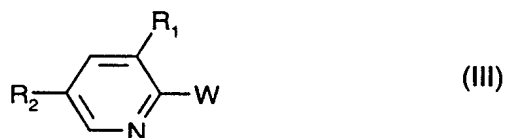
wherein R_8 is C_1 - C_3 alkyl or C_1 - C_3 haloalkyl and L is a leaving group, or

d) with a hydroxylamine derivative of formula XX

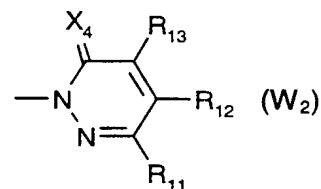


wherein L_1 is a leaving group.

The process according to the invention for the preparation of compounds of formula III is carried out analogously to known procedures, for example as described in DE-A-4 423 934 and JP-A-58 213776, and, for the preparation of compounds of formula III

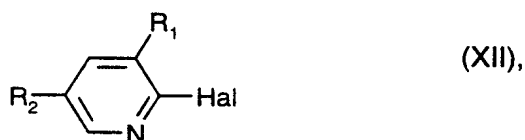


wherein R_1 and R_2 are as defined for formula I, W is a group W_2

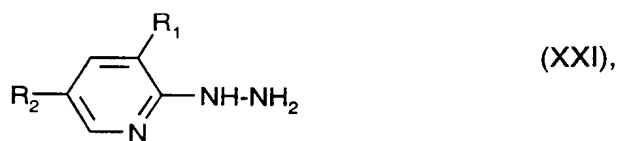


and R_{11} , R_{12} , R_{13} and X_4 are as defined for formula I (corresponding to the compound of formula IIIb in Reaction Scheme 3), comprises, for example, either

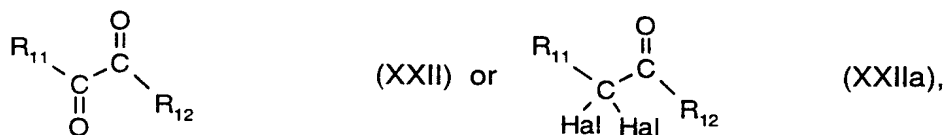
a) converting a compound of formula XII



wherein R_1 and R_2 are as defined and Hal is fluorine, chlorine or bromine, with hydrazine, preferably in a protic solvent, into a compound of formula XXI

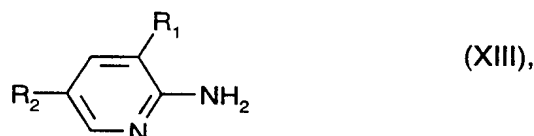


and reacting that compound further with a compound of formula XXII or XXIIa



wherein R₁₁ and R₁₂ are as defined for formula I, and Hal in the compound of formula XXIIa is chlorine or bromine, or

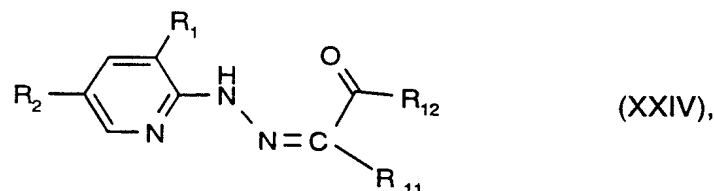
b) first diazotising a compound of formula XIII



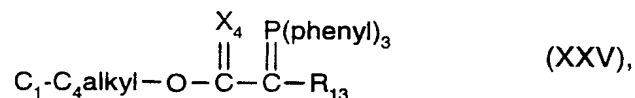
wherein R₁ and R₂ are as defined, and then reacting further with a compound of formula XXIII



wherein R₁₁ and R₁₂ are as defined, to yield a compound of formula XXIV

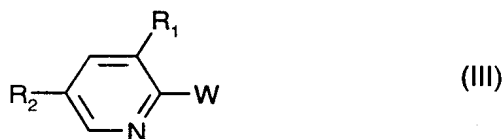


which is optionally cyclised in the presence of a base, e.g. 4-dimethylaminopyridine, and a compound of formula XXV

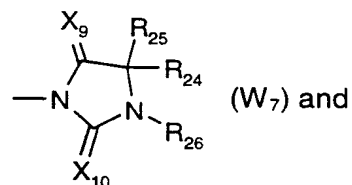


wherein R₁₃ is as defined and X₄ is oxygen.

The process according to the invention for the preparation of compounds of formula III is carried out analogously to known procedures, for example as described in EP-A-0 272 594, EP-A-0 493 323, DE-A-3 643 748, WO 95/23509, US-A-5 665 681 and US-A-5 661 109, and, for the preparation of compounds of formula III

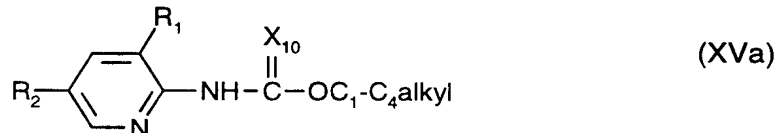


wherein R₁ and R₂ are as defined for formula I, W is a group W₇



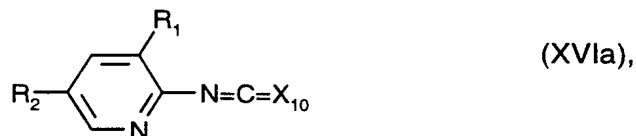
R₂₄, R₂₅, R₂₆, X₉ and X₁₀ are as defined for formula I (corresponding to the compound of formula IIIg in Reaction Scheme 4), comprises, for example, reacting either

a) a compound of formula XVa



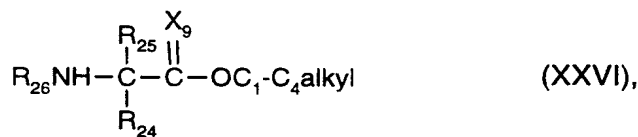
in the presence of a solvent and a base, or

b) a compound of formula XVIa

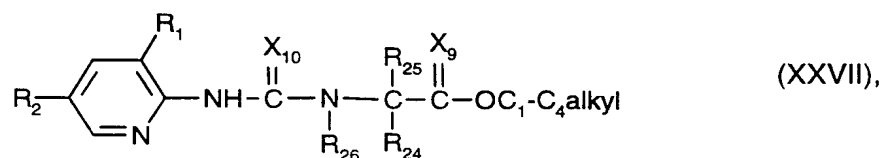


if appropriate in a suitable solvent, the radicals R₁, R₂ and X₁₀ in the compounds of formulae XVa and XVIa being as defined,

with a compound of formula XXVI



wherein R₂₄, R₂₅, R₂₆ and X₉ are as defined, to yield a compound of formula XXVII



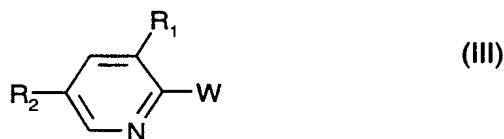
cyclising that compound in the presence of a suitable solvent and a base and then optionally

c) when R_{26} is hydrogen, reacting with a compound of formula XXVIII

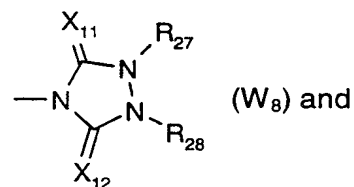


wherein R_{26} is C_1 - C_3 alkyl and L is a leaving group.

The process according to the invention for the preparation of compounds of formula III is carried out analogously to known procedures, for example as described in EP-A-0 210 137, DE-A-2 526 358, EP-A-0 075 267 and EP-A-0 370 955, and, for the preparation of compounds of formula III

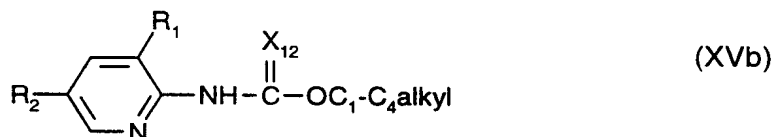


wherein R_1 and R_2 are as defined for formula I, W is a group W_8



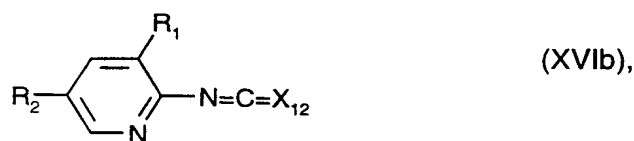
R_{27} , R_{28} , X_{11} and X_{12} are as defined for formula I (corresponding to the compound of formula IIIh in Reaction Scheme 5), comprises, for example, reacting

a) a compound of formula XVb

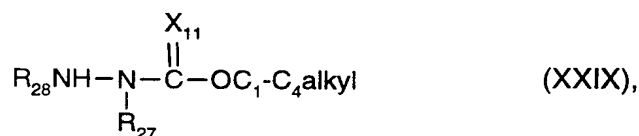


in the presence of a solvent and a base, or

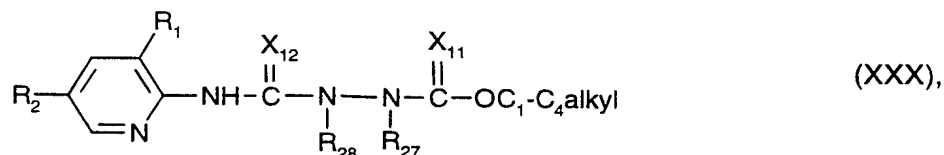
b) a compound of formula XVIb



the radicals R_1 , R_2 and X_{12} in the compounds of formulae XVb and XVIb being as defined, if appropriate in a suitable solvent, with a compound of formula XXIX

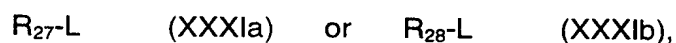


wherein R_{27} , R_{28} and X_{11} are as defined, to yield a compound of formula XXX



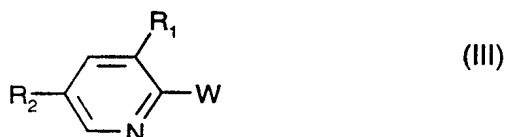
cyclising that compound in the presence of a suitable solvent and a base, and then optionally

c) when R_{27} and/or R_{28} are hydrogen, reacting further with a compound of formula XXXIa or XXXIb

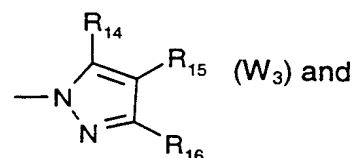


wherein R_{27} and R_{28} are each independently of the other $C_1\text{-}C_3\text{alkyl}$ and L is a leaving group, or with a Michael acceptor.

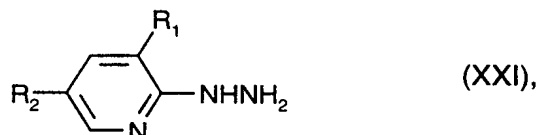
The process according to the invention for the preparation of compounds of formula III is carried out analogously to known procedures, for example as described in WO 97/07114, US-A-5 306 694, DE-A-3 832 348, EP-A-0 257 479 and EP-A-0 500 209, and, for the preparation of compounds of formula III



wherein R_1 and R_2 are as defined for formula I, W is a group W_3

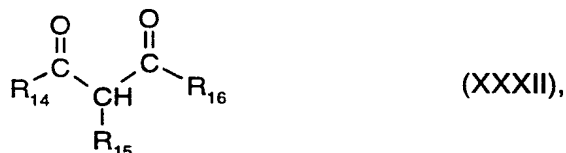


R_{14} , R_{15} and R_{16} are as defined for formula I (corresponding to the compound of formula IIIc in Reaction Scheme 6), comprises, for example, condensing a compound of formula XXI



wherein R_1 and R_2 are as defined,

a) with a compound of formula XXXII

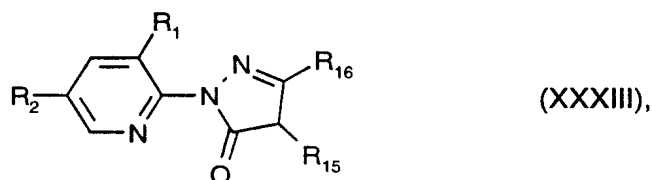


wherein R_{14} is hydrogen, C_1 - C_3 alkyl or C_1 - C_3 haloalkyl; R_{15} is hydrogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_2 - C_4 alkenyl, C_3 - C_5 haloalkenyl or C_3 - or C_4 -alkynyl and R_{16} is hydrogen, C_1 - C_4 alkyl or C_1 - C_4 haloalkyl, if appropriate in the presence of an acidic, basic or bifunctional catalyst, e.g. p-toluenesulfonic acid, or

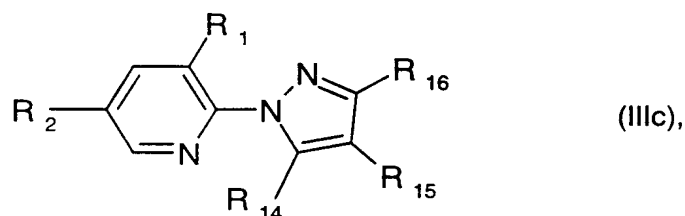
b) with a compound of formula XXXIIa



wherein R_{15} and R_{16} are as defined and L_2 is a suitable leaving group, to form a compound of formula XXXIII

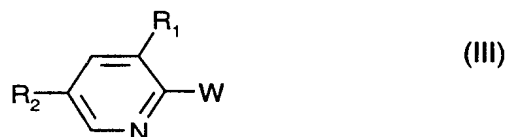


and functionalising the pyrazolone group further in accordance with the definition of R_{14} analogously to known procedures, for example using a halogenating agent, e.g. phosphorus oxychloride, to form the corresponding halogen derivative of formula IIIc



wherein R_1 , R_2 , R_{15} and R_{16} are as defined and R_{14} is halogen (Reaction Scheme 6).

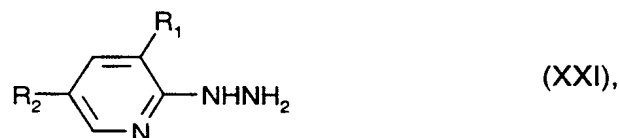
The process according to the invention for the preparation of compounds of formula III is carried out analogously to known procedures, for example as described in EP-A-0 370 332, EP-A-0 370 955 or DE-A-3 917 469, and, for the preparation of compounds of formula III



wherein R_1 and R_2 are as defined for formula I, W is a group W_4

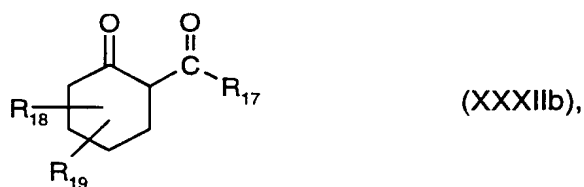


(W_4) and R_{17} , R_{18} and R_{19} are as defined for formula I (corresponding to the compound of formula IIIId in Reaction Scheme 7), comprises, for example, condensing a compound of formula XXI



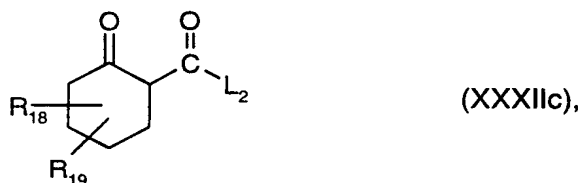
wherein R_1 and R_2 are as defined,

a) with a compound of formula XXXIb

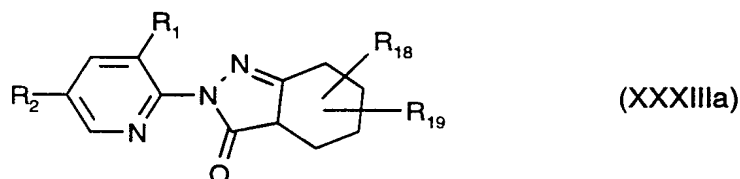


wherein R_{18} and R_{19} are as defined and R_{17} is hydrogen, C_1 - C_4 alkyl or C_1 - C_4 haloalkyl, if appropriate in the presence of a catalyst, or

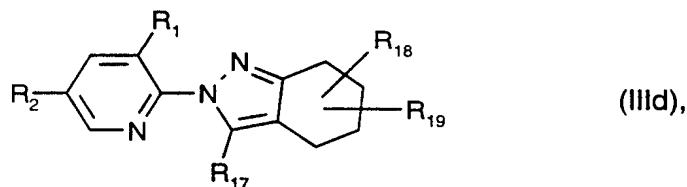
b) with a compound of formula XXXIc



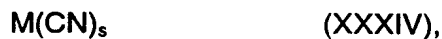
wherein R_{18} and R_{19} are as defined and L_2 is a suitable leaving group, to form a compound of formula XXXIIa



and treating that compound with a halogenating agent, e.g. a phosphorus oxyhalide or thionyl halide, to yield a compound of formula IIId

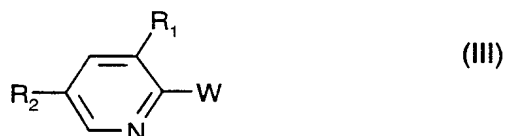


wherein R_1 , R_2 , R_{18} and R_{19} are as defined and R_{17} is halogen, and optionally reacting that compound with a cyanide of formula XXXIV

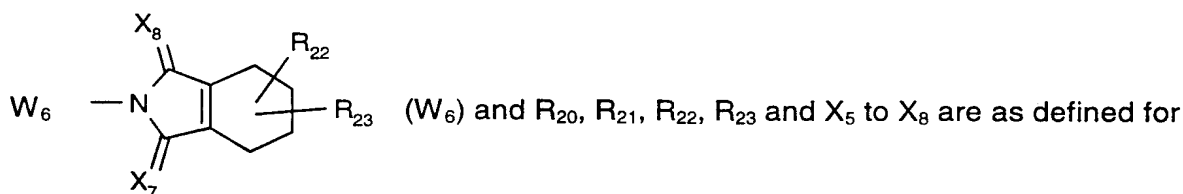
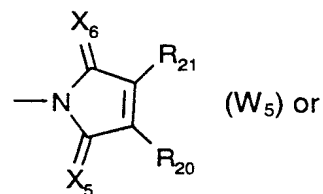


wherein M is an ammonium cation, an alkali metal ion or a metal ion from sub-group I or II of the Periodic Table of the Elements and s is the number 1 or 2, if appropriate in the presence of an alkali metal iodide (R_{17} = cyano) (Reaction Scheme 7).

The process according to the invention for the preparation of compounds of formula III is carried out analogously to known procedures, for example as described in DE-A-3 917 469, WO 92/00976, US-A-5 069 711 and EP-A-0 260 228, and, for the preparation of compounds of formula III



wherein R₁ and R₂ are as defined for formula I, W is a group W₅

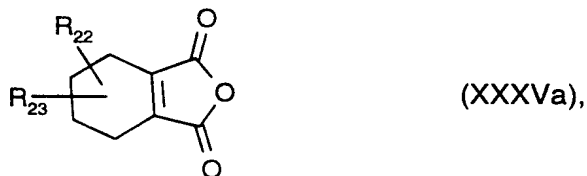


formula I (corresponding to the compounds of formulae IIIe and IIIf, respectively, in Reaction Scheme 8), comprises, for example, reacting

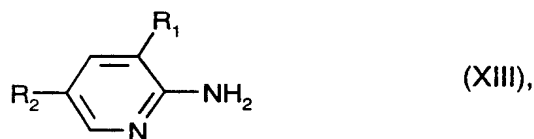
a) a compound of formula XXXV



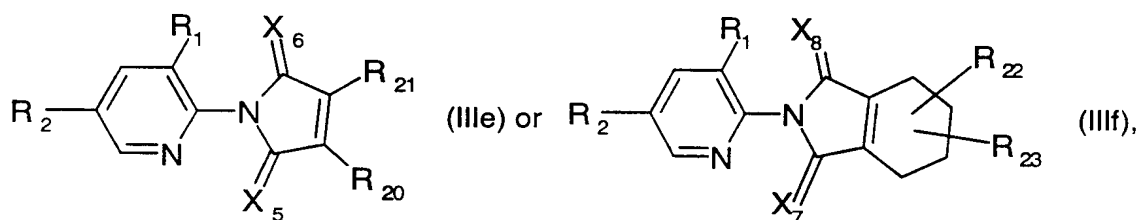
b) a compound of formula XXXVa



the radicals R₂₀ to R₂₃ in the compounds of formulae XXXV and XXXVa being as defined, with a compound of formula XIII

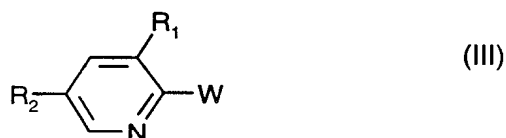


wherein R_1 and R_2 are as defined, in an inert solvent in the presence of a C_1 - C_4 alkyl-carboxylic acid at temperatures of from 20° to 200°C , and optionally converting the resulting compound of formula IIIe or IIIf

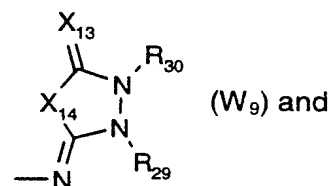


wherein R_1 , R_2 and R_{20} to R_{23} are as defined and X_5 to X_8 are oxygen, with the aid of a suitable sulfur reagent, into the corresponding thiono compound of formula IIIe or IIIf wherein X_5 and/or X_6 and X_7 and/or X_8 are sulfur respectively (Reaction Scheme 8).

The process according to the invention for the preparation of compounds of formula III is carried out analogously to known procedures, for example as described in WO 95/00521, EP-A-0 611 708 and WO 94/25467, and, for the preparation of compounds of formula III

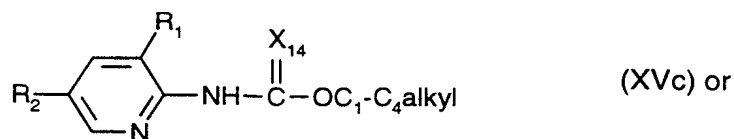


wherein R_1 and R_2 are as defined for formula I, W is a group W_9

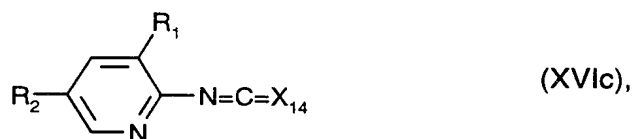


R_{29} , R_{30} , X_{13} and X_{14} are as defined for formula I (corresponding to the compound of formula IIIi in Reaction Scheme 9), comprises, for example, reacting

a) a compound of formula XVc



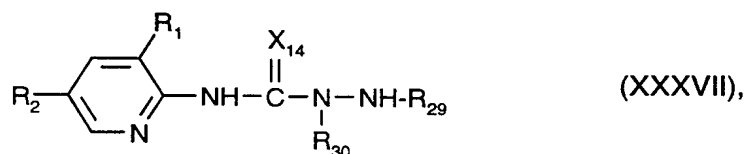
b) a compound of formula XVIc



the radicals R_1 , R_2 and X_{14} in the compounds of formula XVc and XVIc being as defined, if appropriate in the presence of a solvent and a base, with a compound of formula XXXVI



wherein R_{29} and R_{30} are as defined for formula I, to yield a compound of formula XXXVII

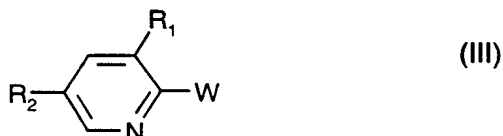


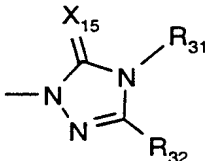
and then reacting that compound, if appropriate in a solvent and in the presence of a base, with a (thio)carbonylating reagent of formula XXXVIII



wherein X_{13} is as defined and L_3 is a leaving group (Reaction Scheme 9).

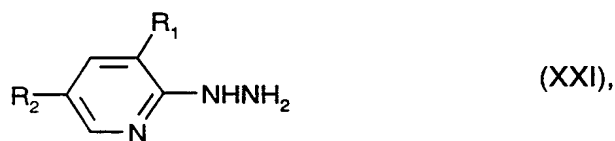
The process according to the invention for the preparation of compounds of formula III is carried out analogously to known procedures, for example as described in US-A-5 980 480, DE-A-3 917 469, US-A-4 818 275, US-A-5 041 155 and EP-A-0 610 733, and, for the preparation of compounds of formula III



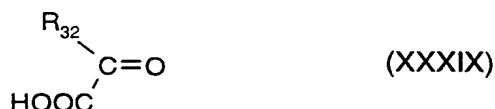
wherein R_1 and R_2 are as defined for formula I, W is a group W_{10}  (W_{10})

and R_{31} , R_{32} and X_{15} are as defined for formula I (corresponding to the compound of formula IIIk in Reaction Scheme 10), comprises, for example,

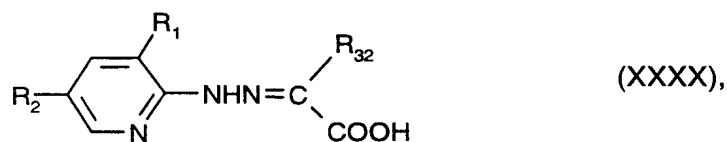
a) reacting a compound of formula XXI



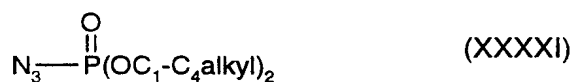
if appropriate in the presence of a catalyst, with a compound of formula XXXIX



to form a compound of formula XXXX

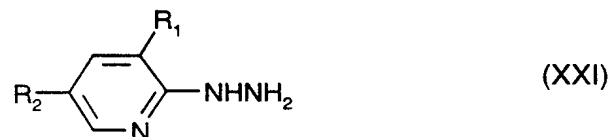


the radicals R_1 , R_2 and R_{32} in the compounds of formulae XXI, XXXIX and XXXX being as defined, and cyclising the compound of formula XXXX by further reaction with an azide of formula XXXXI



($X_{15} = O$, $R_{31} = H$), or

b) cyclising a compound of formula XXI

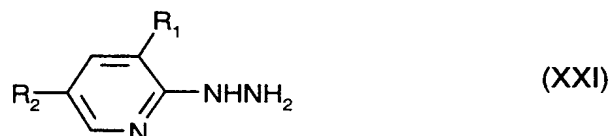


with a compound of formula XXXXIII



the radicals R_1 , R_2 and R_{32} in the compounds of formulae XXI and XXXXIII being as defined, ($\text{X}_{15} = \text{O}$, $\text{R}_{31} = \text{H}$), or

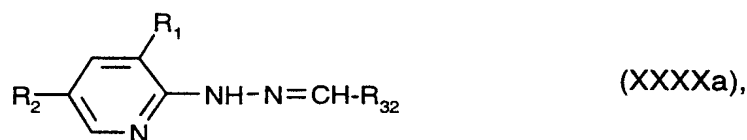
c) reacting a compound of formula XXI



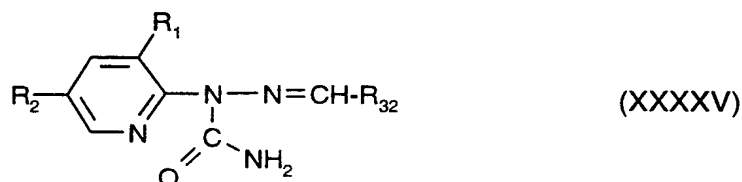
first with a compound of formula XXXXIV



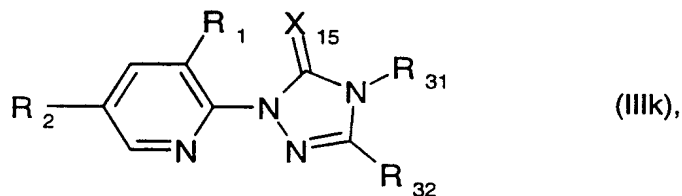
to form a compound of formula XXXXa



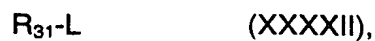
and then with an alkali metal cyanate to form a compound of formula XXXXV



and finally cyclising that compound in the presence of an oxidising agent, to yield a compound of formula IIIk

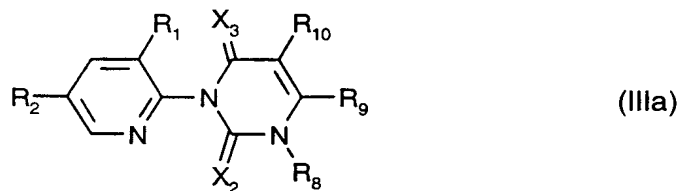


wherein R_1 , R_2 and R_{32} are as defined, X_{15} is oxygen and R_{31} is hydrogen, and optionally treating that compound with a sulfur reagent ($\text{X}_{15} = \text{S}$) and, in the presence of a base, with an alkylating reagent of formula XXXXII

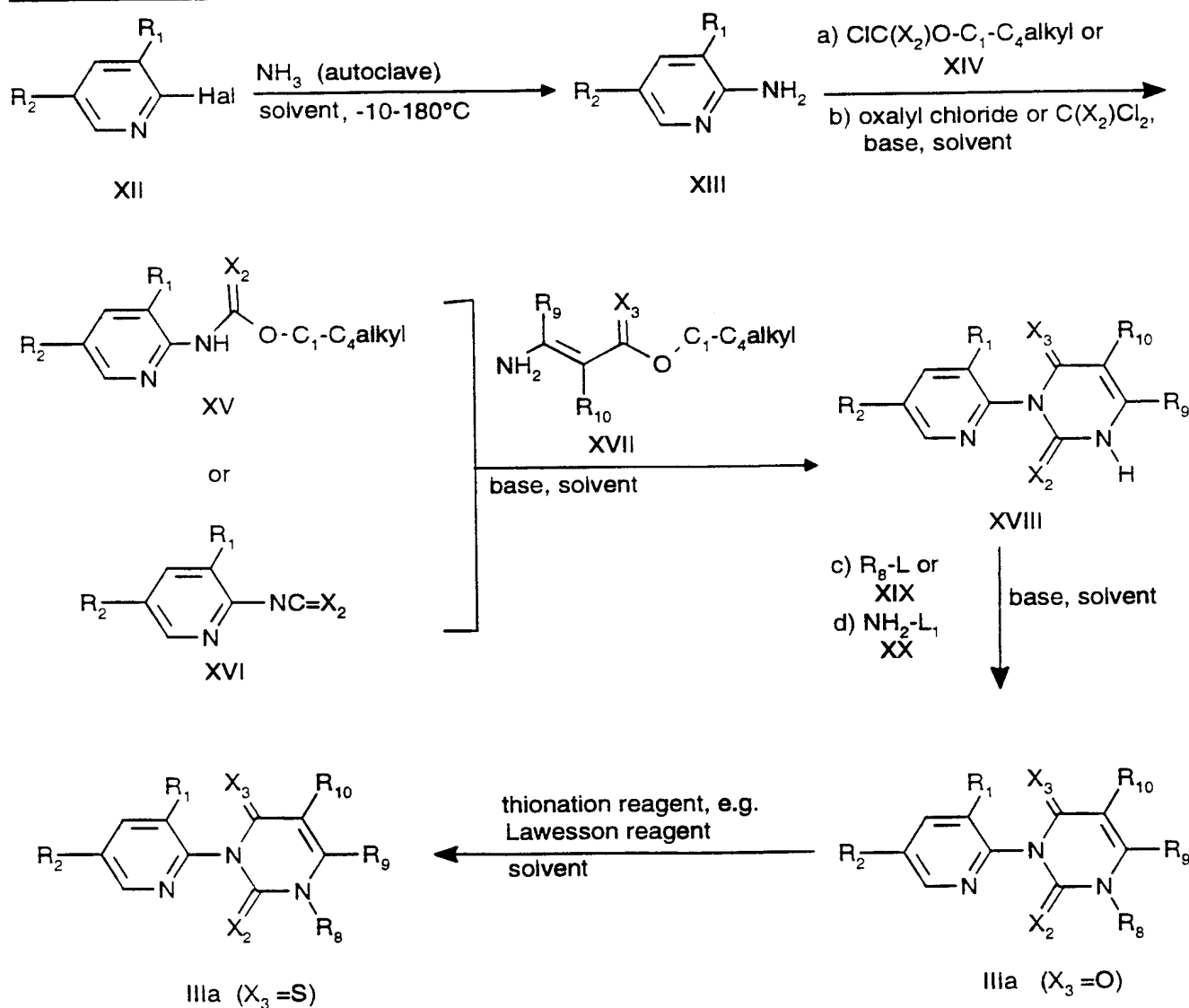


wherein R_{31} is C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_3 - or C_4 -alkenyl, C_3 - or C_4 -haloalkenyl or C_3 - or C_4 -alkynyl and L is a leaving group.

The preparation of the compounds of formula IIIa



wherein R_1 , R_2 , R_8 , R_9 , R_{10} , X_2 and X_3 are as defined for formula I is illustrated in Reaction Scheme 2 below.

Reaction Scheme 2:

For the preparation of the compounds of formula IIIa according to the invention, a large number of known standard procedures are available, for example as described in EP-A-0 438 209 and DE-OS-19 604 229 ($\text{R}_9 = \text{cyano}$). Reaction Scheme 2 shows a selection of suitable preparation procedures, the choice of the reaction routes and the reagents being governed by the reactivities of the substituents in the intermediates.

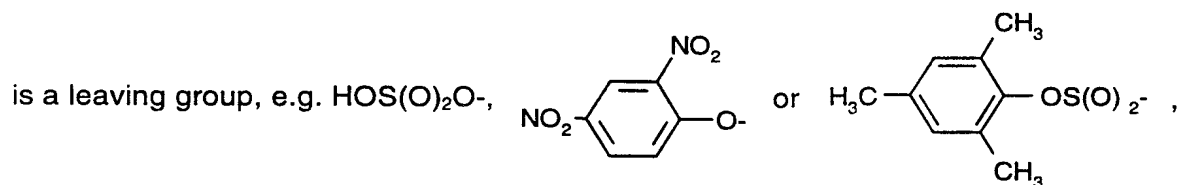
Starting, for example, from a compound of formula XII, it is possible by reaction with ammonia in an inert solvent, if appropriate in an autoclave at temperatures of from -10 to 180°C , to obtain an aminopyridine of formula XIII. The latter compound may be converted in the presence of a base and a solvent either

- a) with a chloroformic acid ester of formula XIV ($X_2 = O$ or S) into a pyridyl carbamate of formula XV, or
- b) with oxalyl chloride, phosgene ($X_2 = O$) or thiophosgene ($X_2 = S$) into an iso(thio)cyanate of formula XVI. Such reactions are described, for example, in Angew. 1971, 407.

The carbamate and the iso(thio)cyanate of formulae XV and XVI may be cyclised in the presence of an enamine derivative of formula XVII in an inert solvent to form a uracil derivative of formula XVIII, the reaction of the iso(thio)cyanate of formula XVI advantageously being carried out in the presence of from 0.1 to 1.5 equivalents of a base, e.g. sodium hydride, potassium tert-butanolate or an alkaline earth metal oxide or hydroxide, e.g. barium hydroxide.

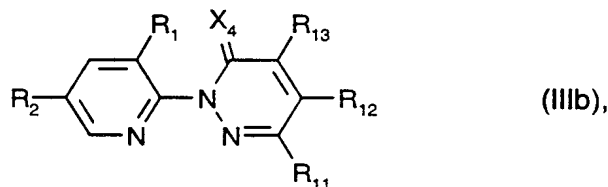
The desired compounds of formula IIIa may be prepared in accordance with standard procedures from the uracils of formula XVIII in the presence of an inert solvent and at least one equivalent of a base, for example an alkali metal carbonate, such as potassium carbonate,

- c) with an alkylating agent of formula XIX to form the N-alkyl derivative of formula IIIa ($R_8 = \text{alkyl}$), or
- d) analogously to WO 97/05116 with a hydroxylamine derivative of formula XX, wherein L_1



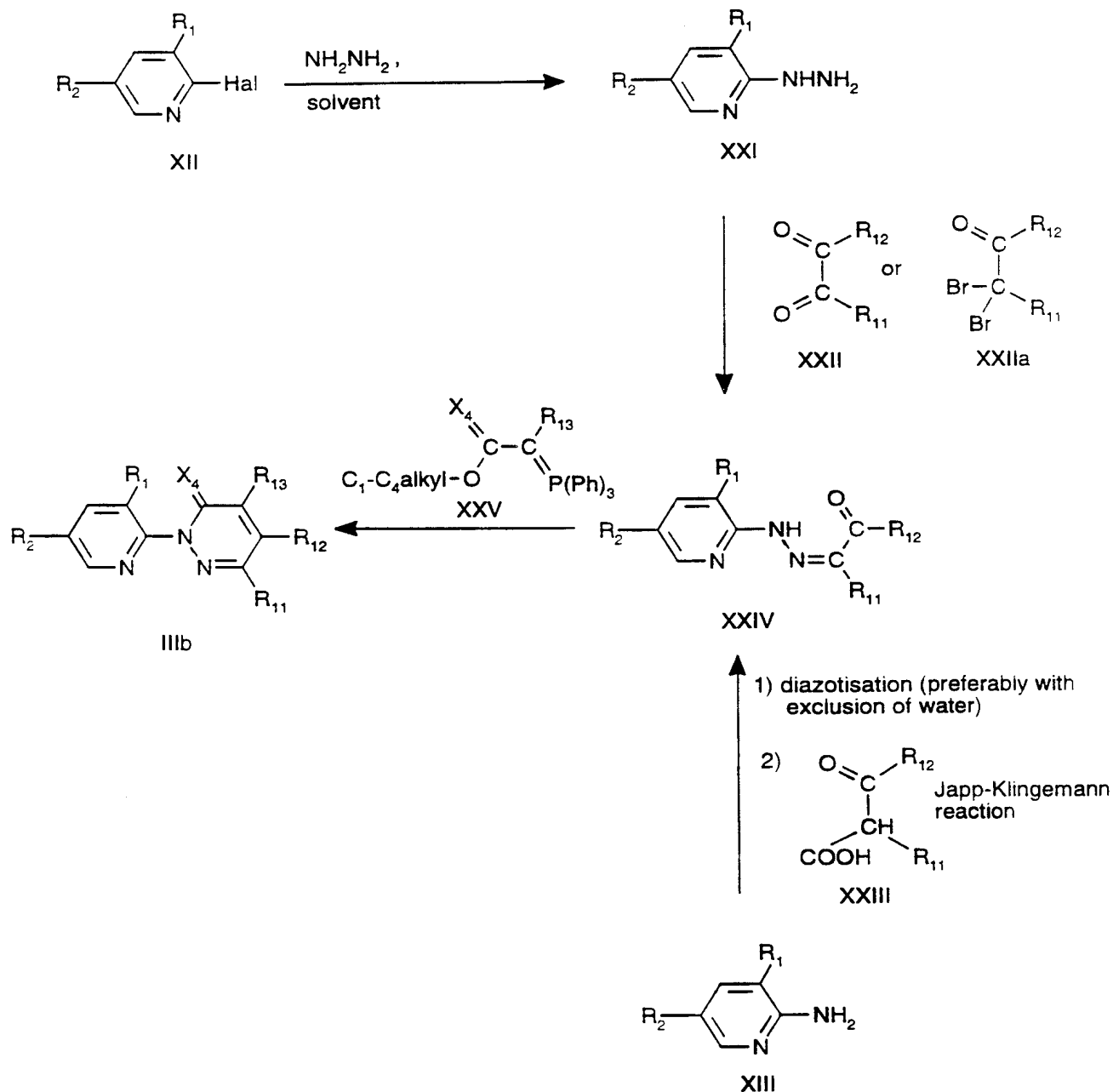
for example 2,4-dinitrophenyl-hydroxylamine or hydroxylamine-O-sulfonic acid, to form the N-amino derivative of formula IIIa ($R_8 = \text{amino}$). The desired thiono derivatives of formula IIIa ($X_2, X_3 = S$) may be obtained by thionation, for example with phosphorus pentasulfide or Lawesson reagent.

The preparation of the compounds of formula IIIb



wherein R_1 , R_2 , R_{11} , R_{12} , R_{13} and X_4 are as defined for formula I, is illustrated in Reaction Scheme 3 below.

Reaction Scheme 3:



The compounds of formula IIIb may be prepared in accordance with known methods, for example in accordance with Reaction Scheme 3 (variant a)), by reacting a 2-halopyridine

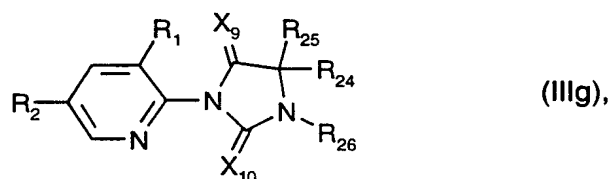
derivative of formula XII with hydrazine, preferably in a protic solvent, e.g. an alcohol, analogously to GB-A-2 230 261 to form a 2-hydrazino derivative of formula XXI.

The latter is reacted with a diketone of formula XXII analogously to DE-OS-19 754 348 or with a dihaloketone of formula XXIIa analogously to WO 97/07104 to form a hydrazone derivative of formula XXIV.

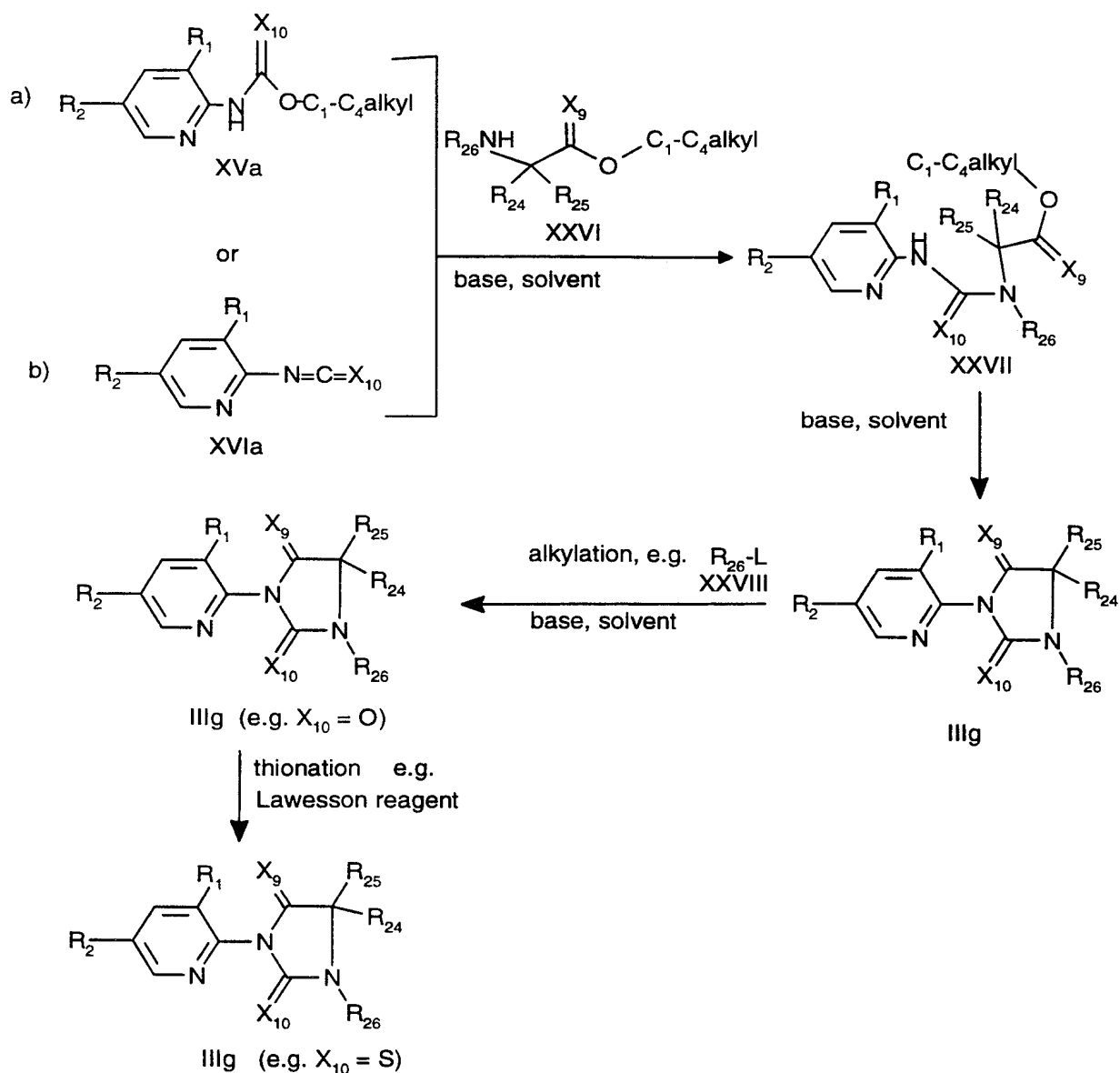
The subsequent cyclisation to form the desired compound of formula IIIb is carried out in the presence of a phosphorane derivative of formula XXV if appropriate in the presence of a base, for example 4-dimethylaminopyridine. Then, for the case where X_4 in a compound of formula IIIb = O, thionation ($X_4 = S$) may be carried out in a manner analogous to that described under Reaction Scheme 2.

According to Reaction Scheme 3, the hydrazone derivative of formula XXIV may also be obtained from the 2-aminopyridine derivative of formula XIII *via* diazotisation, preferably with exclusion of water, and subsequent coupling to the ketonic acid of formula XXIII (Japp-Klingemann reaction analogously to DE-OS-19 754 348) (variant b) in Reaction Scheme 3).

The preparation of the compounds of formula IIIg



wherein R_1 , R_2 , R_{24} , R_{25} , R_{26} , X_9 and X_{10} are as defined for formula I, is illustrated in Reaction Scheme 4 below.

Reaction Scheme 4:

The compounds of formula IIIg may be prepared analogously to known methods, for example as described in EP-A-0 272 594, EP-A-0 493 323, DE-A-3 643 748, WO 95/23509, US-A-5 665 681 or US-A-5 661 109.

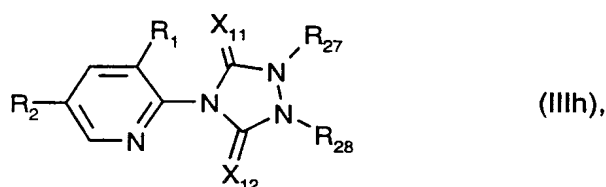
For example, in accordance with Reaction Scheme 4 either

a) a carbamate derivative of formula XVa may be cyclised in the presence of a solvent and a base, or

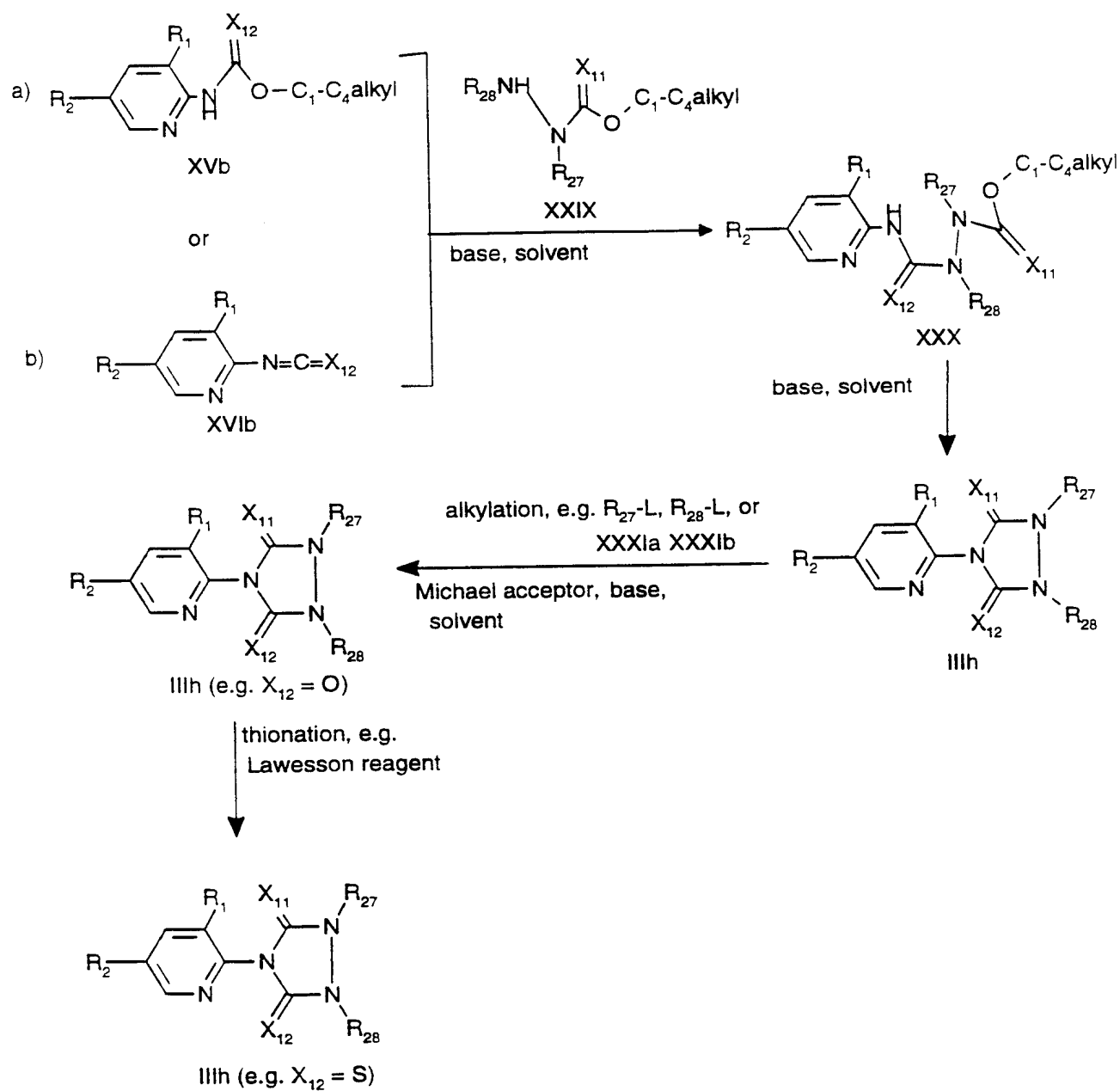
b) an iso(thio)cyanate of formula XVIa may be cyclised, if appropriate in a suitable solvent, with an amino acid derivative of formula XXVI *via* a compound of formula XXVII in the presence of a base and a suitable solvent to form a compound of formula IIIg.

For the case where in a compound of formula IIIg R_{26} is hydrogen and X_9 and/or X_{10} are oxygen, then optionally alkylation may be carried out at the free N atom of the hydantoin ring using an alkylating reagent of formula XXVIII and the ring carbonyl group may be thionated (X_9 and/or $X_{10} = S$).

The preparation of compounds of formula IIIh



wherein R_1 , R_2 , R_{27} , R_{28} , X_{11} and X_{12} are as defined for formula I, is illustrated in Reaction Scheme 5 below.

Reaction Scheme 5:

The compounds of formula IIIh may be prepared analogously to known procedures, for example as described in EP-A-0 210 137, DE-OS-2 526 358, EP-A-0 075 267 or EP-A-0 370 955.

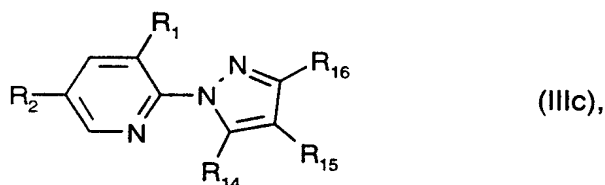
For example, in accordance with Reaction Scheme 5 either

- a) a carbamate derivative of formula XVb may be cyclised in the presence of a solvent and a base, or
- b) an iso(thio)cyanate of formula XVIb may be cyclised, if appropriate in a suitable solvent, with a carbazate of formula XXIX *via* a compound of formula XXX in the presence of a base and a suitable solvent to form a compound of formula IIIh.

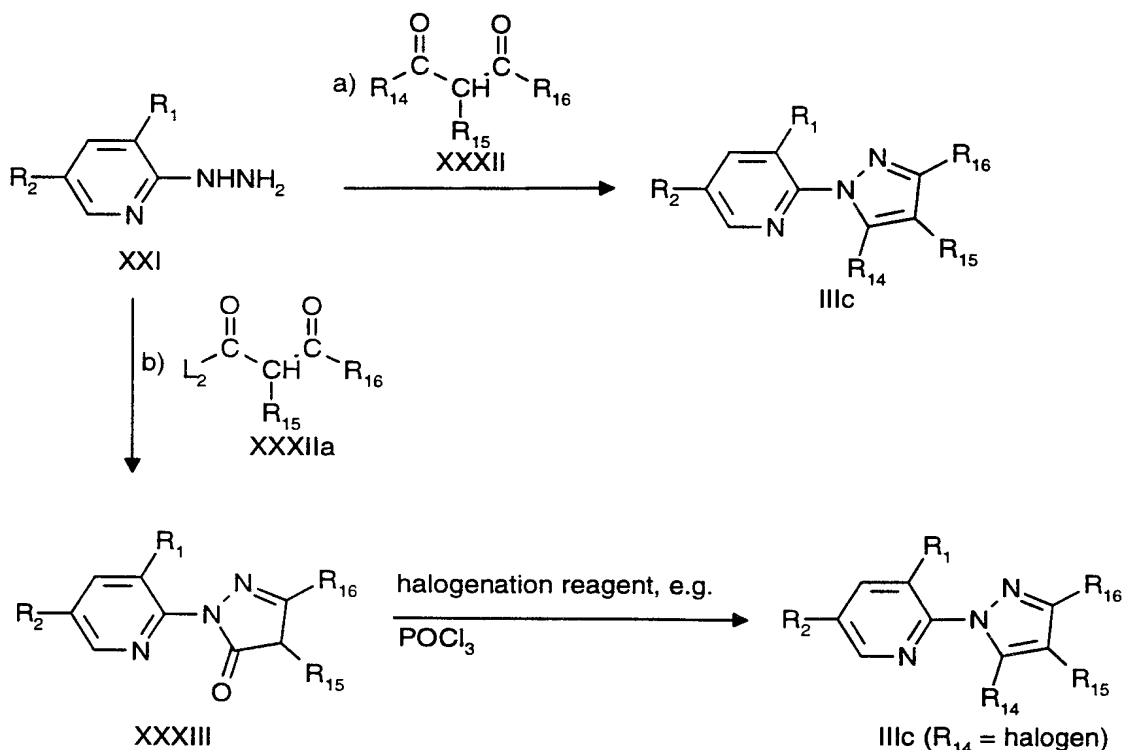
For the case where in a compound of formula IIIh R_{27} and/or R_{28} are hydrogen and X_{11} and/or X_{12} are oxygen, then alkylation may be carried out at the free N atoms using an alkylating agent of formula XXXIa or XXXIb and the ring carbonyl groups may be thionated (X_{11} and/or $X_{12} = S$) using a thionating reagent.

For the preparation of compounds of formula IIIh in Reaction Scheme 5 wherein R_{27} and R_{28} together form an alkylene bridge that is interrupted e.g. by $-S(O)_2-$, for example a compound of formula IIIh wherein R_{27} and R_{28} are hydrogen may be reacted with a corresponding Michael acceptor, for example $CH_2=CH-S(O)_2CH_3$ or $CH_2=CH-S(O)_2-CH=CH_2$, and the resulting Michael addition products may be functionalised further.

The preparation of the compounds of formula IIIc



wherein R_1 , R_2 and R_{14} to R_{16} are as defined for formula I, is illustrated in Reaction Scheme 6 below.

Reaction Scheme 6:

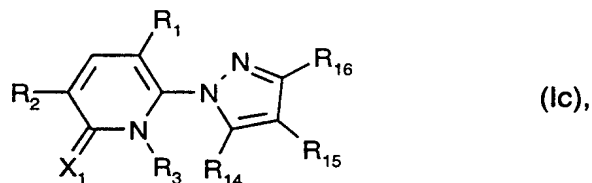
In accordance with Reaction Scheme 6, the pyrazole compounds of formula IIIc may be prepared, for example, either from the hydrazinopyridine derivatives of formula XXI by means of condensation with a 1,3-dicarbonyl derivative of formula XXXII (variant a)), or by means of condensation with a β -carbonylcarboxylic acid derivative of formula XXXIIa wherein L_2 is a leaving group, for example C_1 - C_4 alkoxy, hydroxy or halogen, e.g. chlorine or bromine, (variant b)) and subsequent treatment of the resulting pyridylpyrazolone derivative of formula XXXIII with a halogenating agent, for example phosphorus oxychloride (R_{14} = halogen). The two reaction steps a) and b) in Reaction Scheme 6 are effected, if appropriate, in the presence of an acidic, basic or bifunctional catalyst, e.g. p-toluenesulfonic acid.

The compounds of formula IIIc obtained in this way may be functionalised further in accordance with the definitions of the substituents R_{14} to R_{16} by means of standard procedures.

The compounds of formula IIIc in Reaction Scheme 6 wherein R_{15} is hydrogen may be functionalised further in accordance with the definition of R_{15} , for example with an electrophilic reagent, e.g. a halogenating agent, such as elemental halogen or sulfonyl

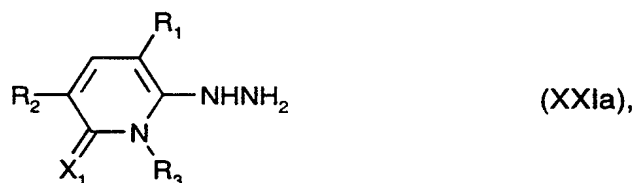
halide, to form the corresponding compounds of formula IIIc wherein R_{15} is halogen, or with a nitrating agent, such as nitric acid, if appropriate in admixture with a further strong acid, such as sulfuric acid, to form the corresponding compounds of formula IIIc wherein R_{15} is nitro.

The corresponding pyridono derivatives of formula Ic



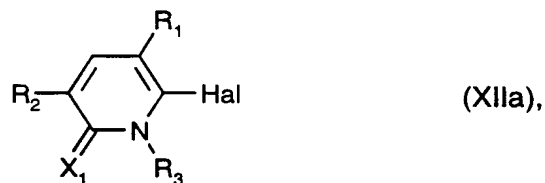
wherein R_1 to R_3 , R_{14} to R_{16} and X_1 are as defined for formula I, may be obtained, as described above, from compounds of formula IIIc by means of oxidation of the pyridyl moiety.

In a further variant, the pyridono derivatives of formula Ic may also be obtained directly from the corresponding pyridonylhydrazines of formula XXIa



wherein R_1 to R_3 and X_1 are as defined, analogously to the variants shown in Reaction Scheme 6.

The required pyridonylhydrazine of formula XXIa may readily be obtained from the corresponding pyridonyl halide of formula XIIa

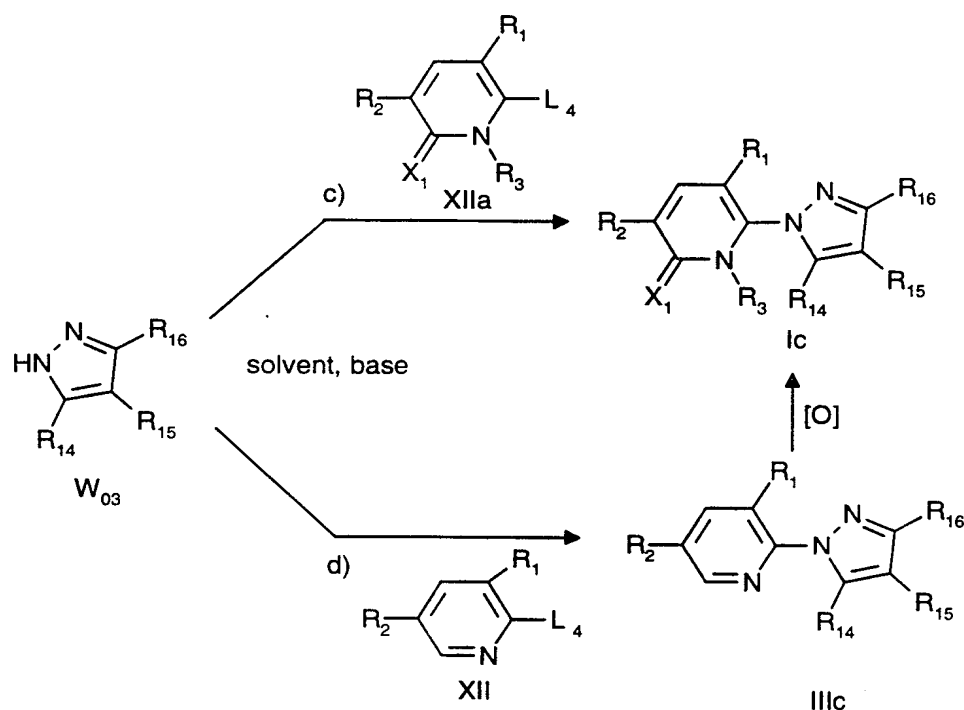


wherein R_1 , R_2 and R_3 are as defined and Hal is fluorine, chlorine or bromine, with hydrazine, preferably in a protic solvent.

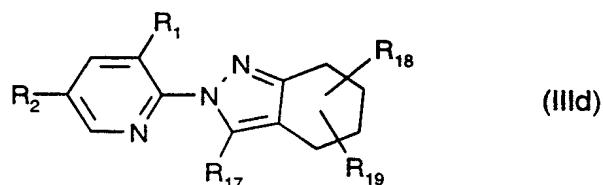
In certain cases, the compounds of formula Ic may also be obtained in manner analogous to that described in J. Het. Chem. 15, 1221 (1978) and in Reaction Scheme 11, by substitution of a pyridonyl derivative of formula XIIa that is provided with a leaving group L_4 ,

e.g. a halide, a C₁-C₄alkyl- or phenyl-sulfonyl group or an unsubstituted or substituted C₁-C₄alkyl- or phenyl-sulfonyloxy group, (variant c) in Reaction Scheme 11), or by substitution of a pyridine derivative of formula XII that is provided with a leaving group L₄, e.g. a halide, a C₁-C₄alkyl- or phenyl-sulfonyl group or an unsubstituted or substituted C₁-C₄alkyl- or phenyl-sulfonyloxy group, (variant d) in Reaction Scheme 11), with a pyrazole of formula W₀₃ or an alkali metal salt thereof, and in the case of variant d) by subsequent functionalisation (oxidation) of the pyridyl moiety of the compound of formula IIIc. The substitution reactions according to variants c) and d) may optionally be carried out in the presence of a suitable solvent and a base.

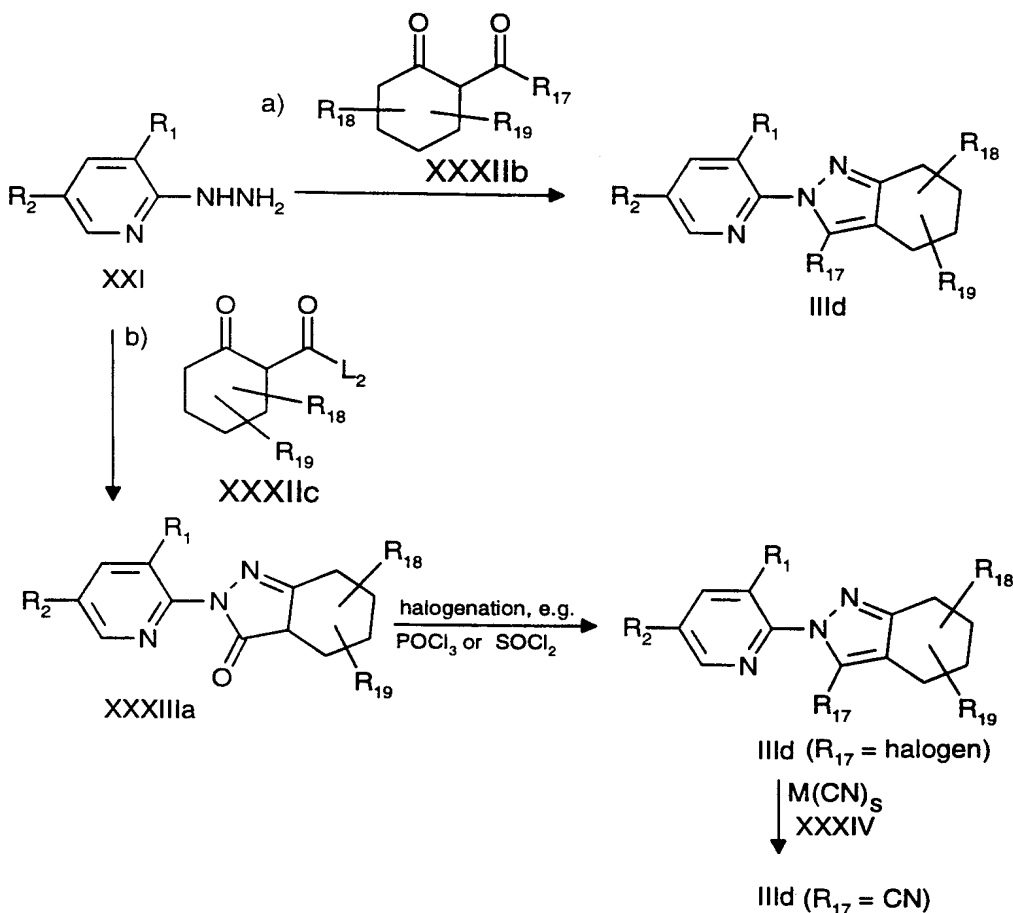
Reaction Scheme 11:



The preparation of the compounds of formula IIIId



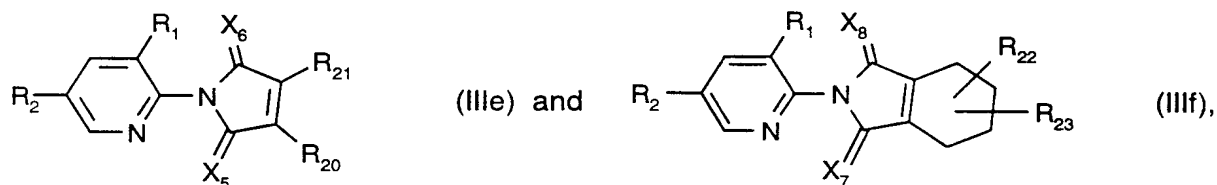
wherein R₁, R₂ and R₁₇ to R₁₉ are as defined for formula I is illustrated in Reaction Scheme 7 below.

Reaction Scheme 7:

In accordance with Reaction Scheme 7, the tetrahydroindazole compounds of formula III d may be obtained according to known procedures from the hydrazinopyridine derivatives of formula XXI, for example either by condensation with a cyclohexanone derivative of formula XXXIIb that is acylated in the 2-position, wherein R₁₇ is as defined for formula I with the exception of R₁₇ as halogen or cyano, (variant a)), or by condensation with a cyclohexanone derivative of formula XXXIIc wherein L₂ is a leaving group, for example C₁-C₄alkoxy, hydroxy or halogen, e.g. chlorine or bromine, and subsequent halogenation (variant b)) in a manner analogous to that described under Reaction Scheme 6.

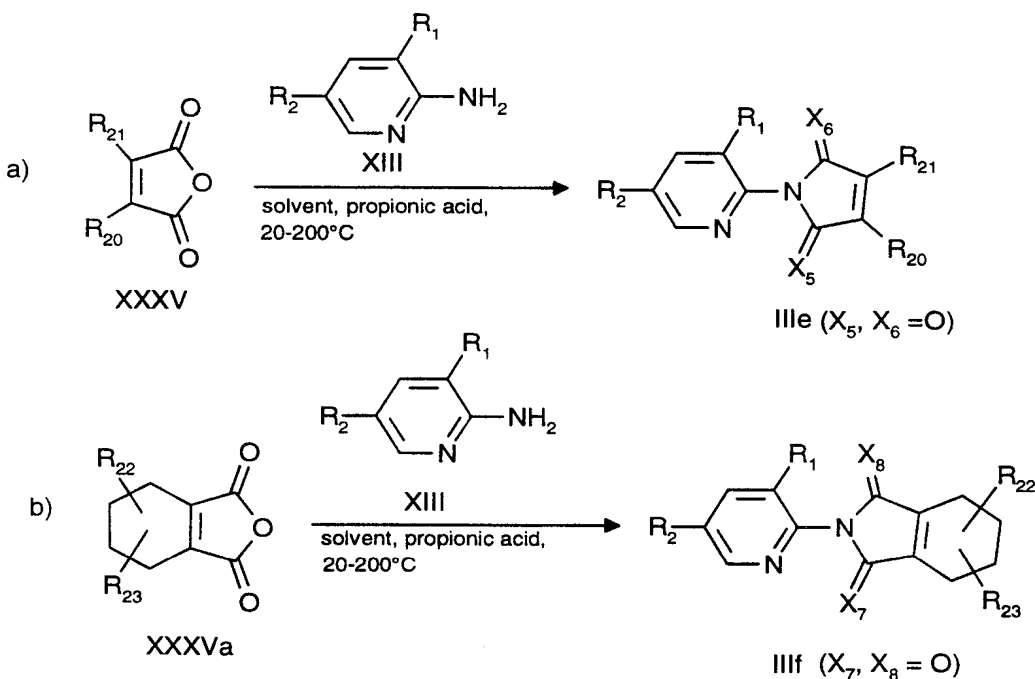
The halogen derivatives of formula III d wherein R₁₇ is halogen may be converted into the corresponding cyano-substituted derivatives of formula III d (R₁₇ = CN) according to known methods with an alkali metal cyanide, ammonium cyanide or a metal cyanide, the metal being selected from sub-groups I and II of the Periodic Table of the Elements, if appropriate with the addition of an alkali metal iodide.

The preparation of the compounds of formulae IIIe and IIIf



wherein R_1 , R_2 , R_{20} to R_{23} and X_5 to X_8 are as defined for formula I, is illustrated in Reaction Scheme 8 below.

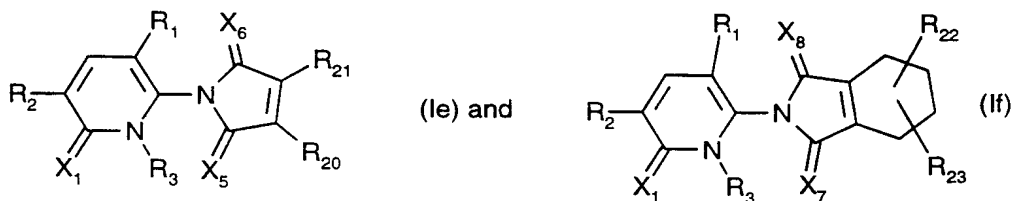
Reaction Scheme 8:



In accordance with Reaction Scheme 8, the pyrrolinedione derivatives of formula IIIe and the tetrahydroisoindolinedione derivatives of formula IIIf may be obtained analogously to known procedures, for example by reaction of an anhydride of formula XXXV (variant a)) or XXXVa (variant b)) with an aminopyridine of formula XIII in an inert solvent, for example an ether, e.g. dioxane, or a lower alkylcarboxylic acid, e.g. propionic acid, at temperatures of from 20 to 200°C.

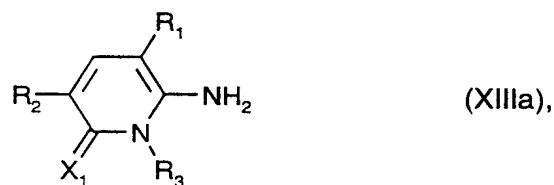
The compounds of formulae IIIe and IIIf (X_5 to $X_8 = O$) obtained in accordance with Reaction Scheme 8 may optionally be thionated with a suitable sulfur reagent (X_5 to $X_8 = S$).

The corresponding pyridono derivatives of formulae Ie and If



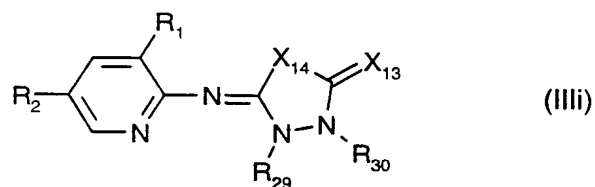
may be obtained from the compounds of formulae IIIe and IIIf as described above, by means of oxidation of the pyridyl moiety.

In a further variant, the pyridono derivatives of formulae Ie and If may also be obtained directly from the corresponding aminopyridone derivatives of formula XIIIa

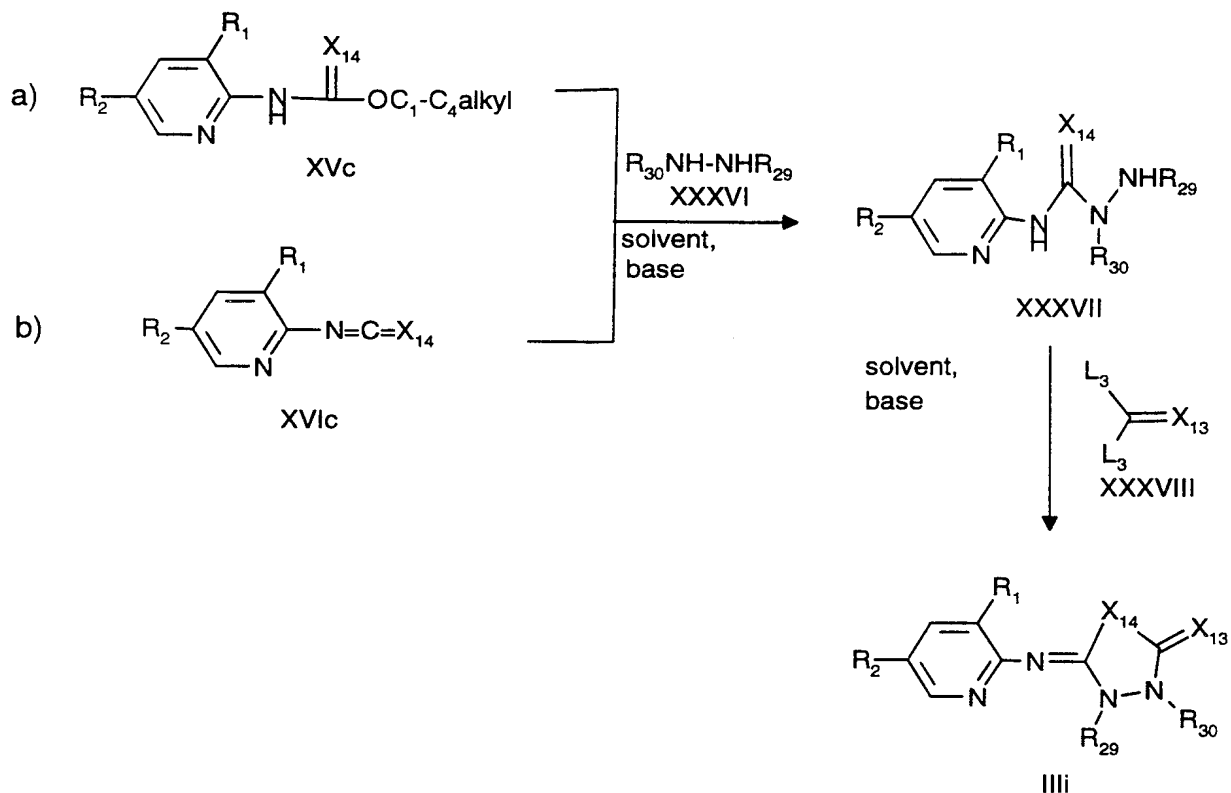


wherein R_1 , R_2 , R_3 and X_1 are as defined for formula I, analogously to the method as described in Reaction Scheme 8.


The preparation of the compounds of formula IIIi



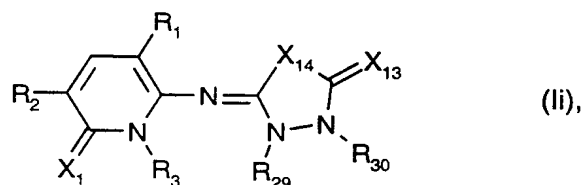
wherein R_1 , R_2 , R_{29} , R_{30} , X_{13} and X_{14} are as defined for formula I is illustrated in Reaction Scheme 9 below.

Reaction Scheme 9:

In accordance with Reaction Scheme 9, the compounds of formula IIIi may be prepared according to known procedures, for example by first reacting a carbamate of formula XVc (variant a)) or an isothiocyanate of formula XVIc (variant b)) with a hydrazine derivative of formula XXXVI to form a semicarbazide derivative of formula XXXVII and then reacting the latter in the presence of a carbonylating or thiocarbonylating reagent of formula XXXVIII. Both reaction steps are advantageously carried out in a suitable solvent and in the presence of a base. As (thio)carbonylating reagent of formula XXXVIII there come into consideration e.g. phosgene, diphosgene, thiophosgene and carbonyldiimidazole. L_3 in formula XXXVIII is therefore a leaving group, for example halogen, e.g. chlorine or bromine,

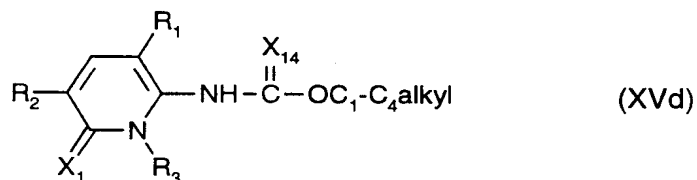
trichloromethoxy or .

The corresponding pyridono derivatives of formula II

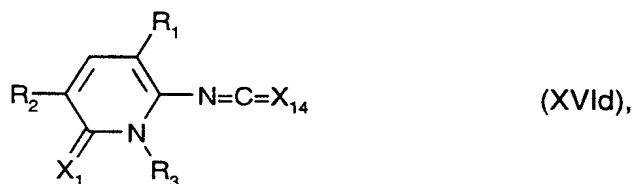


wherein R_1 to R_3 , R_{29} , R_{30} , X_1 , X_{13} and X_{14} are as defined for formula I, may be obtained from the compounds of formula IIIi in the manner described above, by means of oxidation of the pyridyl moiety.

In a further variant, the pyridono derivatives of formula li may also be obtained directly from the corresponding pyridone carbamates of formula XVd



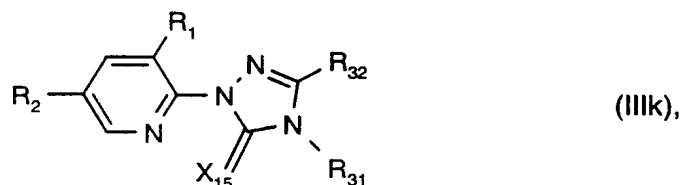
or from the iso(thio)cyanates of formula XVIId



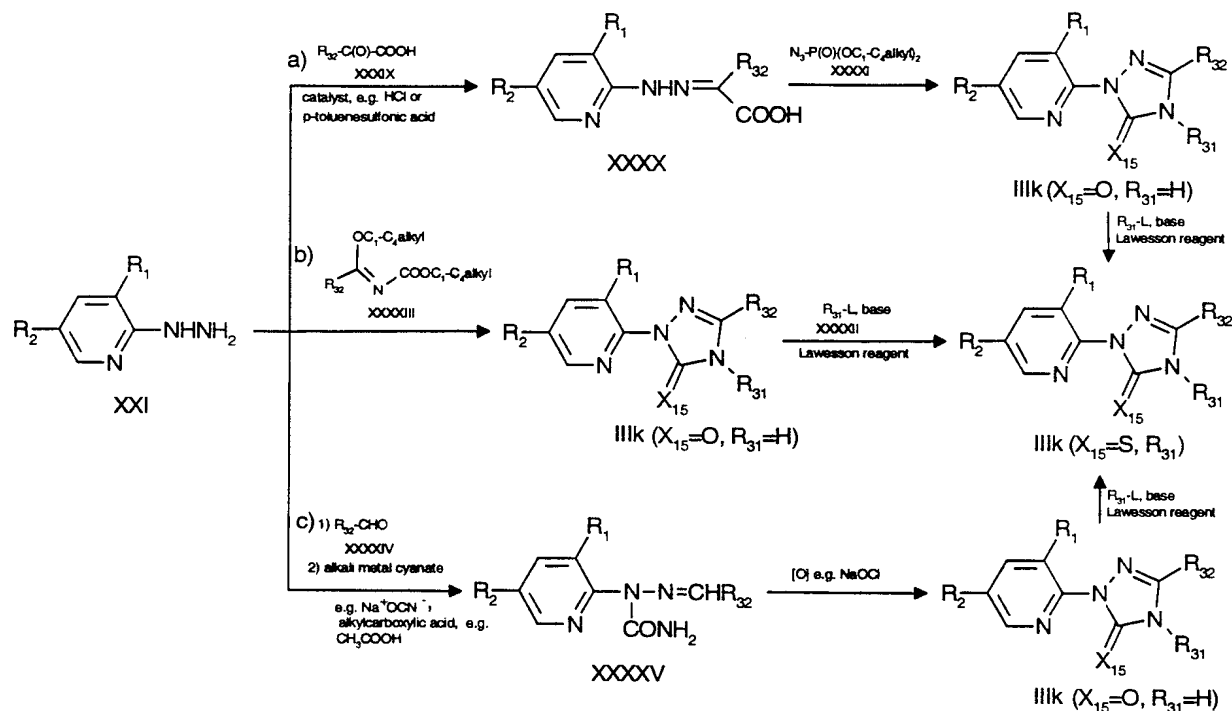
the radicals R_1 to R_3 , X_1 and X_{14} in the compounds of formulae XVd and XVIId being as defined for formula I, in a manner analogous to that described in Reaction Scheme 9.

The required starting compounds of formulae XVc and XVIc are known and are described, for example, in EP-A-0 468 924 and EP-A-0 304 920.

The preparation of the compounds of formula IIIk



wherein R_1 , R_2 , R_{31} , R_{32} and X_{15} are as defined for formula I, is illustrated in Reaction Scheme 10 below.

Reaction Scheme 10:

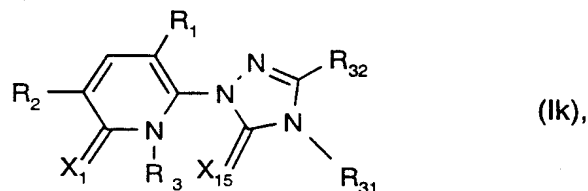
In accordance with Reaction Scheme 10, the triazolone derivatives of formula IIIk may be prepared analogously to known procedures, for example by using as starting material a hydrazinopyridine derivative of formula XXI which, in accordance with variant a), is reacted with a keto acid of formula XXXIX, advantageously in the presence of an acid catalyst, e.g. a lower alkylcarboxylic acid, e.g. propionic acid, a mineral acid, e.g. sulfuric acid or hydrochloric acid, or a sulfonic acid, e.g. p-toluenesulfonic acid, to form a hydrazone derivative of formula XXXX. The latter may then be cyclised with an azide of formula XXXXI to form a triazolone derivative of formula IIIk wherein X_{15} is oxygen and R_{31} is hydrogen and then optionally derivatised further in accordance with standard procedures with an alkylating reagent of formula XXXXII or with a sulfur reagent.

In accordance with variant b), a hydrazinopyridine derivative of formula XXI may be cyclised with an imino ether of formula XXXIII to form a triazolone derivative of formula IIIk wherein X_{15} is oxygen and R_{31} is hydrogen and then optionally alkylated or thionated as described under variant a).

In accordance with variant c), in Reaction Scheme 10 a hydrazinopyridine derivative of formula XXI may be converted first with an aldehyde of formula XXXXIV, then in the presence of a lower alkylcarboxylic acid, e.g. acetic acid, with an alkali metal cyanate to form a compound of formula XXXXV, which may or may not be isolated, and finally cyclised

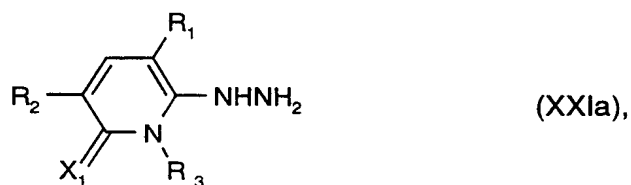
with an oxidising agent, e.g. an alkali metal hypochlorite (Javelle), to form a compound of formula IIIk wherein X_{15} is oxygen and R_{31} is hydrogen. The resulting compound of formula IIIk may then optionally be alkylated or thionated as described under variant a).

The corresponding pyridono derivatives of formula Ik



wherein R_1 to R_3 , R_{31} , R_{32} , X_1 and X_{15} are as defined for formula I, may be obtained from the compounds of formula IIIk as described above, by means of oxidation of the pyridyl moiety.

As a further variant, the pyridono derivatives of formula Ik may also be obtained directly from the corresponding pyridonylhydrazines of formula XXIa



wherein R_1 to R_3 and X_1 are as defined, in a manner analogous to the variants shown in Reaction Scheme 10.

The compounds of formulae XII and XIII are known or may be prepared in accordance with known methods, for example as described in DE-A-3 917 469; WO 97/07114; WO 92/00976; JP-A-58-213 776; EP-A-0 012 117; EP-A-0 306 547; EP-A-0 030 215; EP-A-0 272 824; EP-A-0 500 209; US-A-4 996 323; US-A-5 017 705; WO 97/05112; J. Het. Chem. 11, 889 (1974); J. Het. Chem 21, 97 (1984); Tetrahedron 41, 4057 (1985); Heterocycles 22,117; Synth. 1988, 938; J. Med. Chem. 25, 96.

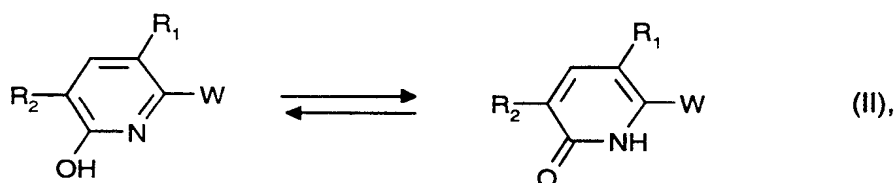
The 2-aminopyridines of formula XIII may also be prepared by degradation reactions according to Curtius, Hofmann or Lossen from corresponding pyridine derivatives with carboxylic acid, carboxylic acid chloride, carboxylic acid azide, carboxylic acid ester or carboxylic acid amide functions in the 2-position.

The compounds of formulae XII and XIIIa in Reaction Scheme 11 wherein L_4 is a leaving group, e.g. a C_1 - C_4 alkyl- or phenyl-sulfonyl group, are known or may be prepared in accordance with known methods, for example by oxidation of the corresponding thioethers

with hydrogen peroxide or Javelle water or by means of the synthesis of the heterocycle. Such syntheses are described, for example, in Synthesis 1989, 623 or in WO 98/11071.

The reagents of formulae XIV, XVa, XVb, XVIa, XVIb, XVII, XIX, XX, XXII, XXIIa, XXIII, XXV, XXVI, XXVIII, XXIX, XXXIa, XXXIb, XXXII, XXXIIa, XXXIIb, XXXIIc, XXXIV, XXXV, XXXVa, XXXVI, XXXVIII, XXXIX, XXXXI, XXXXII, XXXXIII and XXXXIV used in Reaction Schemes 2 to 10 are either known or may be prepared in analogy to known methods.

The intermediates of formula II



in which R_1 , R_2 and W are as defined for formula I and which may be in the tautomeric keto-enol form, are novel. The invention therefore relates to those compounds also.

The reactions to form compounds of formula I are advantageously carried out in aprotic, inert organic solvents. Such solvents are hydrocarbons, such as benzene, toluene, xylene or cyclohexane, chlorinated hydrocarbons, such as dichloromethane, trichloromethane, tetrachloromethane or chlorobenzene, ethers, such as diethyl ether, ethylene glycol dimethyl ether, diethylene glycol dimethyl ether, tetrahydrofuran or dioxane, nitriles, such as acetonitrile or propionitrile, amides, such as N,N-dimethylformamide, N,N-diethylformamide or N-methylpyrrolidinone. The reaction temperatures are preferably from -20°C to $+120^{\circ}\text{C}$. The reactions are generally slightly exothermic and may usually be carried out at room temperature. In order to shorten the reaction time or alternatively to initiate the reaction, the reaction mixture may be heated to its boiling point for a short time. The reaction times may likewise be shortened by the addition of a few drops of base as reaction catalyst. Suitable bases are especially tertiary amines, such as trimethylamine, triethylamine, quinuclidine, 1,4-diazabicyclo[2.2.2]octane, 1,5-diazabicyclo[4.3.0]non-5-ene or 1,5-diazabicyclo[5.4.0]undec-7-ene, but it is also possible to use inorganic bases, such as hydrides, e.g. sodium or calcium hydride, hydroxides, such as sodium or potassium hydroxide, carbonates, such as sodium or potassium carbonate, or hydrogen carbonates, such as potassium or sodium hydrogen carbonate.

The compounds of formula I can be isolated in customary manner by concentration and/or evaporation of the solvent and can be purified by recrystallisation or trituration of the solid residue in solvents in which they are not readily soluble, such as ethers, aromatic hydrocarbons or chlorinated hydrocarbons, or by means of column chromatography and a suitable eluant.

For the use according to the invention of the compounds of formula I, or of compositions comprising them, there come into consideration all methods of application customary in agriculture, for example pre-emergence application, post-emergence application and seed dressing, and also various methods and techniques such as, for example, the controlled release of active ingredient. For that purpose a solution of the active ingredient is applied to mineral granule carriers or polymerised granules (urea/formaldehyde) and dried. If required, it is also possible to apply a coating (coated granules), which allows the active ingredient to be released in metered amounts over a specific period of time.

The compounds of formula I may be used as herbicides in their unmodified form, that is to say as obtained in the synthesis, but they are preferably formulated in customary manner together with the adjuvants conventionally employed in formulation technology, for example into emulsifiable concentrates, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granules or microcapsules. Such formulations are described, for example, on pages 9 to 13 of WO 97/34485. As with the nature of the compositions, the methods of application, such as spraying, atomising, dusting, wetting, scattering or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances.

The formulations, that is to say the compositions, preparations or mixtures comprising the compound (active ingredient) of formula I or at least one compound of formula I and, usually, one or more solid or liquid formulation adjuvants, are prepared in known manner, e.g. by homogeneously mixing and/or grinding the active ingredients with the formulation adjuvants, for example solvents or solid carriers. Surface-active compounds (surfactants) may also be used in addition in the preparation of the formulations. Examples of solvents and solid carriers are given, for example, on page 6 of WO 97/34485.

Depending on the nature of the compound of formula I to be formulated, suitable surface-active compounds are non-ionic, cationic and/or anionic surfactants and surfactant mixtures having good emulsifying, dispersing and wetting properties. Examples of suitable anionic, non-ionic and cationic surfactants are listed, for example, on pages 7 and 8 of

WO 97/34485.

In addition, the surfactants conventionally employed in formulation technology, which are described, *inter alia*, in "McCutcheon's Detergents and Emulsifiers Annual" MC Publishing Corp., Ridgewood New Jersey, 1981, Stache, H., "Tensid-Taschenbuch", Carl Hanser Verlag, Munich/Vienna 1981, and M. and J. Ash, "Encyclopedia of Surfactants", Vol. I-III, Chemical Publishing Co., New York, 1980-81, are also suitable for the preparation of the herbicidal compositions according to the invention.

The herbicidal formulations generally contain from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, of herbicide, from 1 to 99.9 % by weight, especially from 5 to 99.8 % by weight, of a solid or liquid formulation adjuvant, and from 0 to 25 % by weight, especially from 0.1 to 25 % by weight, of a surfactant. Whereas commercial products will preferably be formulated as concentrates, the end user will normally employ dilute formulations. The compositions may also comprise further ingredients, such as stabilisers, for example vegetable oils or epoxidised vegetable oils (epoxidised coconut oil, rape oil or soybean oil), anti-foams, for example silicone oil, preservatives, viscosity regulators, binders, tackifiers, and also fertilisers or other active ingredients.

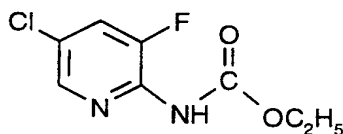
The compounds of formula I are generally applied to plants or the locus thereof at rates of application of from 0.001 to 4 kg/ha, especially from 0.005 to 2 kg/ha. The concentration required to achieve the desired effect can be determined by experiment. It is dependent on the nature of the action, the stage of development of the cultivated plant and of the weed and on the application (place, time, method) and may vary within wide limits as a function of those parameters.

The compounds of formula I are distinguished by herbicidal and growth-inhibiting properties, allowing them to be used in crops of useful plants, especially cereals, cotton, soybeans, sugar beet, sugar cane, plantation crops, rape, maize and rice, and also for non-selective weed control. The term "crops" is to be understood as including also crops that have been made tolerant to herbicides or classes of herbicides as a result of conventional methods of breeding or genetic techniques. The weeds to be controlled may be either monocotyledonous or dicotyledonous weeds, such as, for example, Stellaria, Nasturtium, Agrostis, Digitaria, Avena, Setaria, Sinapis, Lolium, Solanum, Echinochloa, Scirpus, Monochoria, Sagittaria, Bromus, Alopecurus, Sorghum halepense, Rottboellia, Cyperus, Abutilon, Sida, Xanthium, Amaranthus, Chenopodium, Ipomoea, Chrysanthemum, Galium, Viola and Veronica.

The following Examples further illustrate but do not limit the invention.

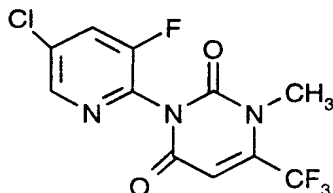
Preparation Examples:

Example P1: Preparation of 2-N-ethoxycarbonylamino-3-fluoro-5-chloro-pyridine



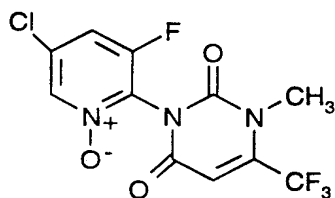
294 g of 2-amino-3-fluoro-5-chloro-pyridine are dissolved in 1 litre of dry pyridine and cooled to 0°C; 220 g of ethyl chloroformate are added dropwise, with stirring, and the mixture is stirred at 22°C until reaction is complete. The reaction mixture is then poured into ice-water, adjusted to pH 4-5 with 2N hydrochloric acid and extracted with ethyl acetate. The combined extracts are washed with water, dried over sodium sulfate, concentrated by evaporation and caused to crystallise by the addition of n-hexane. The resulting precipitate is filtered off, washed with n-hexane and dried *in vacuo*, yielding the desired title compound having a melting point of 132°C.

Example P2: Preparation of 1-(3-fluoro-5-chloro-pyridin-2-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione



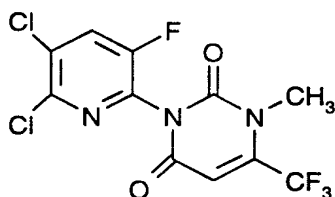
Under a nitrogen atmosphere, with cooling and stirring, a solution of 22.7 g of 4,4,4-trifluoro-3-amino-2-butenic acid ethyl ester is added dropwise at 0-5°C to 5.1 g of a previously prepared sodium hydride dispersion (60%) in 60 ml of N-methylpyrrolidine and the mixture is stirred at 22°C until the evolution of hydrogen has ceased. 23.7 g of 2-ethoxycarbonylamino-3-fluoro-5-chloro-pyridine (Example P1) are then added and the reaction mixture is heated at 120°C for about 5 hours. The mixture is then cooled, 16.7 g of methyl iodide are added dropwise and stirring is carried out at 22°C overnight. The reaction mixture is taken up in ethyl acetate and then washed with ice-water, dried over sodium sulfate, filtered and concentrated by evaporation. The resulting residue is recrystallised from ethyl acetate/n-hexane, yielding the desired title compound having a melting point of 133-134°C.

Example P3: Preparation of 1-(3-fluoro-5-chloro-2-pyridyl-N-oxide)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione



24 g of 1-(3-fluoro-5-chloro-pyridin-2-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione (Example P2) in 150 ml of dichloromethane are cooled to -5°C and 2 g of hydrogen peroxide/urea adduct are added. 2.7 ml of trifluoroacetic acid anhydride dissolved in 2 ml of dichloromethane are then metered in dropwise and after the exothermic reaction has subsided the reaction mixture is stirred overnight to complete the reaction. A further 5 g of hydrogen peroxide/urea adduct and 3 ml of trifluoroacetic acid anhydride are then added in two portions in the course of 3 hours and after the exothermic reaction has subsided the reaction mixture is heated at $25-35^{\circ}\text{C}$ until the reaction is complete. The reaction mixture is then cooled and at -5°C adjusted to pH 7.5 first with 2N sodium hydroxide solution and then with saturated sodium hydrogen carbonate solution and partitioned between dichloromethane and ice-water; the organic phase is separated off, dried over sodium sulfate, filtered and concentrated by evaporation. The solid residue that remains is recrystallised from ethyl acetate/n-hexane, yielding the desired product having a melting point of $142-143^{\circ}\text{C}$.

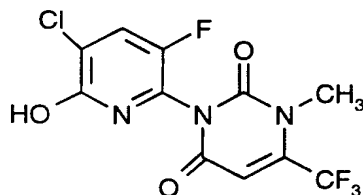
Example P4: Preparation of 1-(3-fluoro-5,6-dichloro-2-pyridyl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione



6.8 g of 1-(3-fluoro-5-chloro-2-pyridyl-N-oxide)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione (Example P3) are added in portions to a solution, heated to 70°C , of 2.4 ml of phosphorus oxytrichloride in 20 ml of 1,2-dichloroethane and the mixture is maintained at that temperature overnight; a further 4.0 ml of phosphorus oxytrichloride is added and the mixture is heated for a further 20 hours. The mixture is then cooled, poured into ice-water and extracted with dichloroethane and the combined extracts are washed with ice-cold 2N

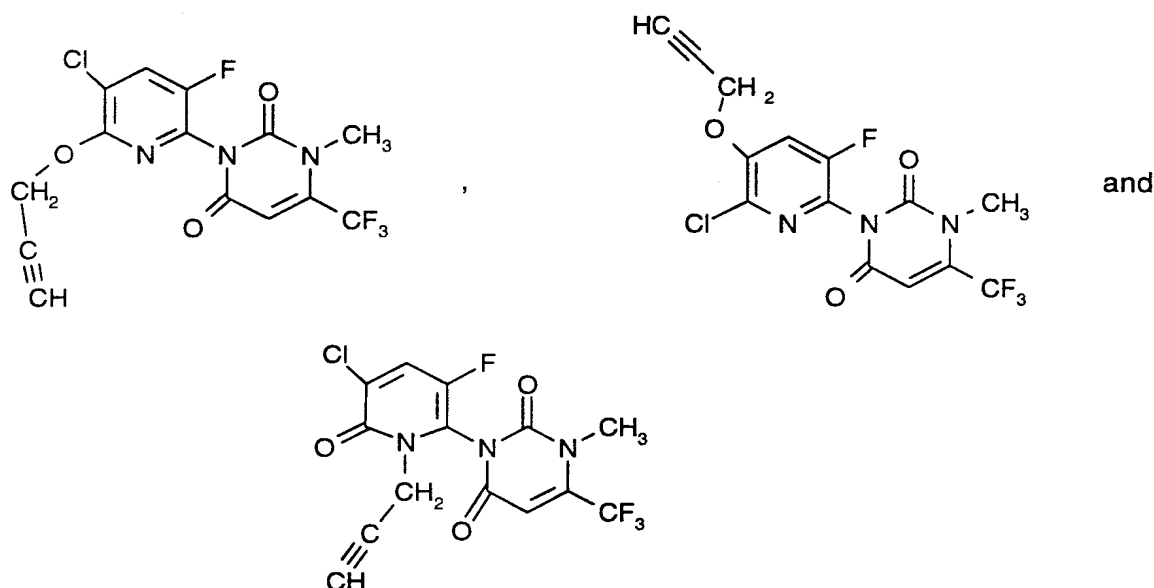
sodium hydroxide solution and water, dried over sodium sulfate and concentrated by evaporation. The residue is purified by means of silica gel chromatography (eluant: hexane/ethyl acetate 9/1), yielding the desired title compound having a melting point of 113-115°C.

Example P5: Preparation of 1-(2-hydroxy-3-chloro-5-fluoro-pyridin-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione



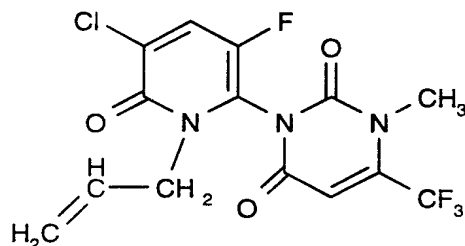
182 g of trifluoroacetic acid anhydride are added dropwise to a solution, cooled to -30°C, of 29.6 g of 1-(3-fluoro-5-chloro-2-pyridyl-N-oxide)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione (Example P3) in 400 ml of dimethylformamide and the mixture is then stirred overnight at -30°C and on the following day at 22°C. The mixture is then freed of excess trifluoroacetic acid anhydride *in vacuo*, cooled to -5°C and cautiously neutralised first with dilute sodium hydroxide solution and then with sodium hydrogen carbonate solution. After the addition of ice-water, extraction is carried out with ethyl acetate and the combined extracts are washed with water and dried over sodium sulfate. Filtration is then carried out and the filtrate is concentrated by evaporation; the resulting residue is purified over a column of silica gel (eluant: n-hexane/ethyl acetate 8/2, with an increasing gradient with respect to ethyl acetate). The desired title compound having a melting point of 178°C is obtained.

Example P6: Preparation of 1-(2-propargyloxy-3-chloro-5-fluoro-pyridin-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione, 1-(2-chloro-3-propargyloxy-5-fluoro-pyridin-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione and 1-(1-propargyloxy-3-chloro-5-fluoro-2-pyridon-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione



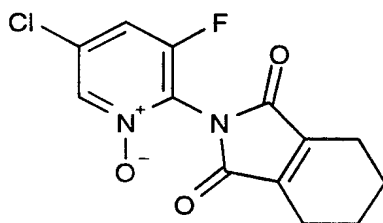
4.5 ml of propargyl bromide are added dropwise to a suspension of 10.2 g of a mixture of 1-(2-hydroxy-3-chloro-5-fluoro-pyridin-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione and 1-(2-chloro-3-hydroxy-5-fluoro-pyridin-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione (Example P5), 7.5 g of potassium carbonate and 0.08 g of 18-crown-6 in 180 ml of acetonitrile and the mixture is then heated at 65°C overnight. Concentration by evaporation *in vacuo* is then carried out, and an ethyl acetate/ice-water mixture and 1N hydrochloric acid are added to the resulting residue until a pH of 7 is obtained; the aqueous phase is separated off and extracted with ethyl acetate and the combined organic phases are washed with water, dried over sodium sulfate, filtered and concentrated by evaporation. The residue is purified by silica gel chromatography (eluant: n-hexane/ethyl acetate 8/2), yielding the desired isomers 1-(2-propargyloxy-3-chloro-5-fluoro-pyridin-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione having a melting point of 121-122°C, 1-(2-chloro-3-propargyloxy-5-fluoro-pyridin-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione having a melting point of 69-71°C and 1-(1-propargyloxy-3-chloro-5-fluoro-2-pyridon-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione having a melting point of 223-224°C.

Example P7: Preparation of 1-(1-allyloxy-3-chloro-5-fluoro-2-pyridon-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione



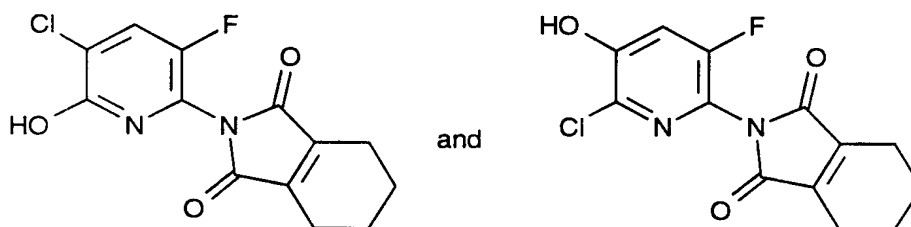
A solution of 0.62 g of 1-(2-allyloxy-3-chloro-5-fluoro-pyridin-6-yl)-3-methyl-4-trifluoromethyl-pyrimidine-2,6-dione in 5 ml of dioxane is stirred at 20°C with 0.02 g of palladium(II) chloride/diacetonitrile complex until reaction is complete. The reaction mixture is then filtered over silica gel and concentrated by evaporation. The title compound is purified by silica gel chromatography (eluant: ethyl acetate/hexane). The title compound is obtained in pure form with a melting point of 115-117°C.

Example P8: Preparation of 2-(5-chloro-3-fluoro-1-oxy-pyridin-2-yl)-4,5,6,7-tetrahydroisoindolo-1,3-dione (intermediate)



With cooling at -25° to -15°C, 15 g of trifluoroacetic acid anhydride are added in the course of 30 minutes to a suspension of 10.1 g of 2-(5-chloro-3-fluoro-pyridin-2-yl)-4,5,6,7-tetrahydroisoindolo-1,3-dione (prepared analogously to Chem. Abstr. 114, 164251f) and 6.6 g of hydrogen peroxide/urea adduct in 100 ml of 1,2-dichloroethane. Stirring is carried out at +10°C overnight, and then a further 3.3 g of hydrogen peroxide/urea adduct is added and stirring is continued at 20°C until reaction is complete. Everything is then poured into ice-water and neutralised with aqueous 2N sodium hydroxide solution. The product is extracted with ethyl acetate, washed with water and dried. Concentration by evaporation yields a solid, which is purified by means of silica gel chromatography (eluant: hexane/ethyl acetate 7/3). The desired 2-(5-chloro-3-fluoro-1-oxy-pyridin-2-yl)-4,5,6,7-tetrahydroisoindolo-1,3-dione having a melting point of 162-164°C is obtained.

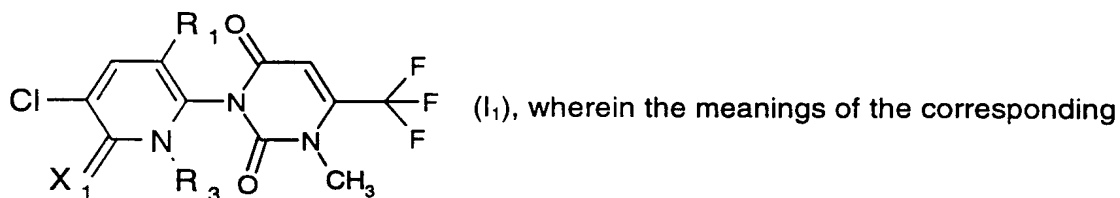
Example P9: Preparation of 2-(5-chloro-3-fluoro-6-hydroxy-pyridin-2-yl)-4,5,6,7-tetrahydroisoindolo-1,3-dione and 2-(5-hydroxy-6-chloro-3-fluoro-pyridin-2-yl)-4,5,6,7-tetrahydroisoindolo-1,3-dione



3.6 ml of trifluoroacetic acid anhydride are added dropwise, with cooling at -30° to -20°C , to a solution of 0.79 g of 2-(5-chloro-3-fluoro-1-oxy-pyridin-2-yl)-4,5,6,7-tetrahydroisoindolo-1,3-dione (Example P8) in 12 ml of dimethylformamide and the mixture is stirred at $+10^{\circ}\text{C}$ overnight. A further 3 ml of trifluoroacetic acid anhydride is then added dropwise and stirring is continued at $+10^{\circ}\text{C}$ for 15 hours. Concentration by evaporation is then carried out; ethyl acetate is added to the resulting residue and the mixture is rendered basic with aqueous 1N sodium hydroxide solution. Extraction is then carried out with ethyl acetate and the combined extracts are washed with water, dried and concentrated by evaporation. The residue is purified by silica gel chromatography, yielding the isomeric mixture of the two title compounds having a melting point of $190-193^{\circ}\text{C}$. The mixture can either be separated or further reacted directly.

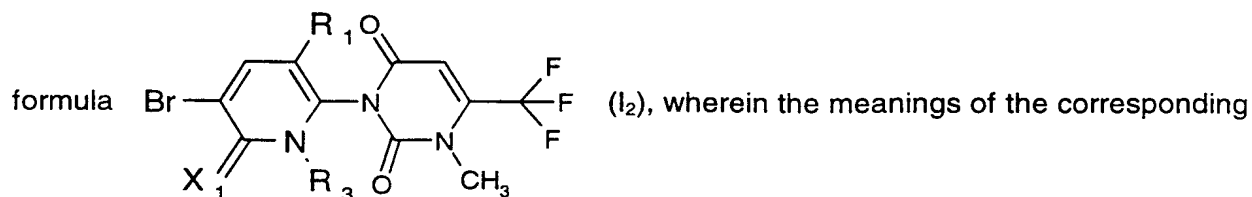
In an analogous manner, and in accordance with methods as shown in the general Reaction Schemes 1-10 and in the references indicated, it is also possible to obtain the preferred compounds listed in the following Tables.

Table 1: A preferred group of compounds of formula I corresponds to general formula



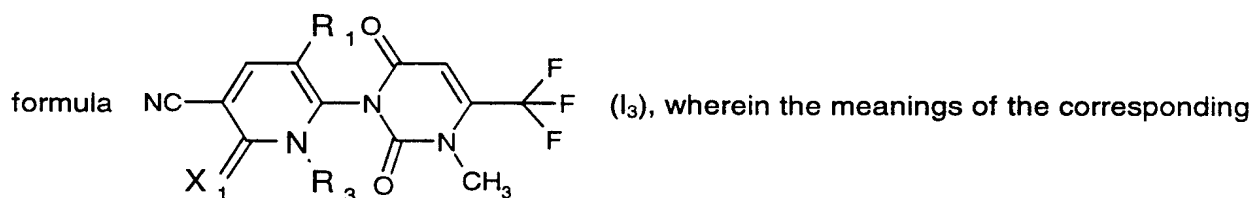
substituents R_1 , X_1 and R_3 are given in Table A, so that 423 specific compounds of formula I_1 are disclosed.

Table 2: A further preferred group of compounds of formula I corresponds to general



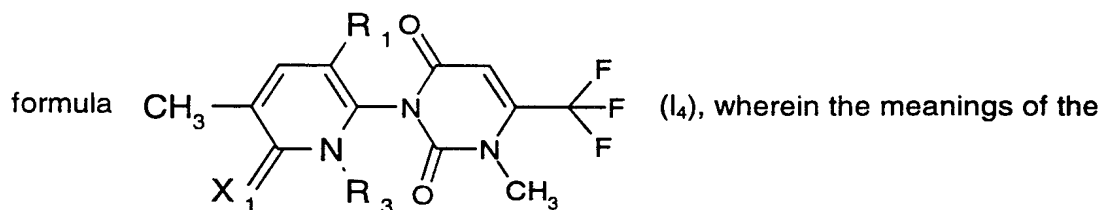
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂ are disclosed.

Table 3: A further preferred group of compounds of formula I corresponds to general



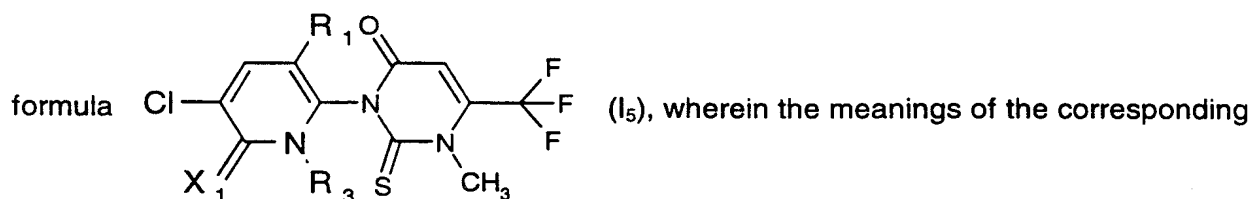
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃ are disclosed.

Table 4: A further preferred group of compounds of formula I corresponds to general



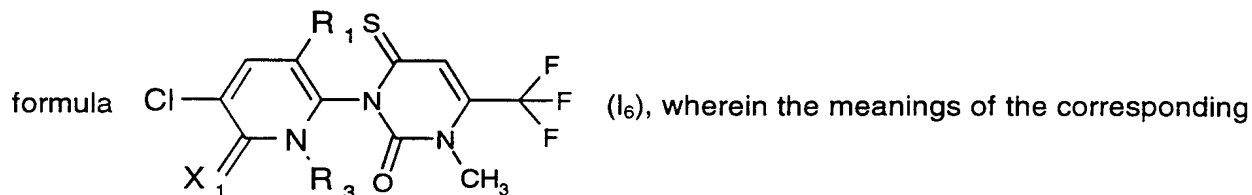
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄ are disclosed.

Table 5: A further preferred group of compounds of formula I corresponds to general



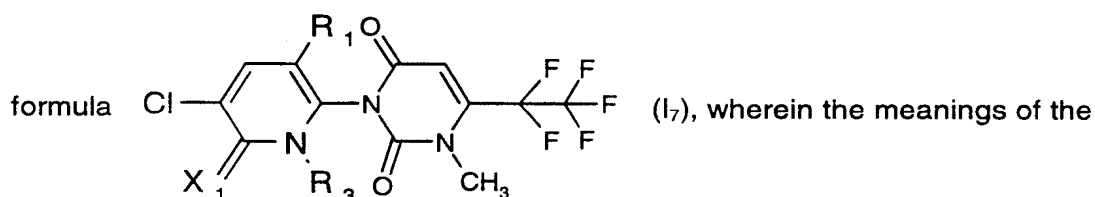
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅ are disclosed.

Table 6: A further preferred group of compounds of formula I corresponds to general



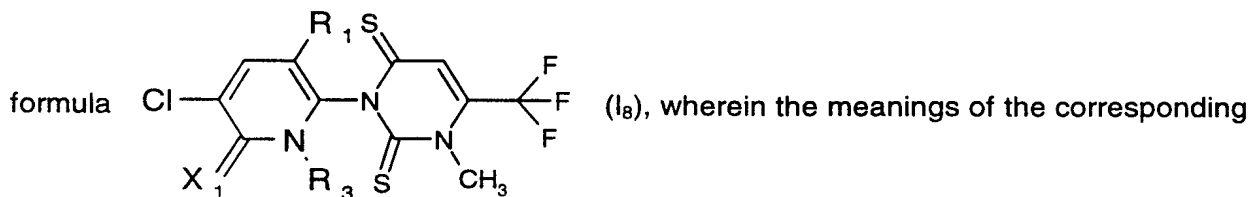
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆ are disclosed.

Table 7: A further preferred group of compounds of formula I corresponds to general



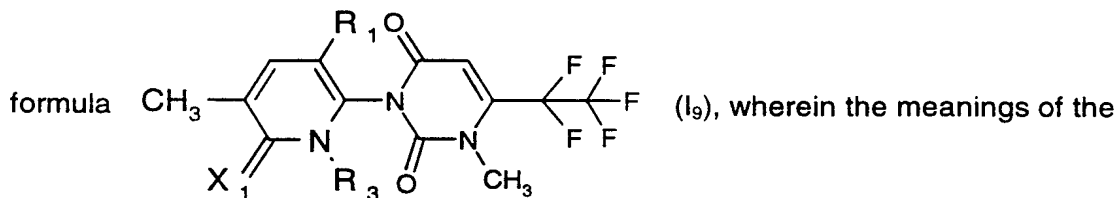
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇ are disclosed.

Table 8: A further preferred group of compounds of formula I corresponds to general



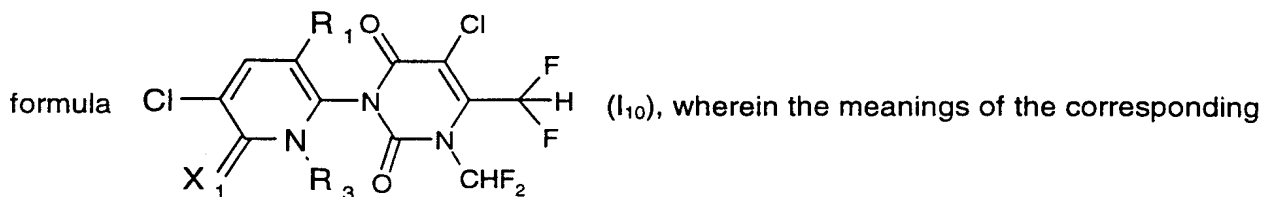
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈ are disclosed.

Table 9: A further preferred group of compounds of formula I corresponds to general



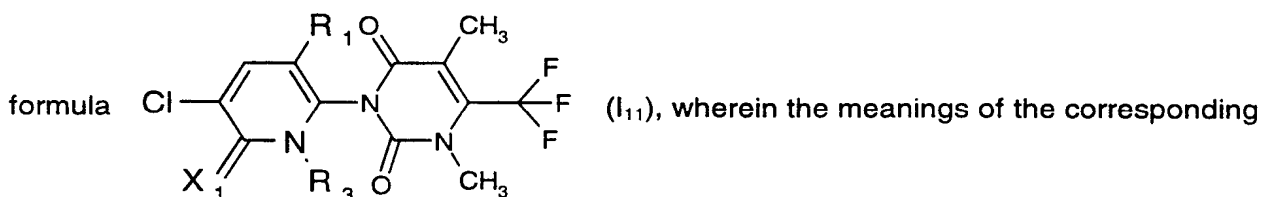
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉ are disclosed.

Table 10: A further preferred group of compounds of formula I corresponds to general



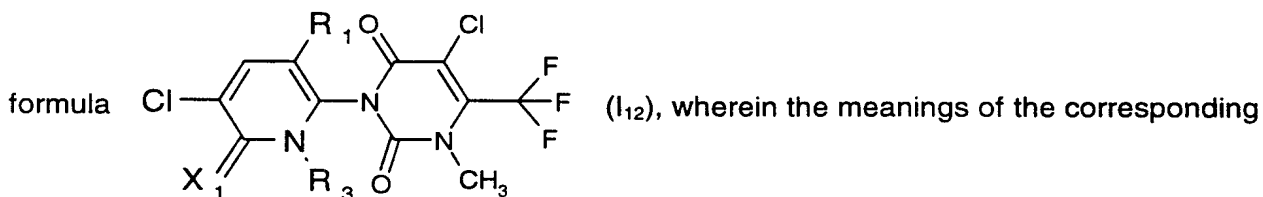
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀ are disclosed.

Table 11: A further preferred group of compounds of formula I corresponds to general



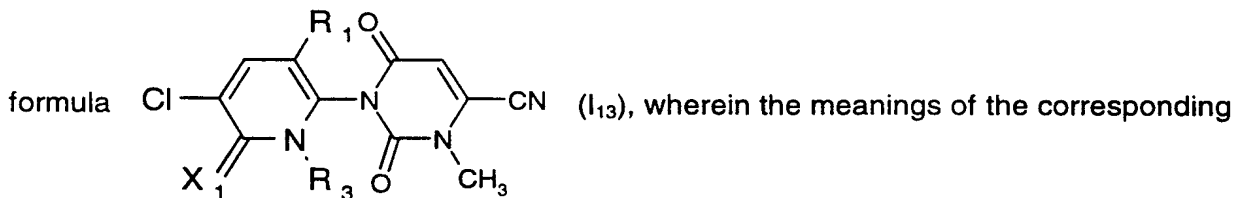
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁ are disclosed.

Table 12: A further preferred group of compounds of formula I corresponds to general



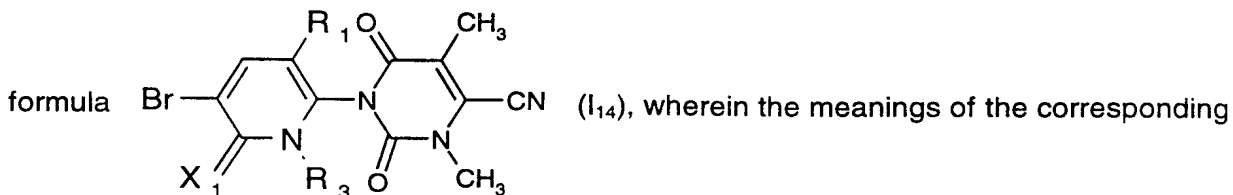
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂ are disclosed.

Table 13: A further preferred group of compounds of formula I corresponds to general



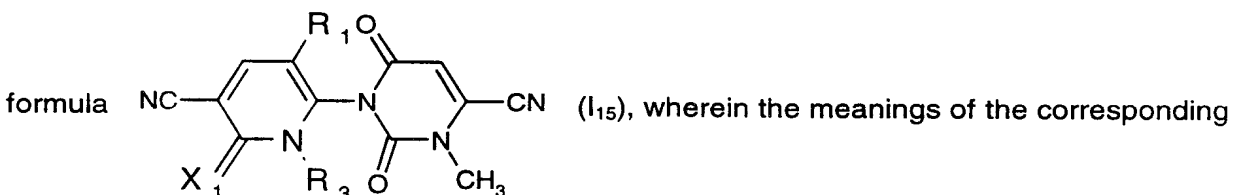
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃ are disclosed.

Table 14: A further preferred group of compounds of formula I corresponds to general



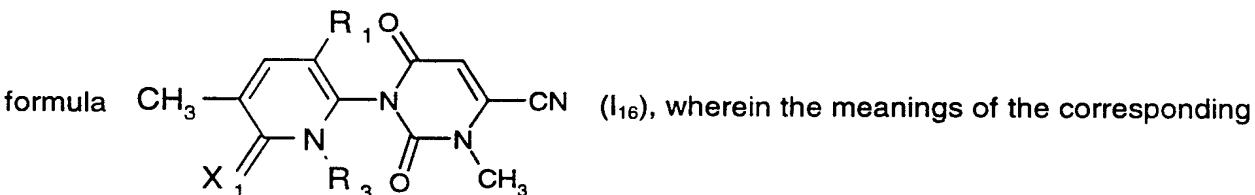
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄ are disclosed.

Table 15: A further preferred group of compounds of formula I corresponds to general



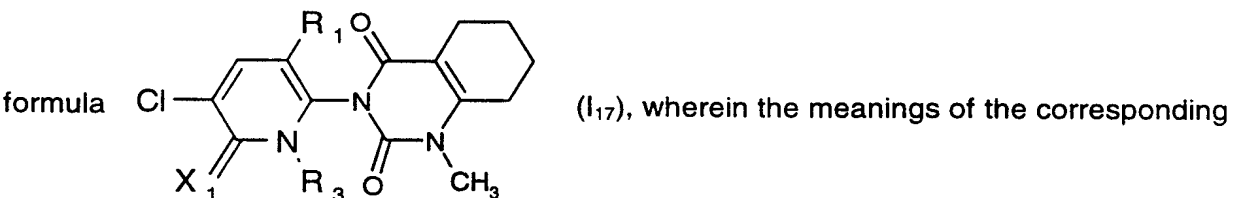
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅ are disclosed.

Table 16: A further preferred group of compounds of formula I corresponds to general



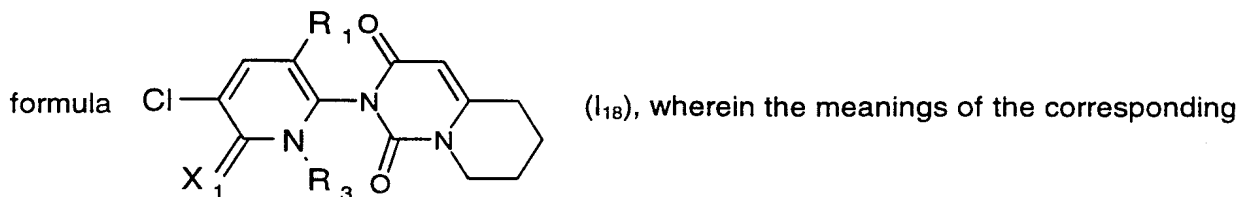
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆ are disclosed.

Table 17: A further preferred group of compounds of formula I corresponds to general



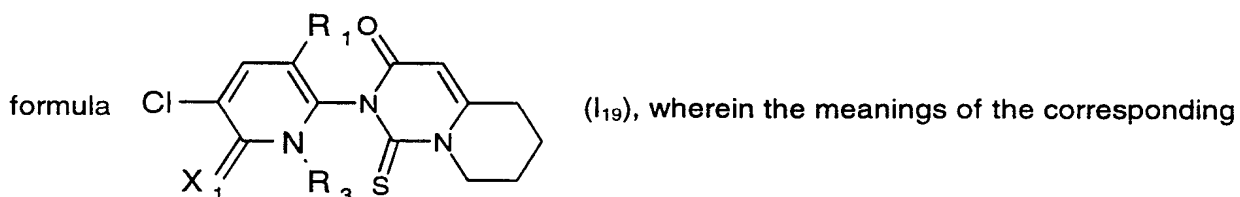
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇ are disclosed.

Table 18: A further preferred group of compounds of formula I corresponds to general



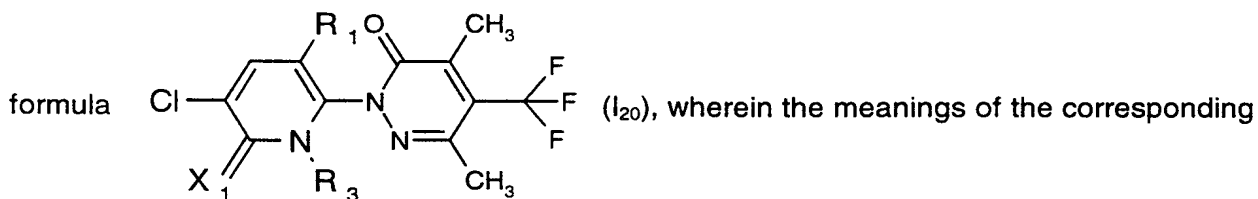
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₈ are disclosed.

Table 19: A further preferred group of compounds of formula I corresponds to general



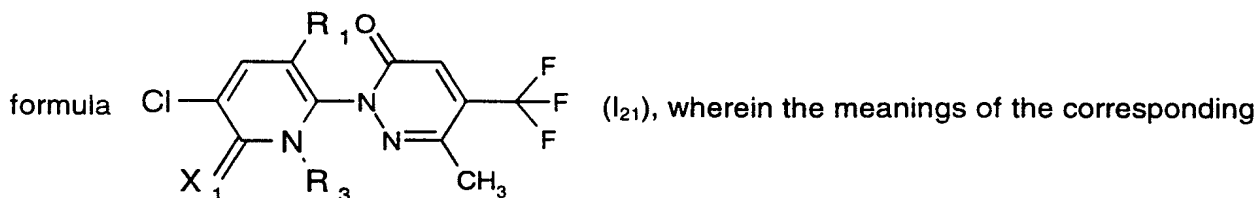
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₉ are disclosed.

Table 20: A further preferred group of compounds of formula I corresponds to general



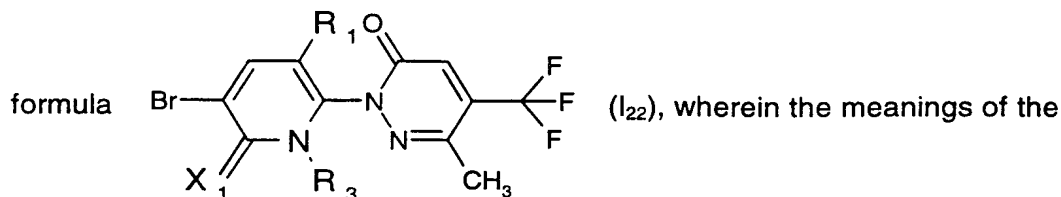
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀ are disclosed.

Table 21: A further preferred group of compounds of formula I corresponds to general



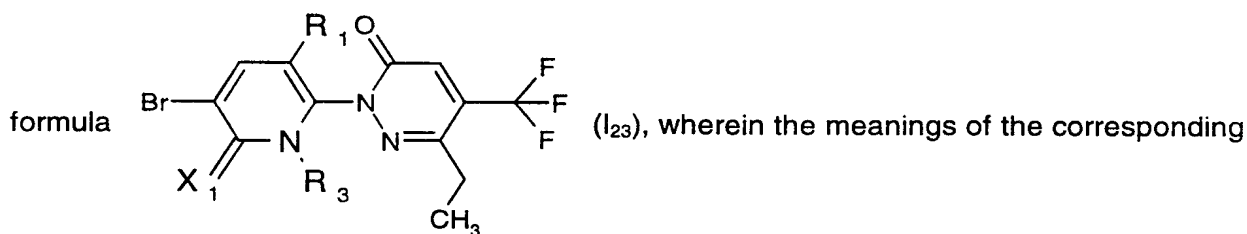
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁ are disclosed.

Table 22: A further preferred group of compounds of formula I corresponds to general



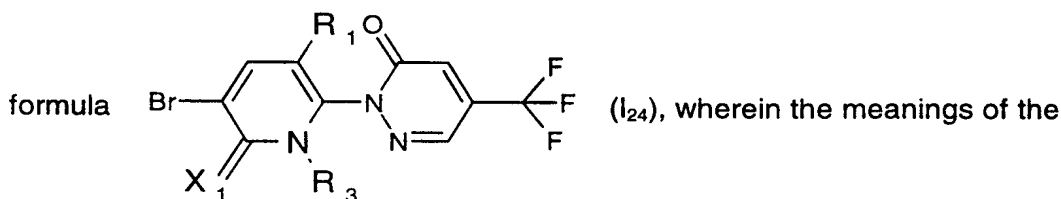
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₂ are disclosed.

Table 23: A further preferred group of compounds of formula I corresponds to general



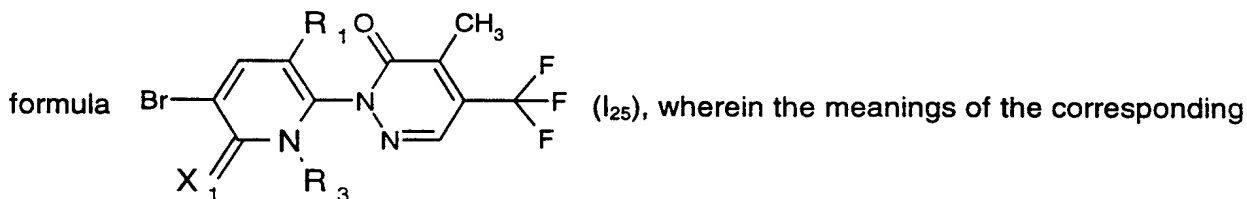
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₃ are disclosed.

Table 24: A further preferred group of compounds of formula I corresponds to general



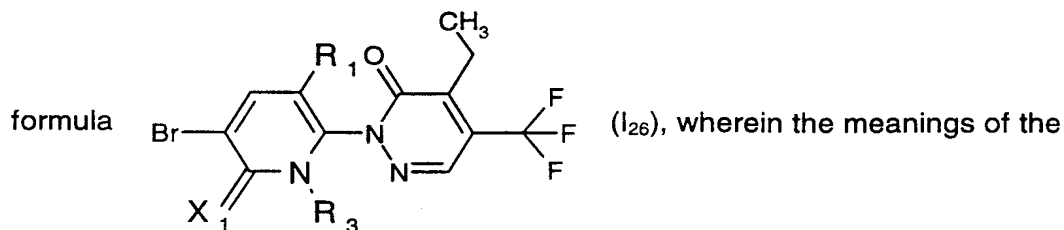
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₄ are disclosed.

Table 25: A further preferred group of compounds of formula I corresponds to general



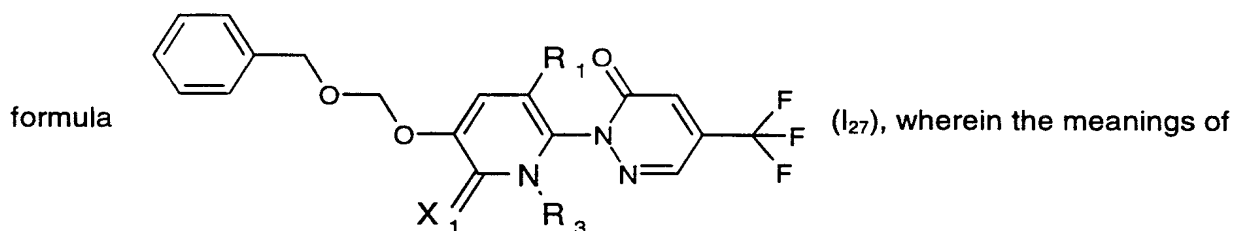
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₅ are disclosed.

Table 26: A further preferred group of compounds of formula I corresponds to general



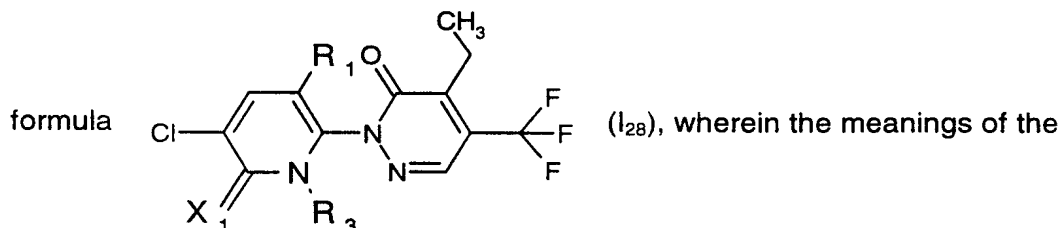
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₆ are disclosed.

Table 27: A further preferred group of compounds of formula I corresponds to general



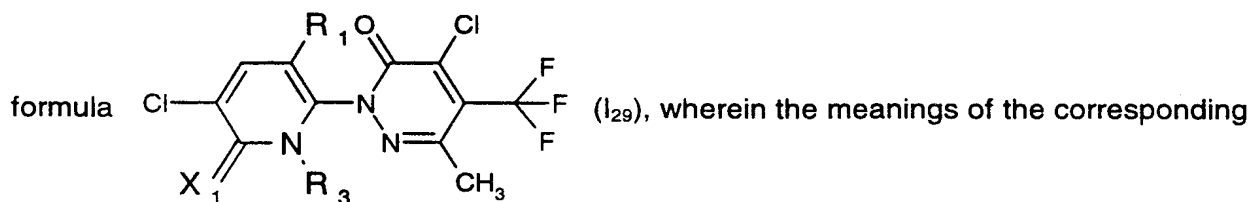
the corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₇ are disclosed.

Table 28: A further preferred group of compounds of formula I corresponds to general



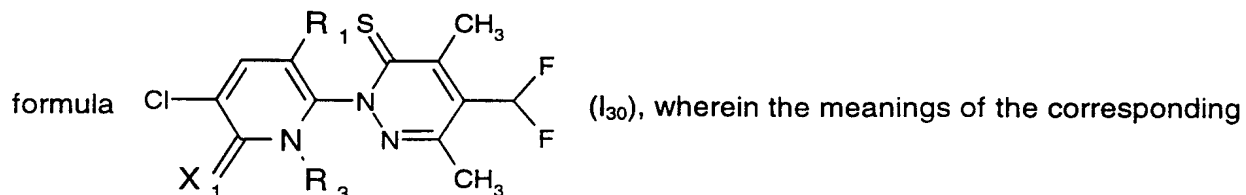
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₈ are disclosed.

Table 29: A further preferred group of compounds of formula I corresponds to general



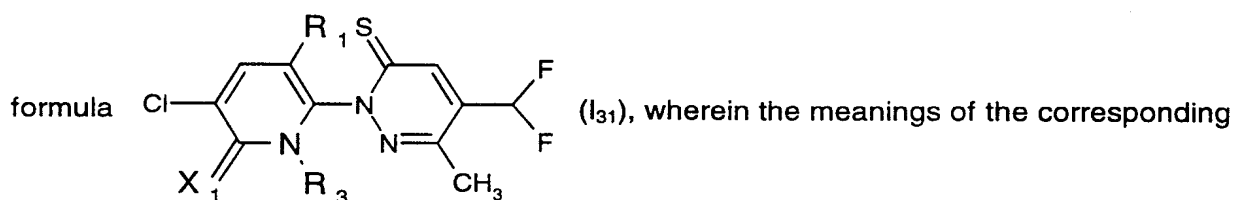
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₉ are disclosed.

Table 30: A further preferred group of compounds of formula I corresponds to general



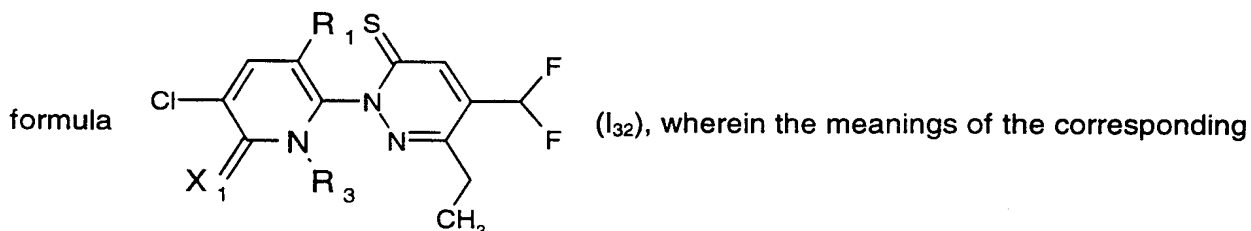
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₀ are disclosed.

Table 31: A further preferred group of compounds of formula I corresponds to general



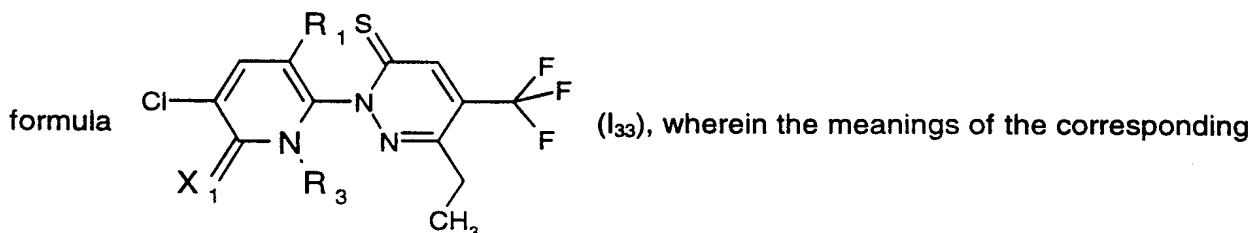
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₁ are disclosed.

Table 32: A further preferred group of compounds of formula I corresponds to general



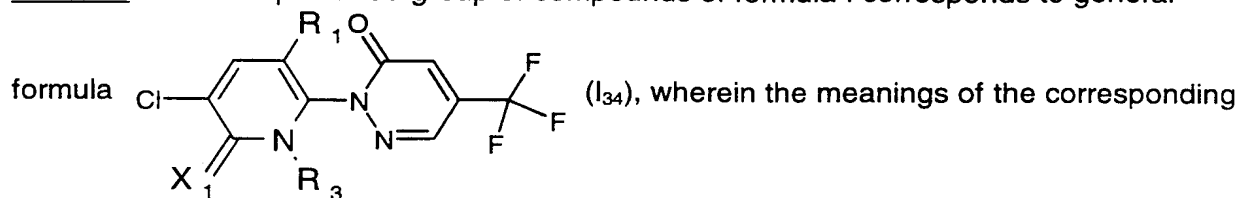
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₂ are disclosed.

Table 33: A further preferred group of compounds of formula I corresponds to general



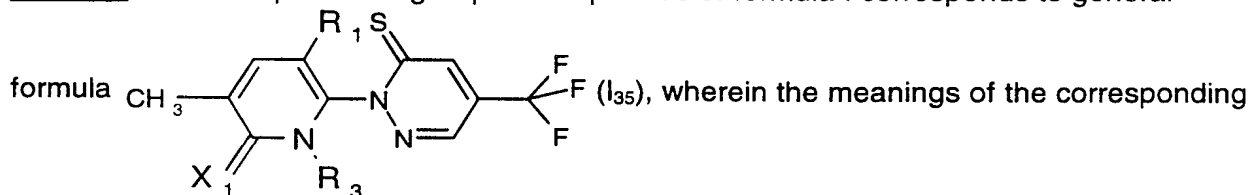
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₃ are disclosed.

Table 34: A further preferred group of compounds of formula I corresponds to general



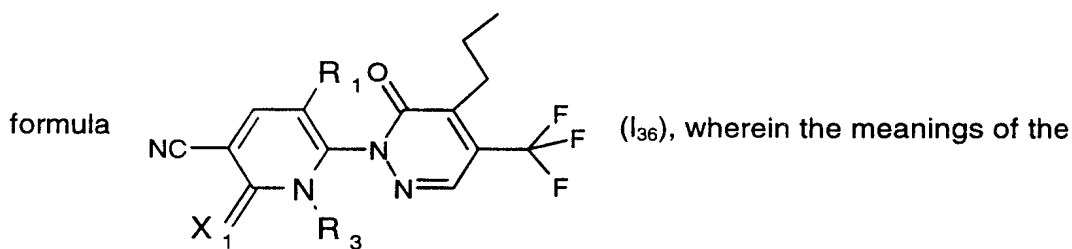
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₄ are disclosed.

Table 35: A further preferred group of compounds of formula I corresponds to general



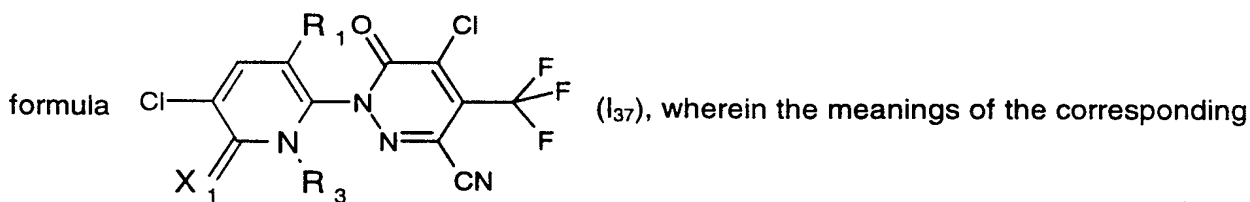
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₅ are disclosed.

Table 36: A further preferred group of compounds of formula I corresponds to general



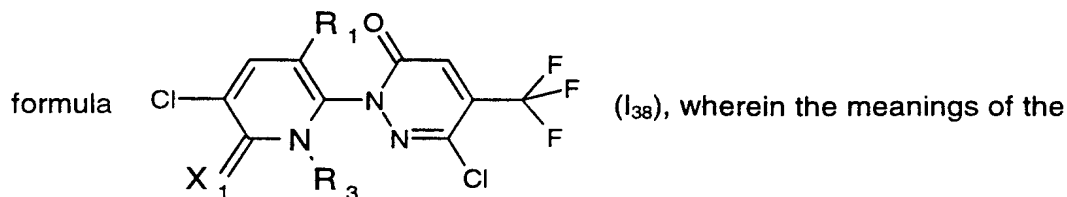
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₆ are disclosed.

Table 37: A further preferred group of compounds of formula I corresponds to general



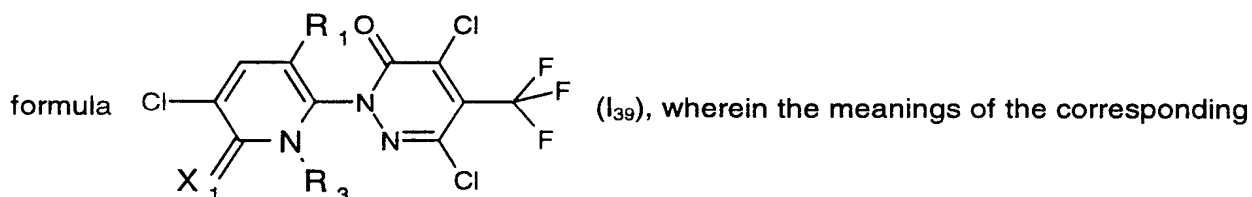
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₇ are disclosed.

Table 38: A further preferred group of compounds of formula I corresponds to general



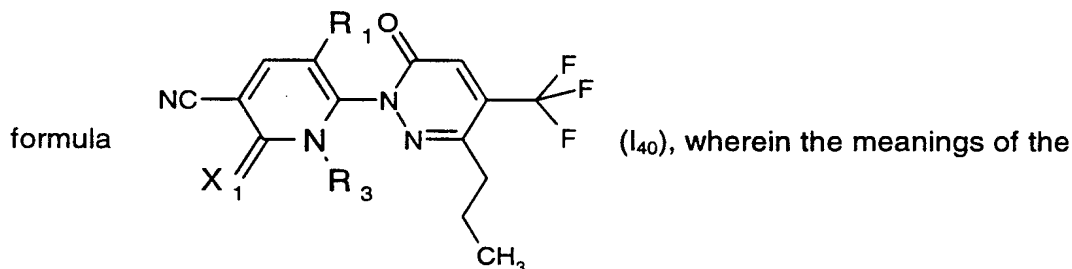
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₈ are disclosed.

Table 39: A further preferred group of compounds of formula I corresponds to general



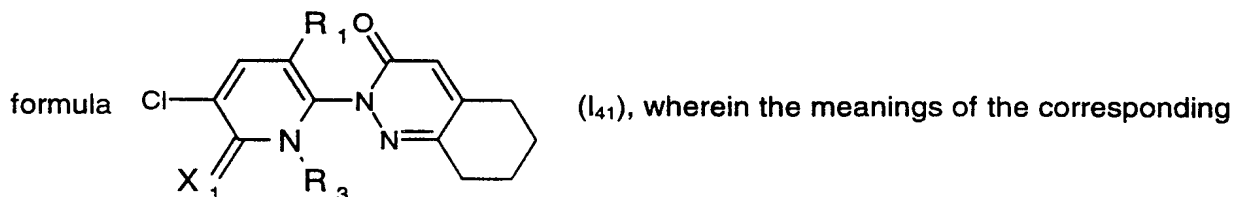
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₃₉ are disclosed.

Table 40: A further preferred group of compounds of formula I corresponds to general



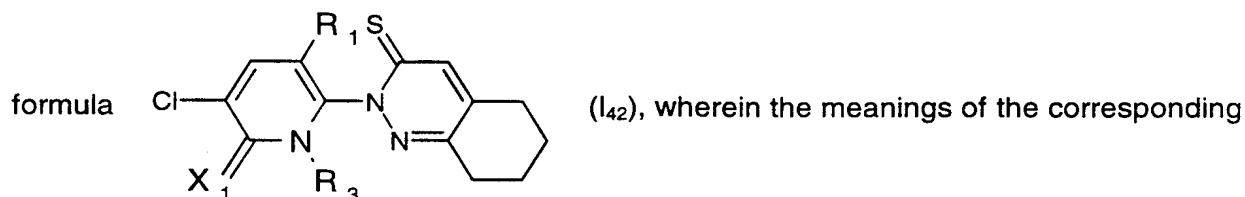
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₀ are disclosed.

Table 41: A further preferred group of compounds of formula I corresponds to general



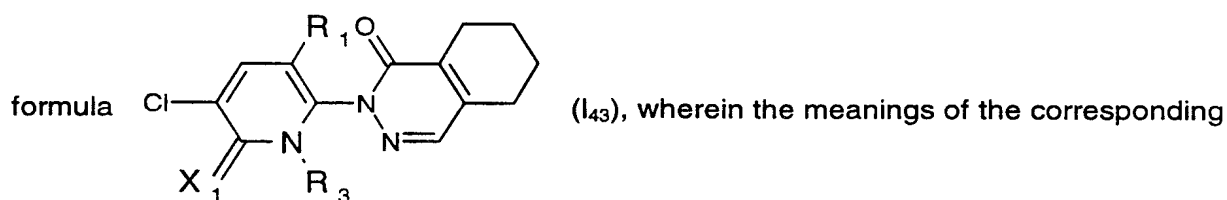
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₁ are disclosed.

Table 42: A further preferred group of compounds of formula I corresponds to general



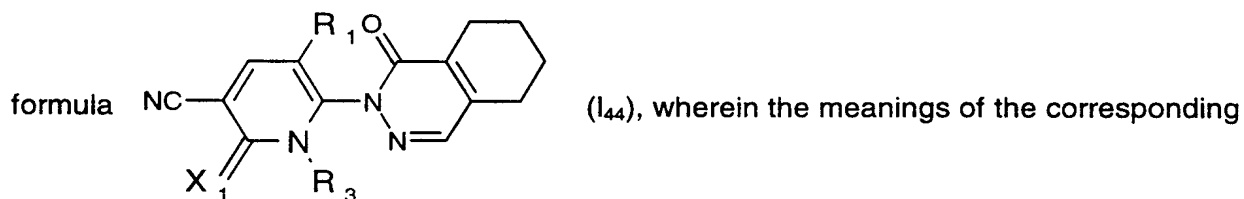
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₂ are disclosed.

Table 43: A further preferred group of compounds of formula I corresponds to general



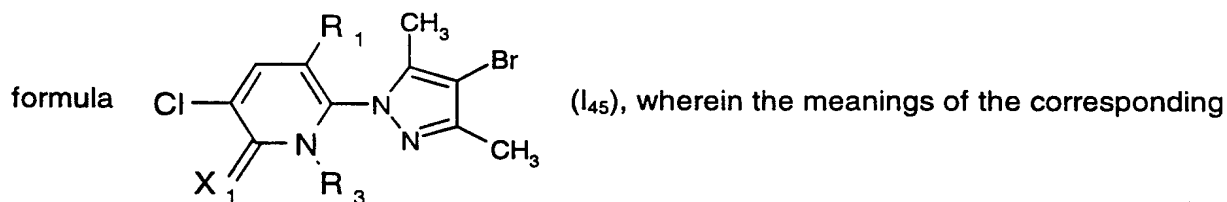
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₃ are disclosed.

Table 44: A further preferred group of compounds of formula I corresponds to general



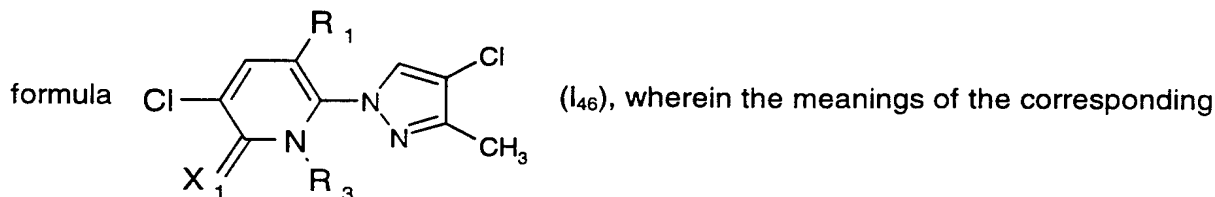
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₄ are disclosed.

Table 45: A further preferred group of compounds of formula I corresponds to general



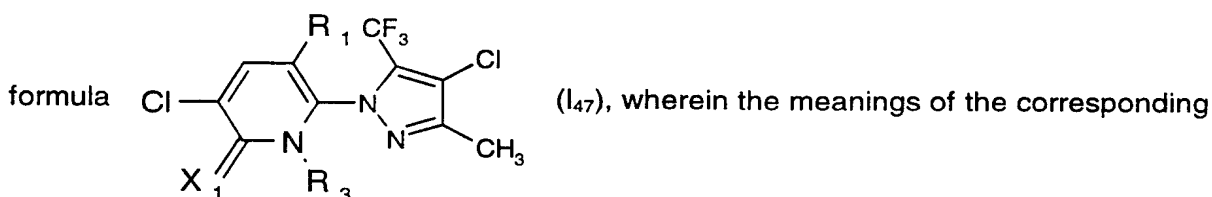
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₅ are disclosed.

Table 46: A further preferred group of compounds of formula I corresponds to general



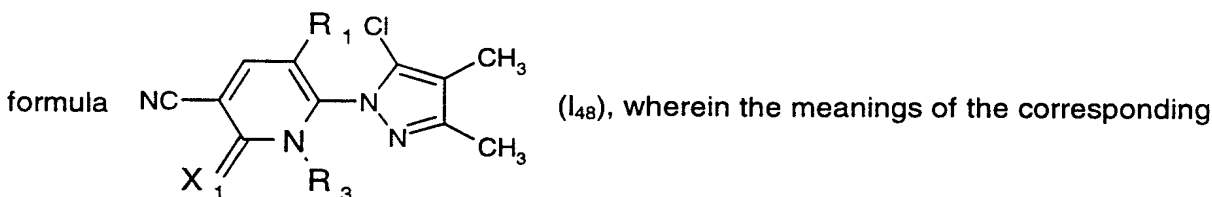
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₆ are disclosed.

Table 47: A further preferred group of compounds of formula I corresponds to general



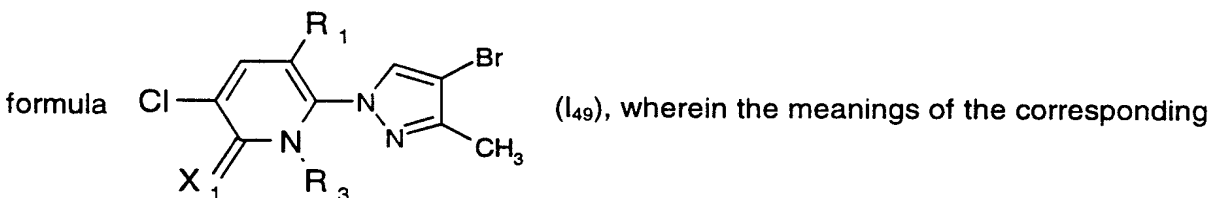
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₇ are disclosed.

Table 48: A further preferred group of compounds of formula I corresponds to general



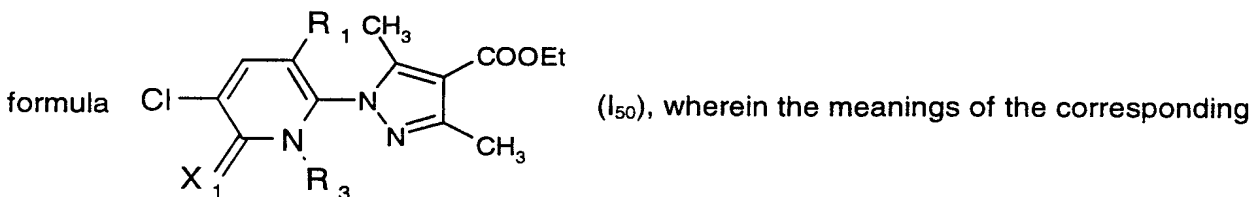
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₈ are disclosed.

Table 49: A further preferred group of compounds of formula I corresponds to general



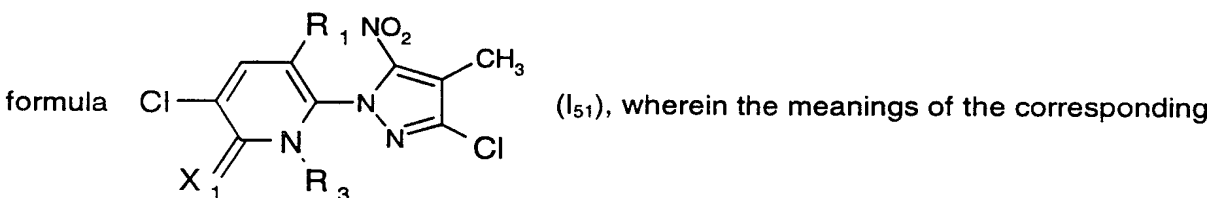
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₄₉ are disclosed.

Table 50: A further preferred group of compounds of formula I corresponds to general



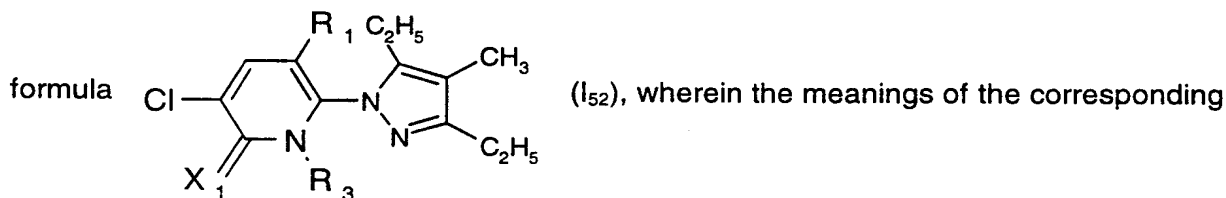
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₀ are disclosed.

Table 51: A further preferred group of compounds of formula I corresponds to general



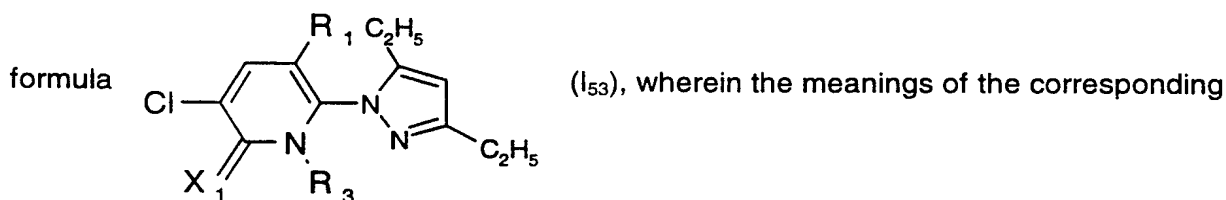
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₁ are disclosed.

Table 52: A further preferred group of compounds of formula I corresponds to general



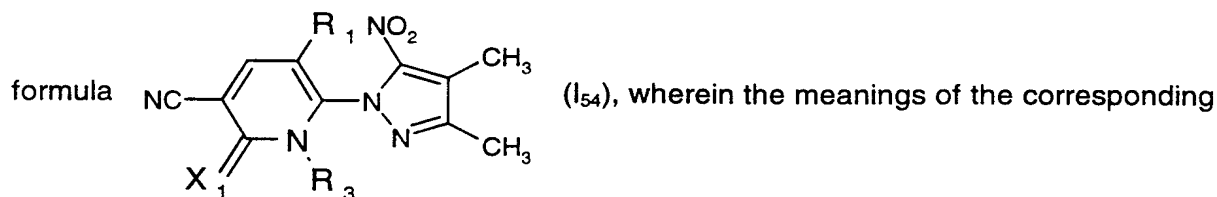
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₂ are disclosed.

Table 53: A further preferred group of compounds of formula I corresponds to general



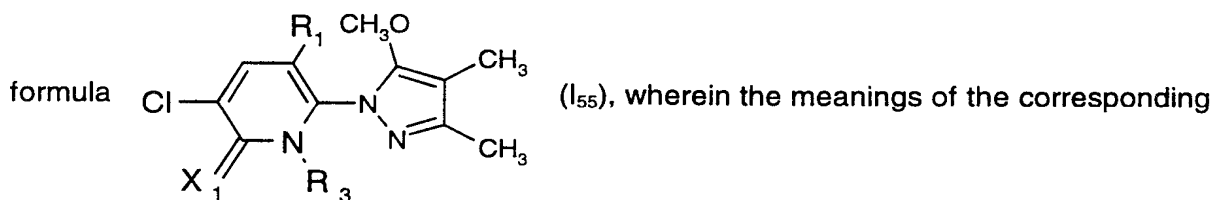
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₃ are disclosed.

Table 54: A further preferred group of compounds of formula I corresponds to general



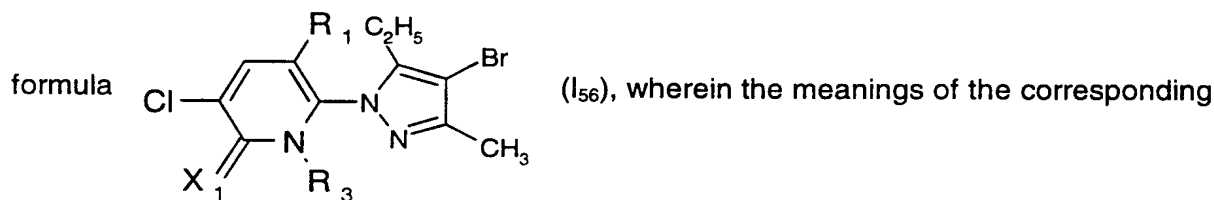
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₄ are disclosed.

Table 55: A further preferred group of compounds of formula I corresponds to general



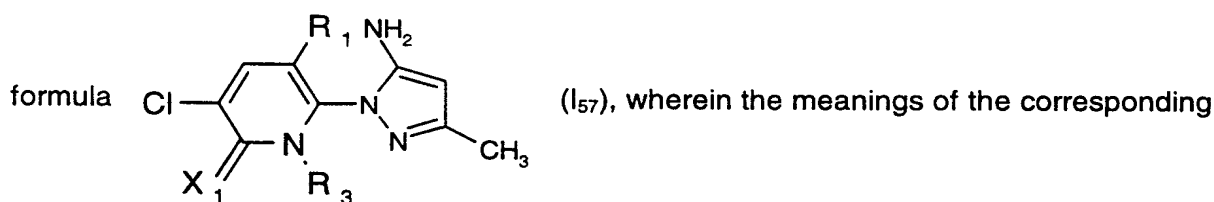
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₅ are disclosed.

Table 56: A further preferred group of compounds of formula I corresponds to general



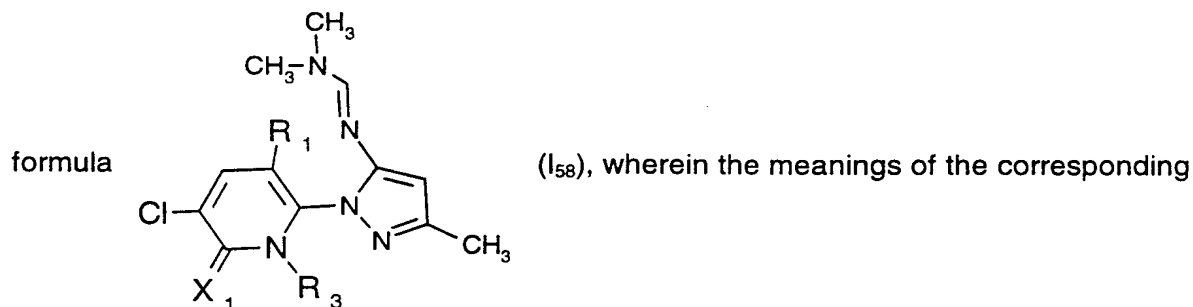
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₆ are disclosed.

Table 57: A further preferred group of compounds of formula I corresponds to general



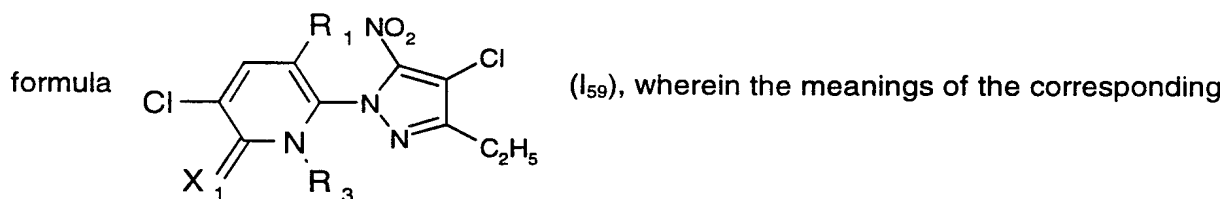
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₇ are disclosed.

Table 58: A further preferred group of compounds of formula I corresponds to general



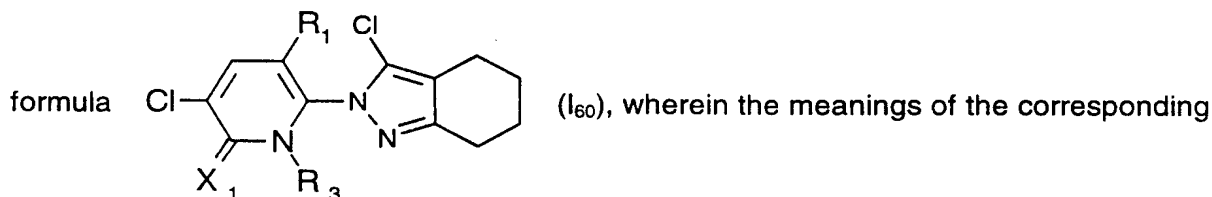
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₈ are disclosed.

Table 59: A further preferred group of compounds of formula I corresponds to general



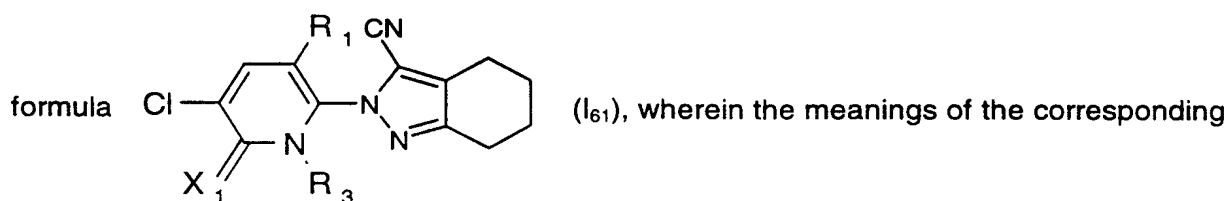
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₅₉ are disclosed.

Table 60: A further preferred group of compounds of formula I corresponds to general



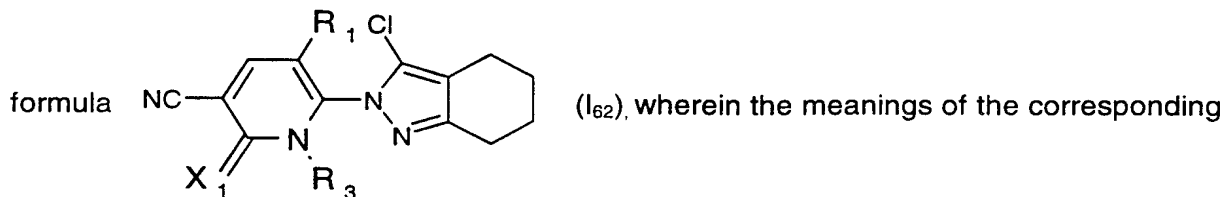
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₀ are disclosed.

Table 61: A further preferred group of compounds of formula I corresponds to general



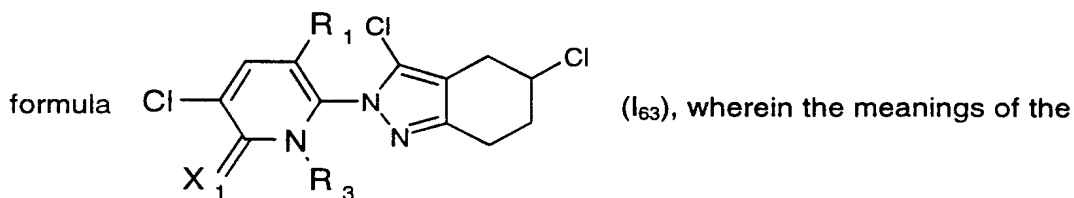
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₁ are disclosed.

Table 62: A further preferred group of compounds of formula I corresponds to general



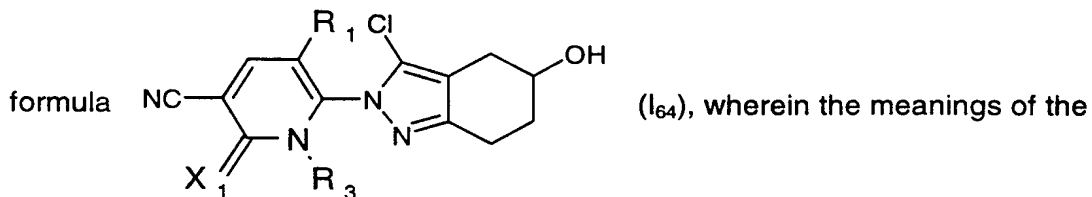
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₂ are disclosed.

Table 63: A further preferred group of compounds of formula I corresponds to general



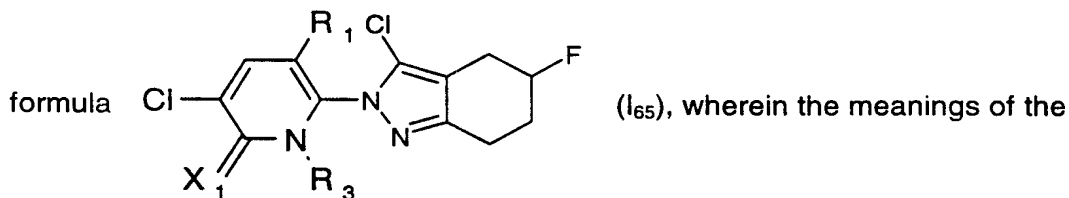
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₃ are disclosed.

Table 64: A further preferred group of compounds of formula I corresponds to general



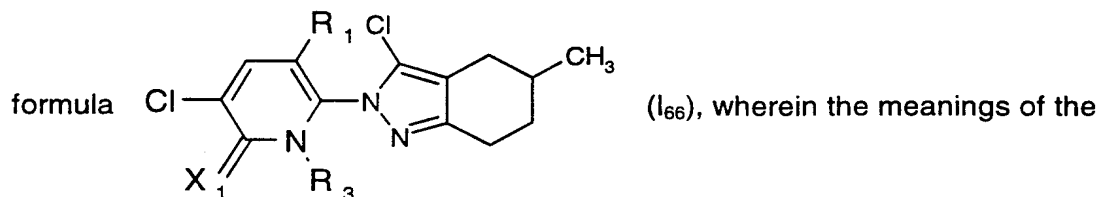
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₄ are disclosed.

Table 65: A further preferred group of compounds of formula I corresponds to general



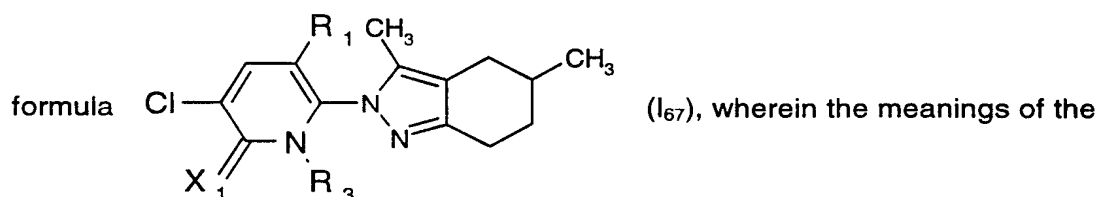
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₅ are disclosed.

Table 66: A further preferred group of compounds of formula I corresponds to general



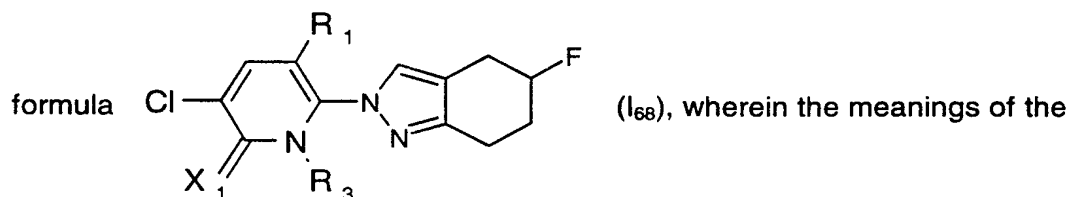
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₆ are disclosed.

Table 67: A further preferred group of compounds of formula I corresponds to general



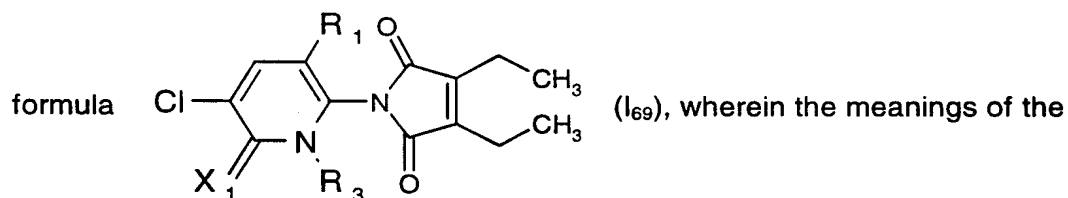
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₇ are disclosed.

Table 68: A further preferred group of compounds of formula I corresponds to general



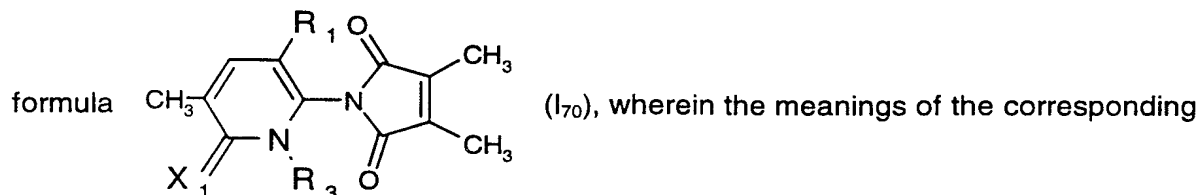
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₈ are disclosed.

Table 69: A further preferred group of compounds of formula I corresponds to general



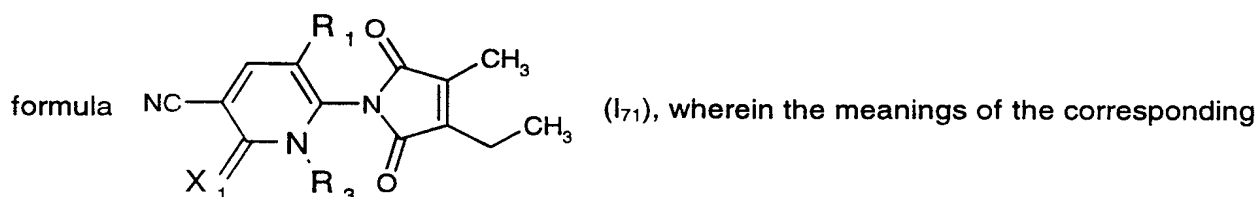
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₆₉ are disclosed.

Table 70: A further preferred group of compounds of formula I corresponds to general



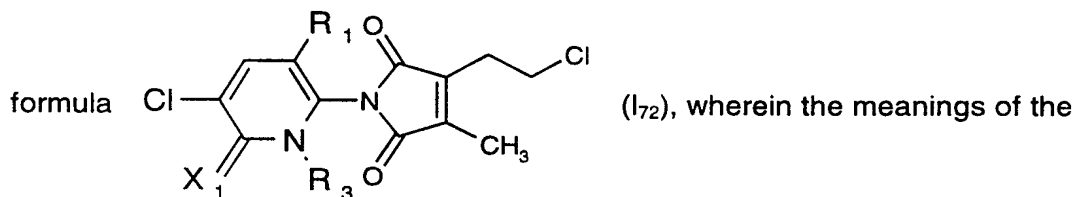
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₀ are disclosed.

Table 71: A further preferred group of compounds of formula I corresponds to general



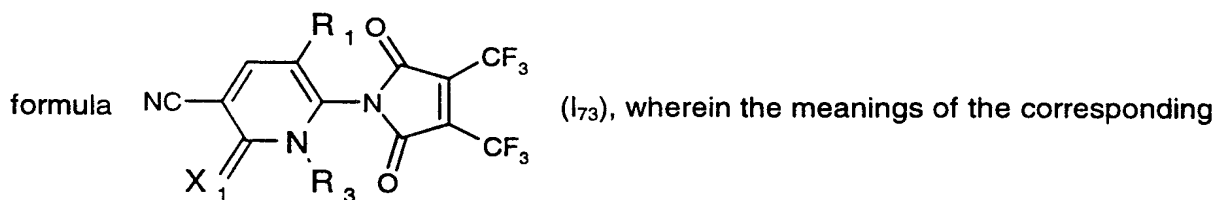
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₁ are disclosed.

Table 72: A further preferred group of compounds of formula I corresponds to general



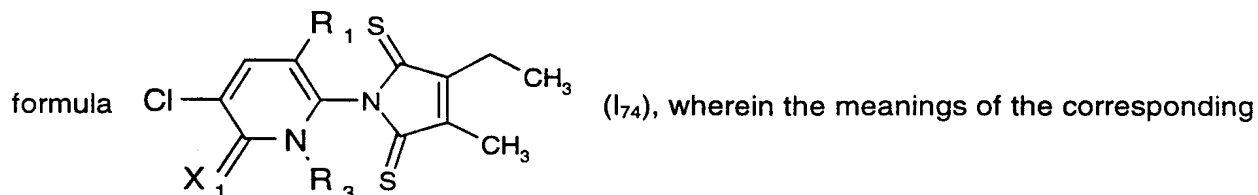
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₂ are disclosed.

Table 73: A further preferred group of compounds of formula I corresponds to general



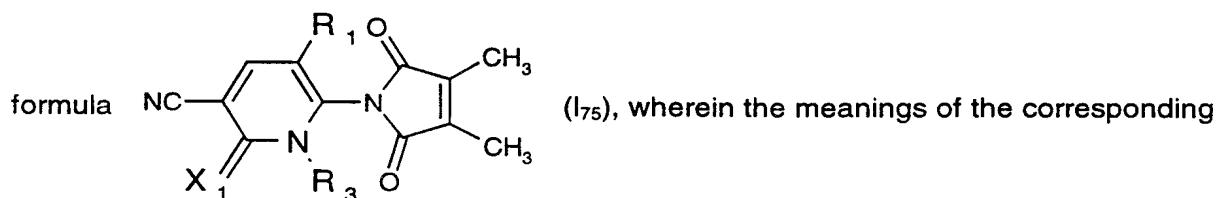
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₃ are disclosed.

Table 74: A further preferred group of compounds of formula I corresponds to general



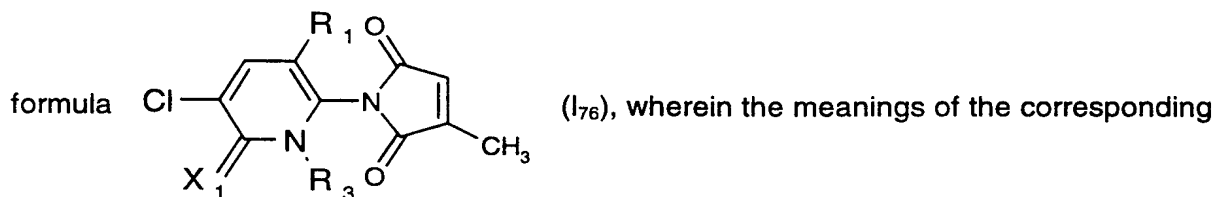
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₄ are disclosed.

Table 75: A further preferred group of compounds of formula I corresponds to general



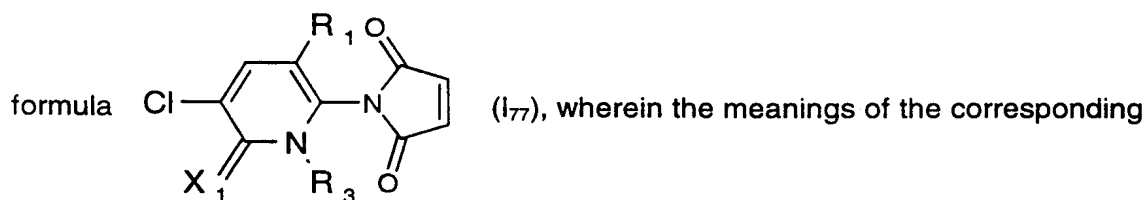
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₅ are disclosed.

Table 76: A further preferred group of compounds of formula I corresponds to general



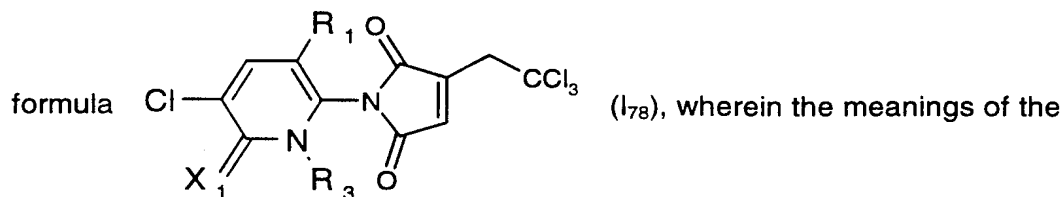
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₆ are disclosed.

Table 77: A further preferred group of compounds of formula I corresponds to general



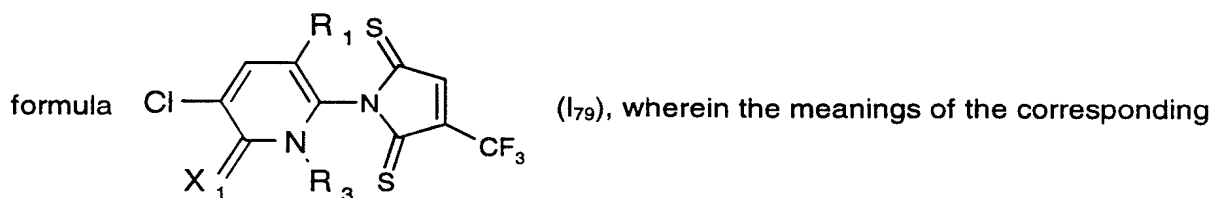
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₇ are disclosed.

Table 78: A further preferred group of compounds of formula I corresponds to general



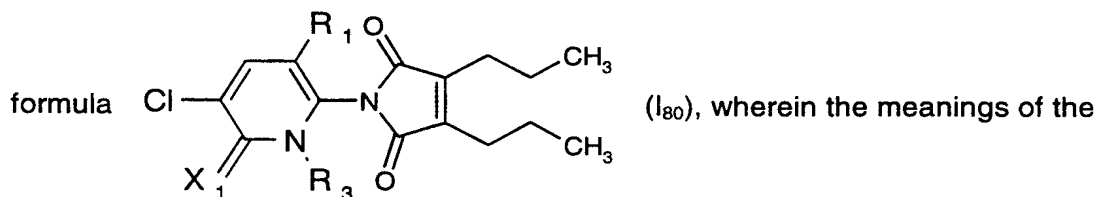
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₈ are disclosed.

Table 79: A further preferred group of compounds of formula I corresponds to general



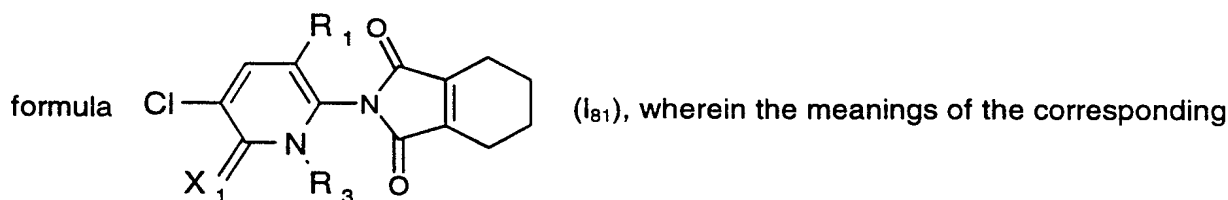
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₇₉ are disclosed.

Table 80: A further preferred group of compounds of formula I corresponds to general



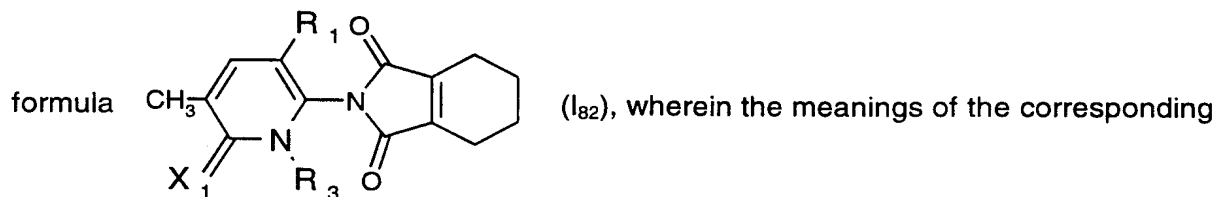
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₀ are disclosed.

Table 81: A further preferred group of compounds of formula I corresponds to general



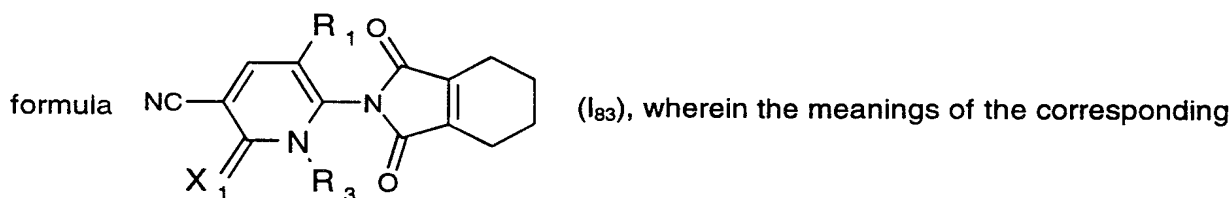
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₁ are disclosed.

Table 82: A further preferred group of compounds of formula I corresponds to general



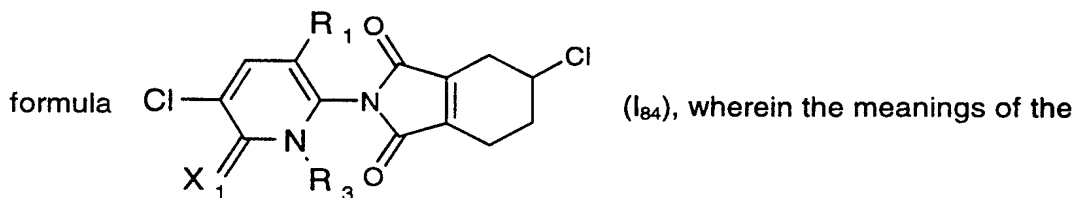
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₂ are disclosed.

Table 83: A further preferred group of compounds of formula I corresponds to general



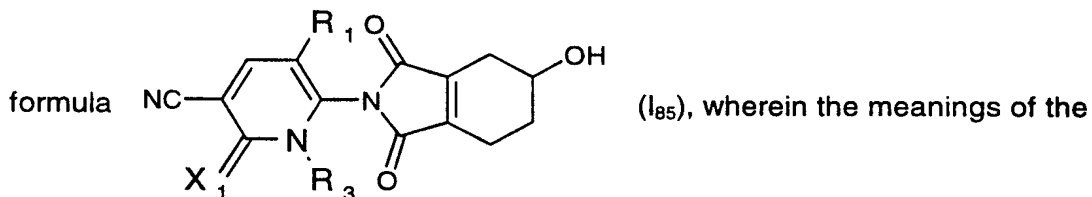
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₃ are disclosed.

Table 84: A further preferred group of compounds of formula I corresponds to general



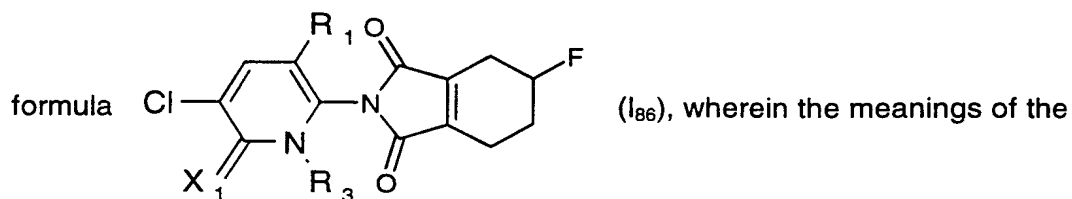
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₄ are disclosed.

Table 85: A further preferred group of compounds of formula I corresponds to general



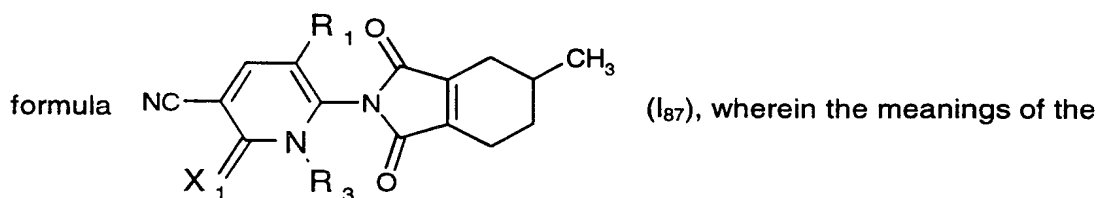
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₅ are disclosed.

Table 86: A further preferred group of compounds of formula I corresponds to general



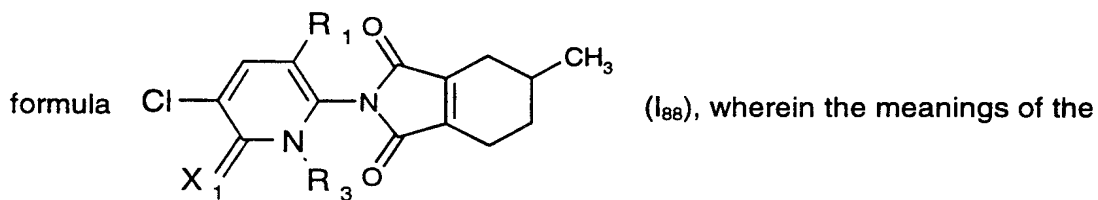
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₆ are disclosed.

Table 87: A further preferred group of compounds of formula I corresponds to general



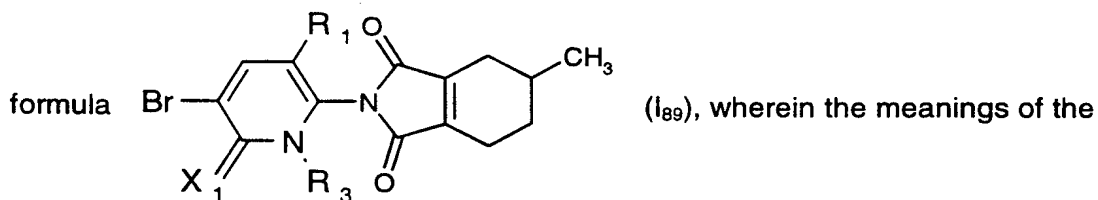
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₇ are disclosed.

Table 88: A further preferred group of compounds of formula I corresponds to general



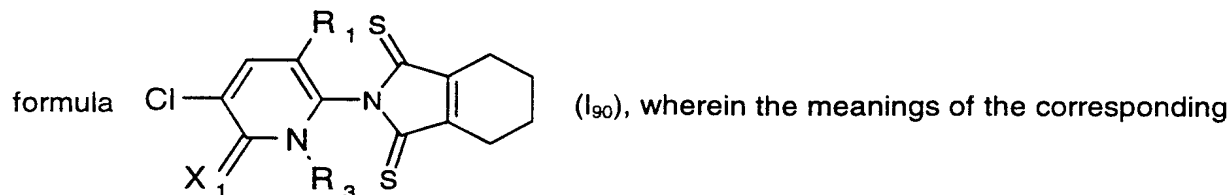
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₈ are disclosed.

Table 89: A further preferred group of compounds of formula I corresponds to general



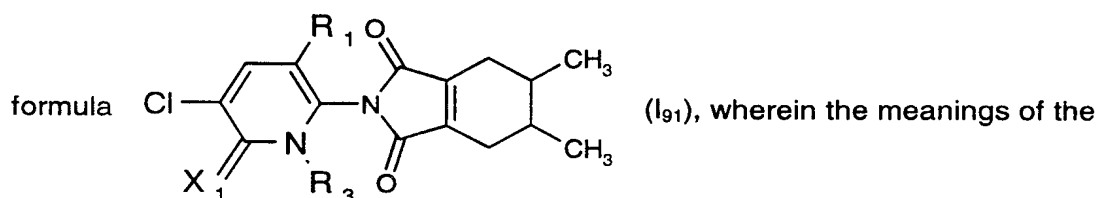
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₈₉ are disclosed.

Table 90: A further preferred group of compounds of formula I corresponds to general



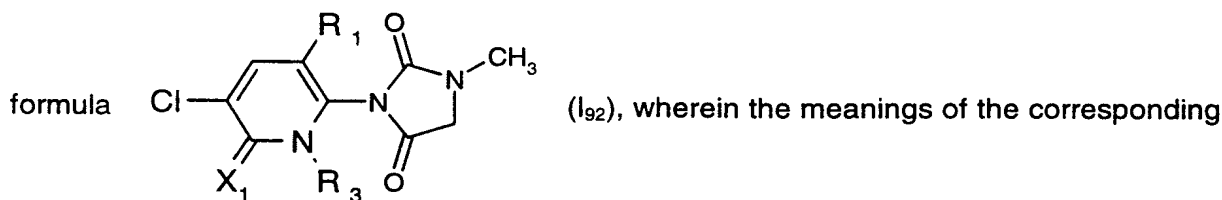
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₀ are disclosed.

Table 91: A further preferred group of compounds of formula I corresponds to general



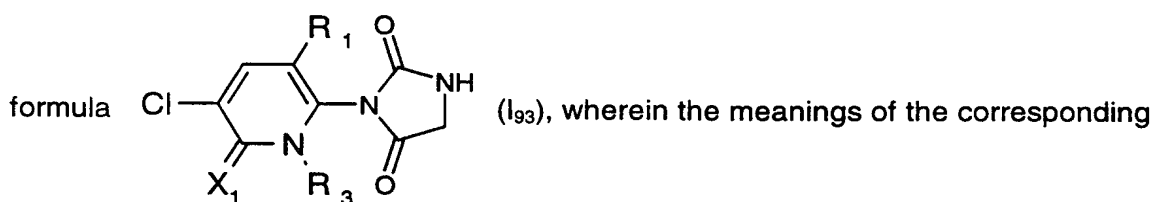
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₁ are disclosed.

Table 92: A further preferred group of compounds of formula I corresponds to general



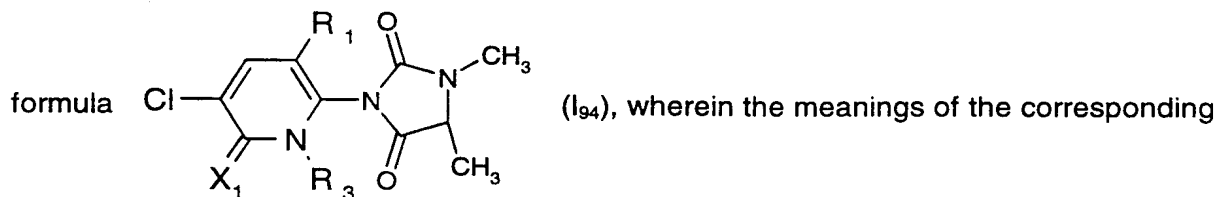
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₂ are disclosed.

Table 93: A further preferred group of compounds of formula I corresponds to general



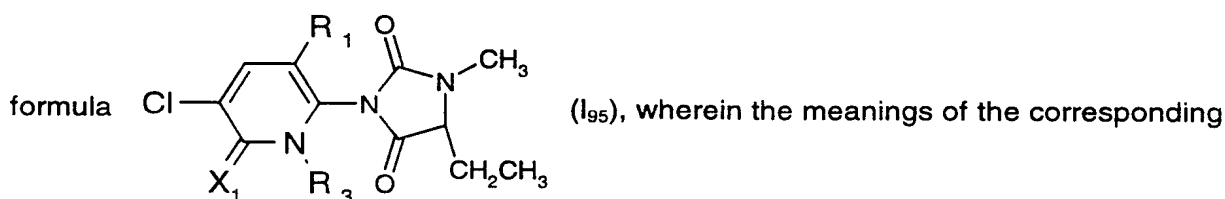
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₃ are disclosed.

Table 94: A further preferred group of compounds of formula I corresponds to general



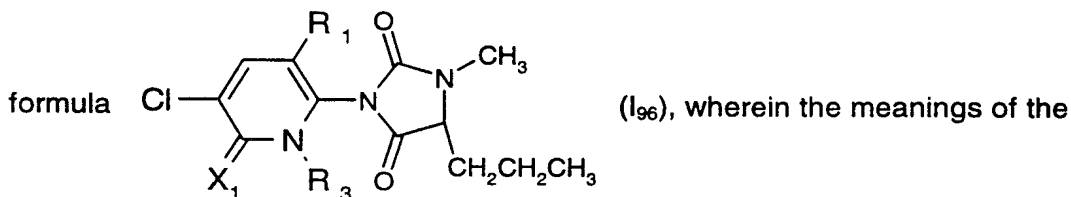
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₄ are disclosed.

Table 95: A further preferred group of compounds of formula I corresponds to general



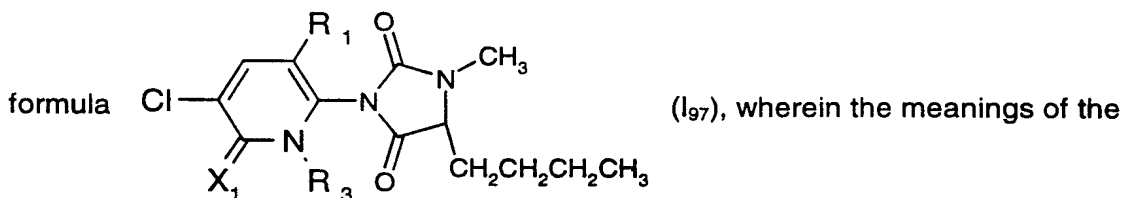
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₅ are disclosed.

Table 96: A further preferred group of compounds of formula I corresponds to general



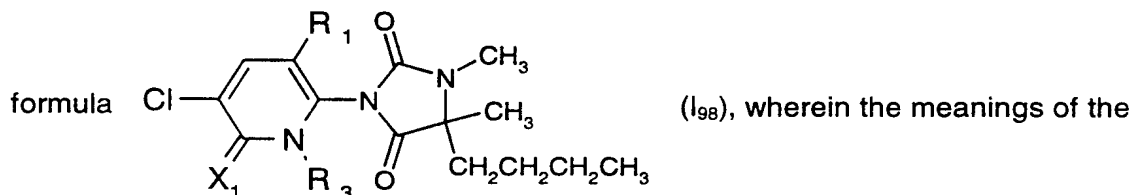
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₆ are disclosed.

Table 97: A further preferred group of compounds of formula I corresponds to general



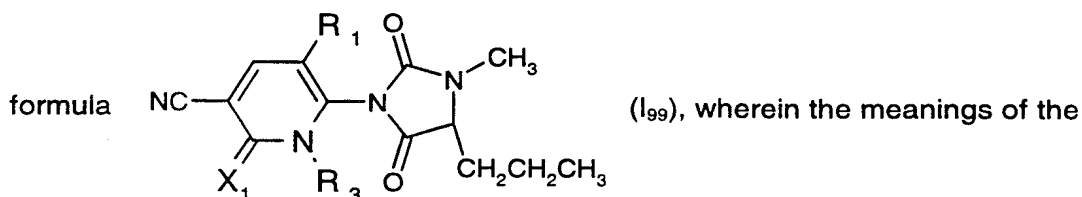
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₇ are disclosed.

Table 98: A further preferred group of compounds of formula I corresponds to general



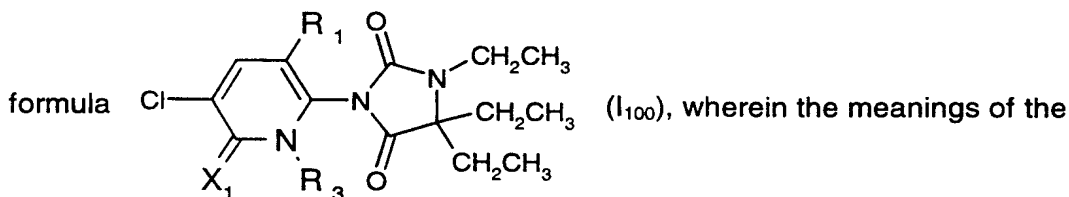
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₈ are disclosed.

Table 99: A further preferred group of compounds of formula I corresponds to general



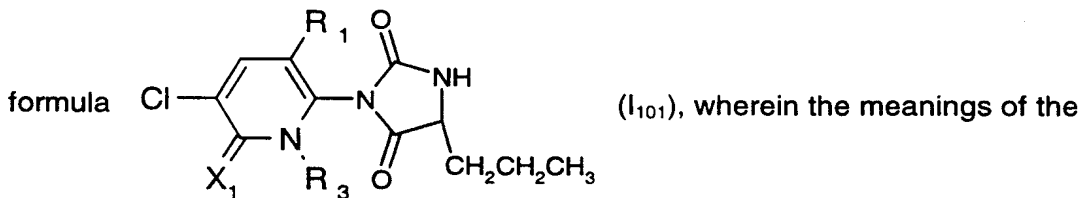
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₉₉ are disclosed.

Table 100: A further preferred group of compounds of formula I corresponds to general



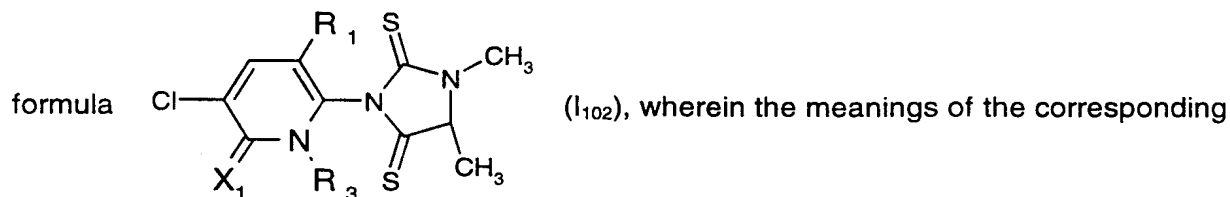
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₀ are disclosed.

Table 101: A further preferred group of compounds of formula I corresponds to general



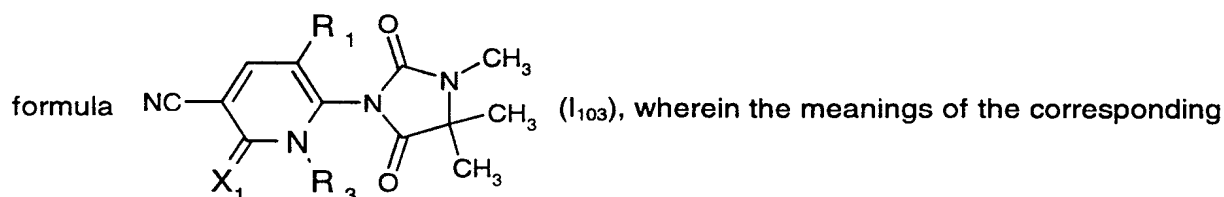
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₁ are disclosed.

Table 102: A further preferred group of compounds of formula I corresponds to general



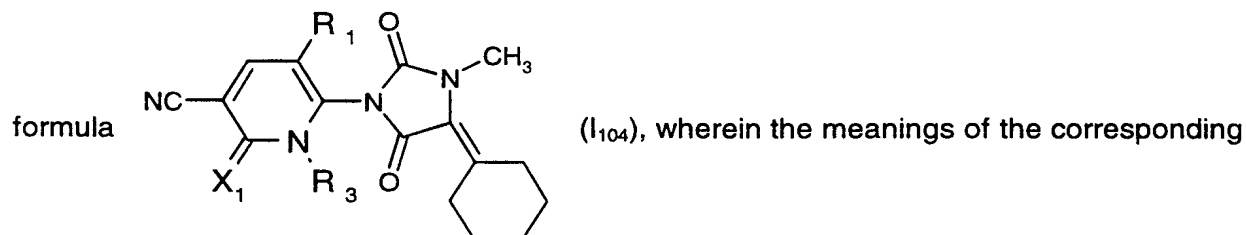
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₂ are disclosed.

Table 103: A further preferred group of compounds of formula I corresponds to general



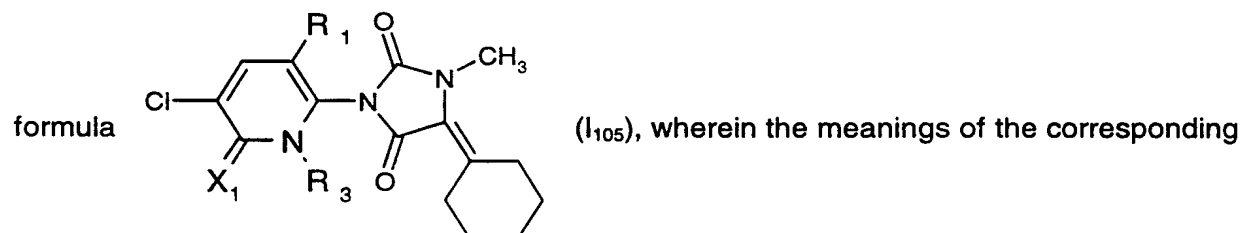
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₃ are disclosed.

Table 104: A further preferred group of compounds of formula I corresponds to general



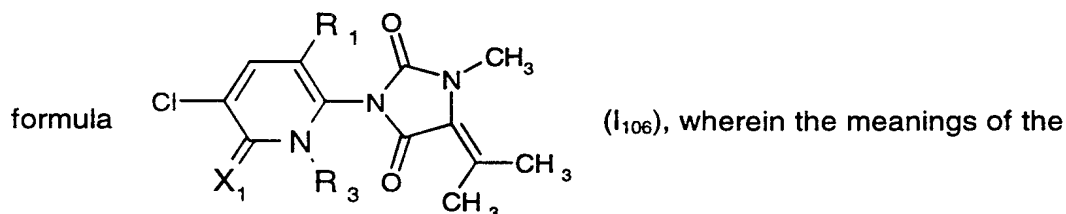
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₄ are disclosed.

Table 105: A further preferred group of compounds of formula I corresponds to general



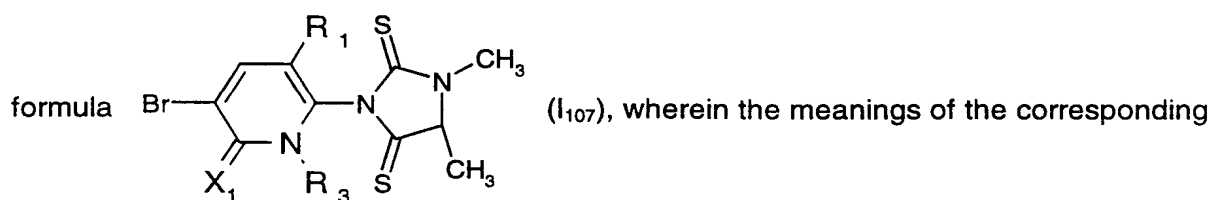
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₅ are disclosed.

Table 106: A further preferred group of compounds of formula I corresponds to general



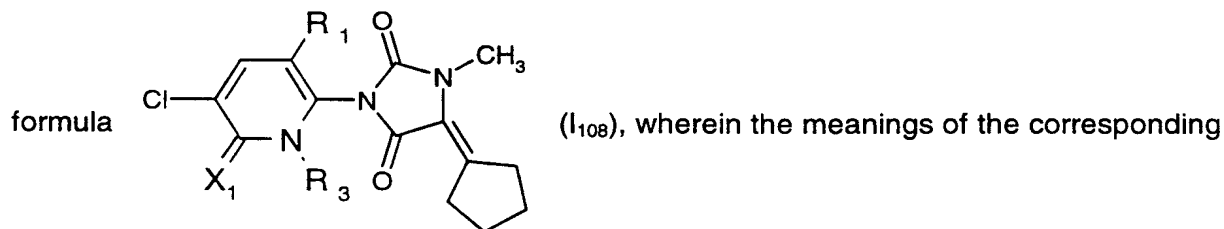
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₆ are disclosed.

Table 107: A further preferred group of compounds of formula I corresponds to general



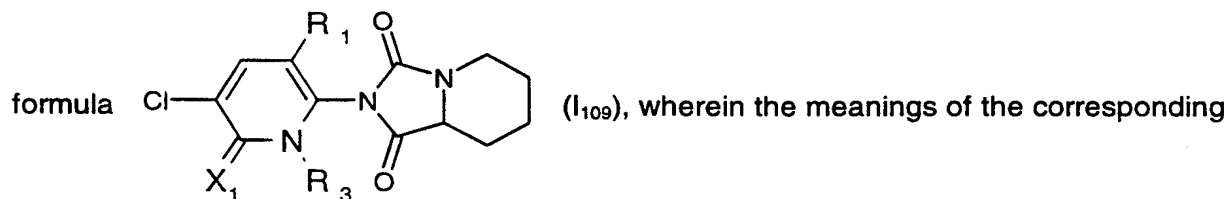
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₇ are disclosed.

Table 108: A further preferred group of compounds of formula I corresponds to general



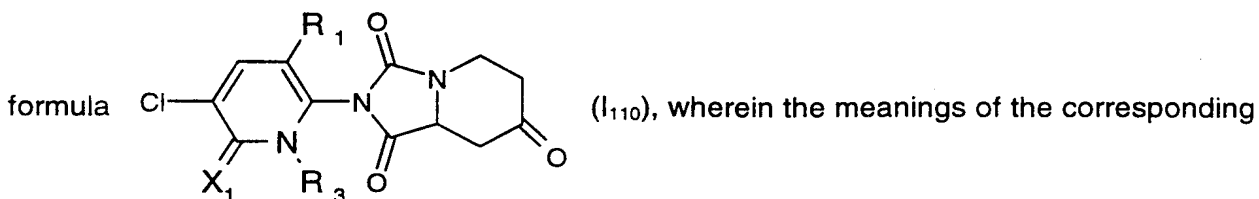
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₈ are disclosed.

Table 109: A further preferred group of compounds of formula I corresponds to general



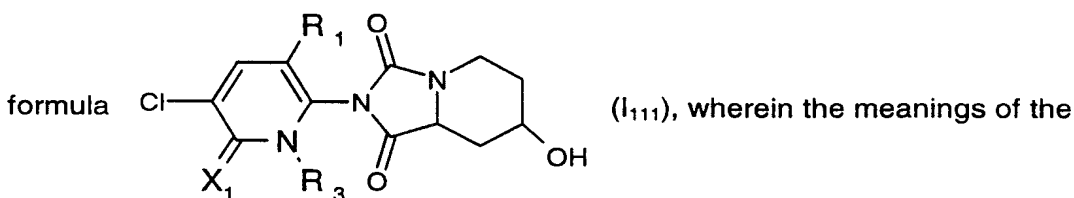
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₀₉ are disclosed.

Table 110: A further preferred group of compounds of formula I corresponds to general



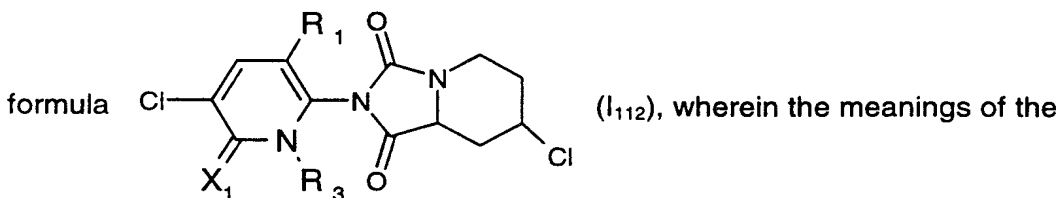
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₀ are disclosed.

Table 111: A further preferred group of compounds of formula I corresponds to general



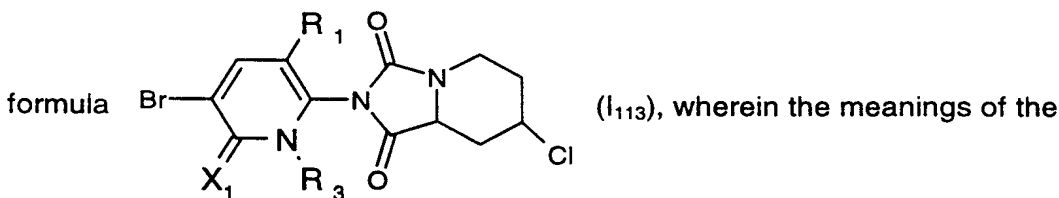
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₁ are disclosed.

Table 112: A further preferred group of compounds of formula I corresponds to general



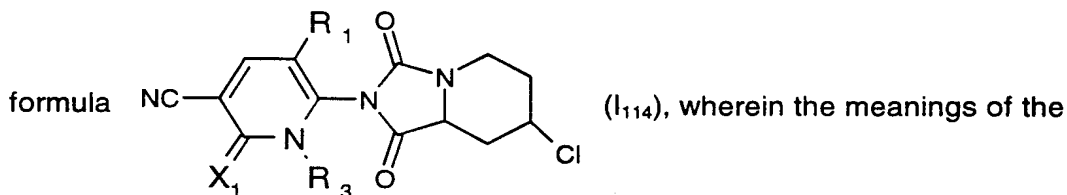
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₂ are disclosed.

Table 113: A further preferred group of compounds of formula I corresponds to general



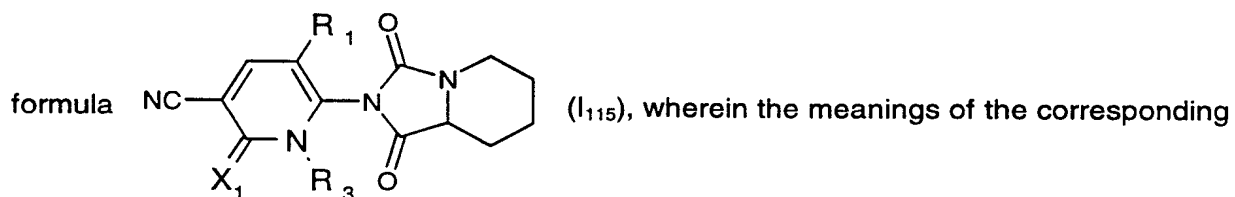
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₃ are disclosed.

Table 114: A further preferred group of compounds of formula I corresponds to general



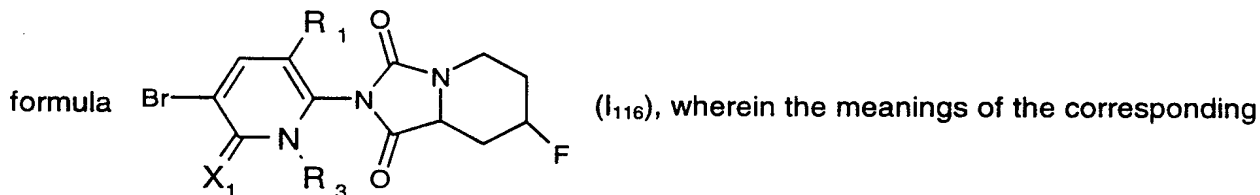
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₄ are disclosed.

Table 115: A further preferred group of compounds of formula I corresponds to general



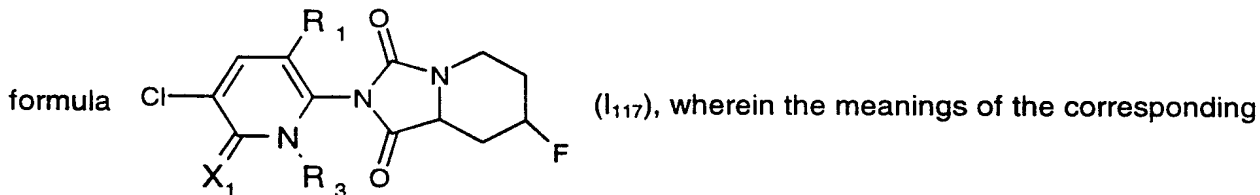
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₅ are disclosed.

Table 116: A further preferred group of compounds of formula I corresponds to general



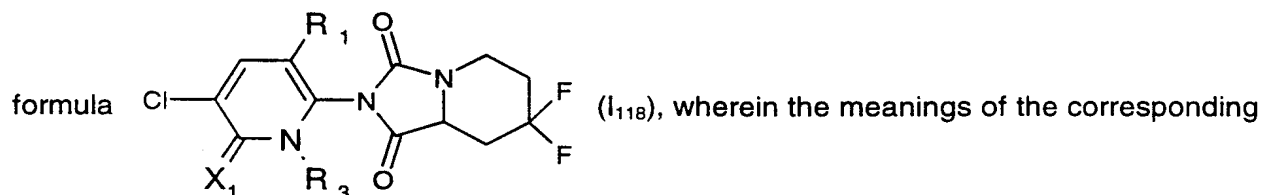
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₆ are disclosed.

Table 117: A further preferred group of compounds of formula I corresponds to general



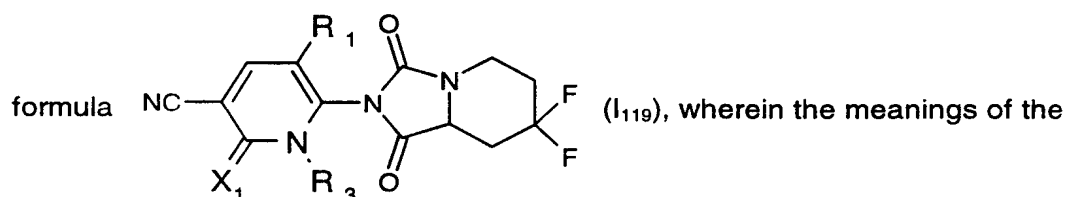
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₇ are disclosed.

Table 118: A further preferred group of compounds of formula I corresponds to general



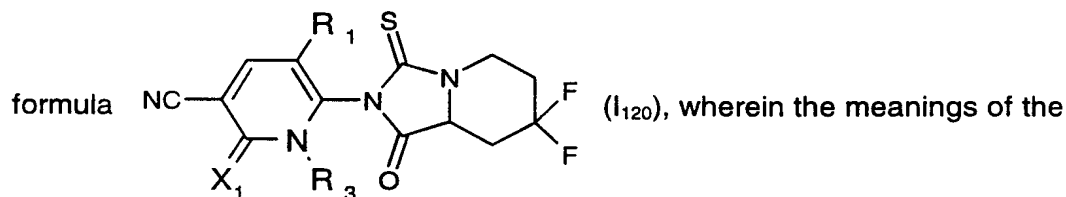
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₈ are disclosed.

Table 119: A further preferred group of compounds of formula I corresponds to general



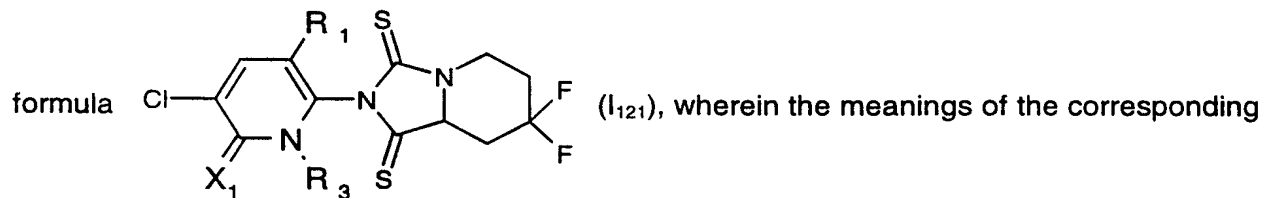
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₁₉ are disclosed.

Table 120: A further preferred group of compounds of formula I corresponds to general



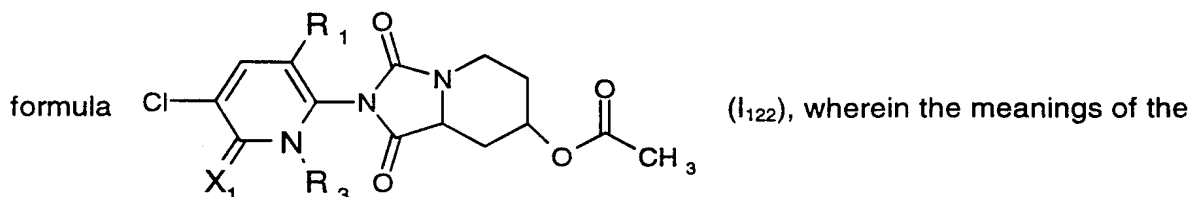
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₀ are disclosed.

Table 121: A further preferred group of compounds of formula I corresponds to general



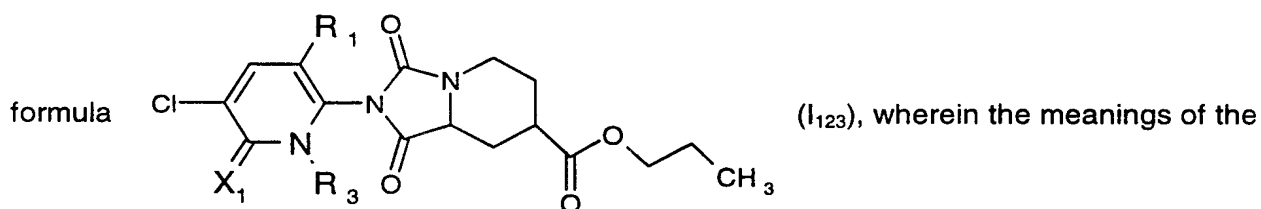
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₁ are disclosed.

Table 122: A further preferred group of compounds of formula I corresponds to general



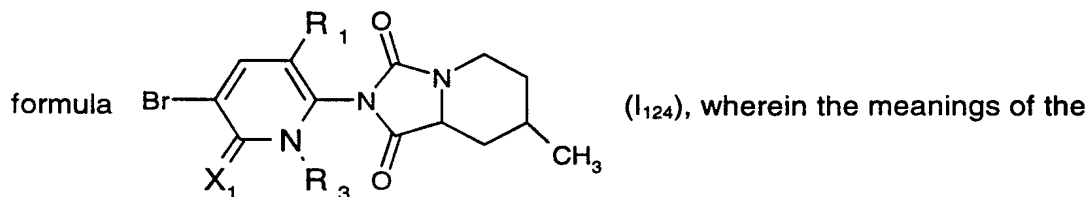
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₂ are disclosed.

Table 123: A further preferred group of compounds of formula I corresponds to general



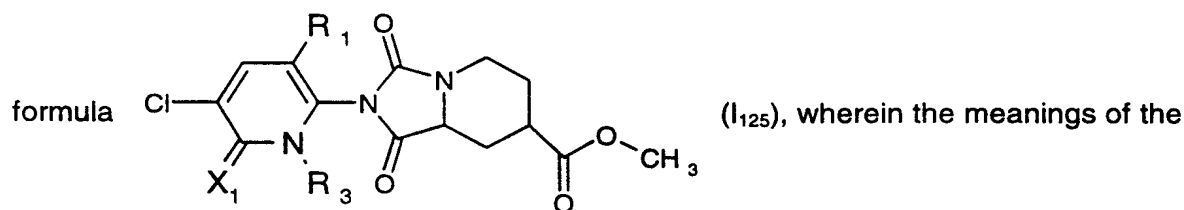
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₃ are disclosed.

Table 124: A further preferred group of compounds of formula I corresponds to general



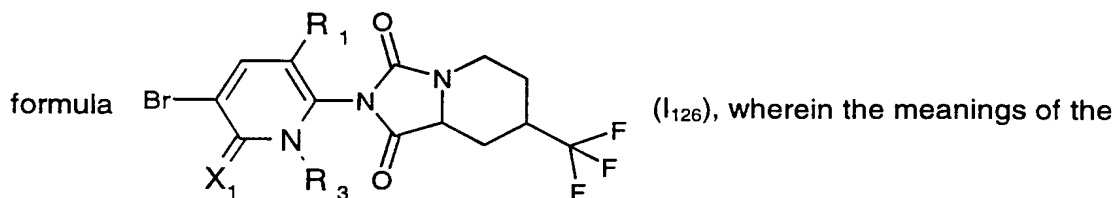
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₄ are disclosed.

Table 125: A further preferred group of compounds of formula I corresponds to general



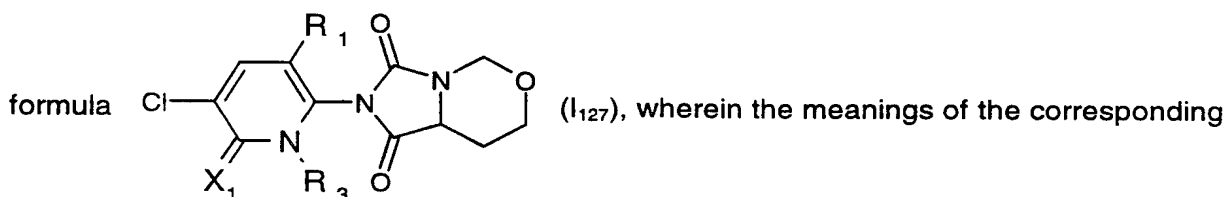
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₅ are disclosed.

Table 126: A further preferred group of compounds of formula I corresponds to general



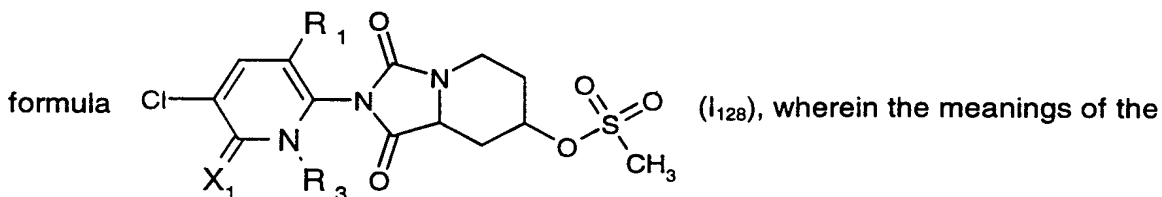
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₆ are disclosed.

Table 127: A further preferred group of compounds of formula I corresponds to general



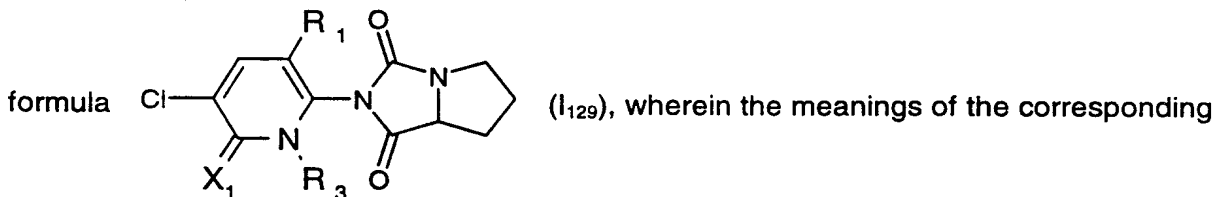
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₇ are disclosed.

Table 128: A further preferred group of compounds of formula I corresponds to general



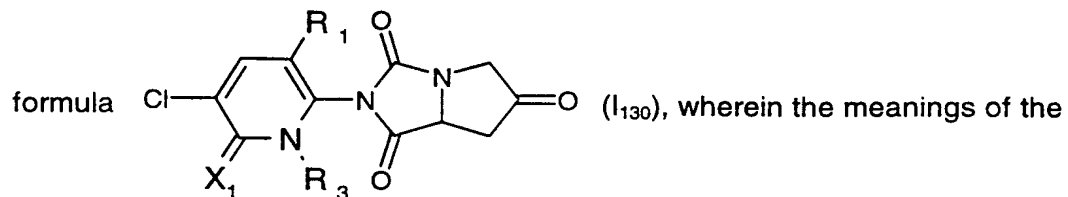
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₈ are disclosed.

Table 129: A further preferred group of compounds of formula I corresponds to general



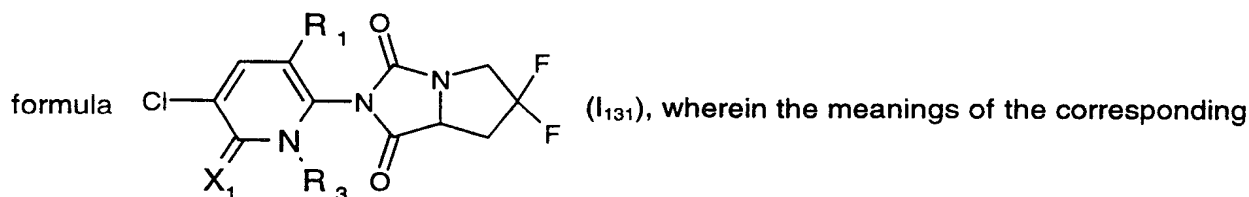
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₂₉ are disclosed.

Table 130: A further preferred group of compounds of formula I corresponds to general



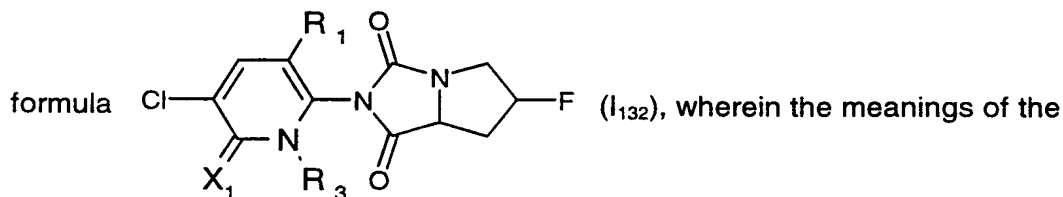
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₀ are disclosed.

Table 131: A further preferred group of compounds of formula I corresponds to general



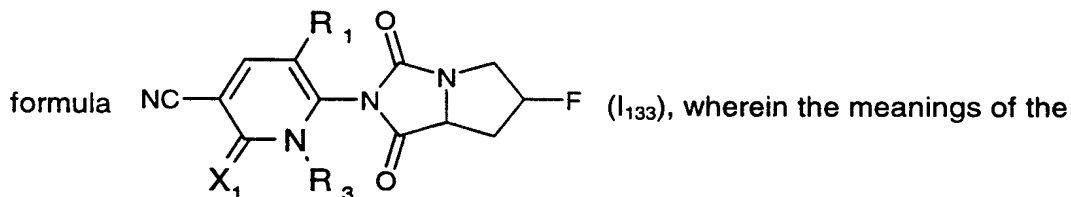
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₁ are disclosed.

Table 132: A further preferred group of compounds of formula I corresponds to general



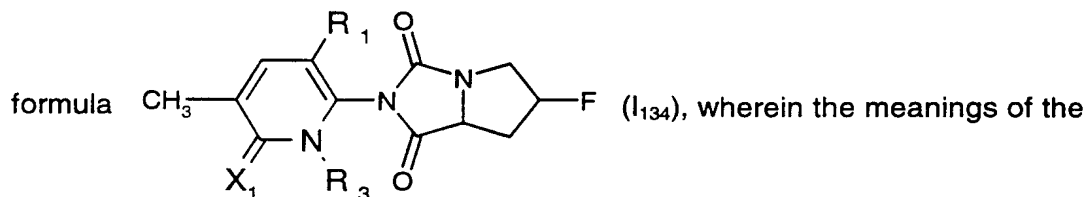
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₂ are disclosed.

Table 133: A further preferred group of compounds of formula I corresponds to general



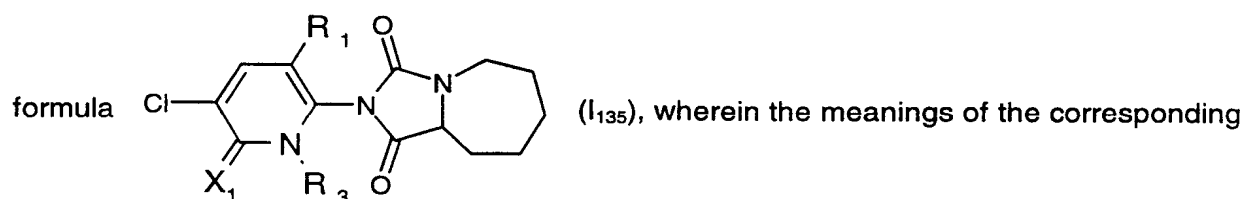
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₃ are disclosed.

Table 134: A further preferred group of compounds of formula I corresponds to general



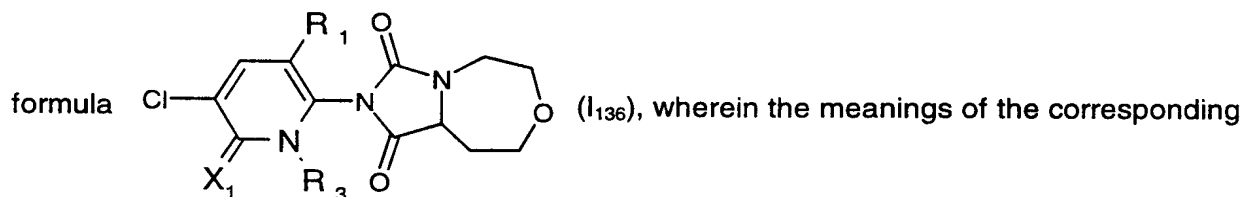
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₄ are disclosed.

Table 135: A further preferred group of compounds of formula I corresponds to general



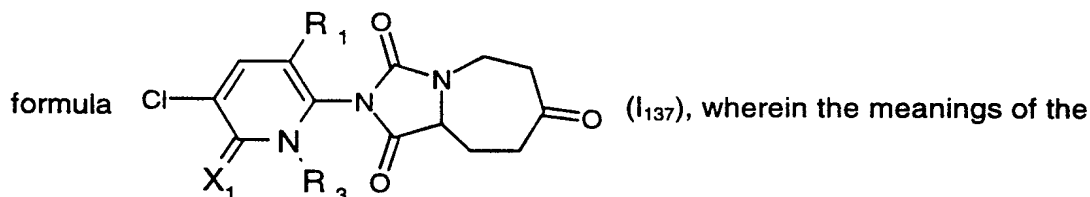
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₅ are disclosed.

Table 136: A further preferred group of compounds of formula I corresponds to general



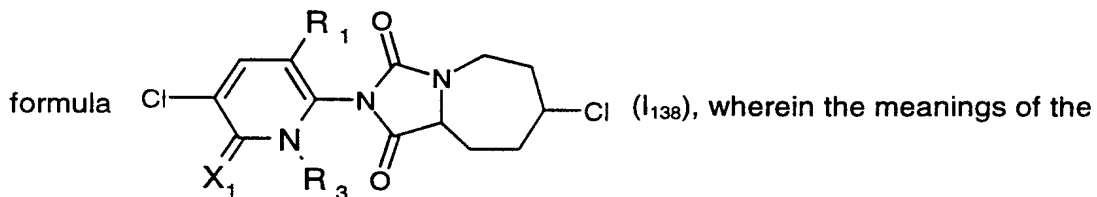
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₆ are disclosed.

Table 137: A further preferred group of compounds of formula I corresponds to general



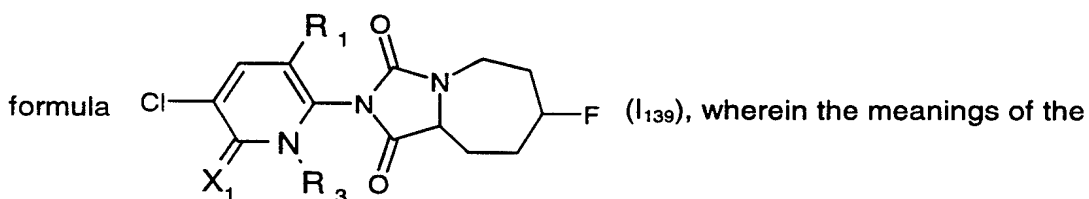
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₇ are disclosed.

Table 138: A further preferred group of compounds of formula I corresponds to general



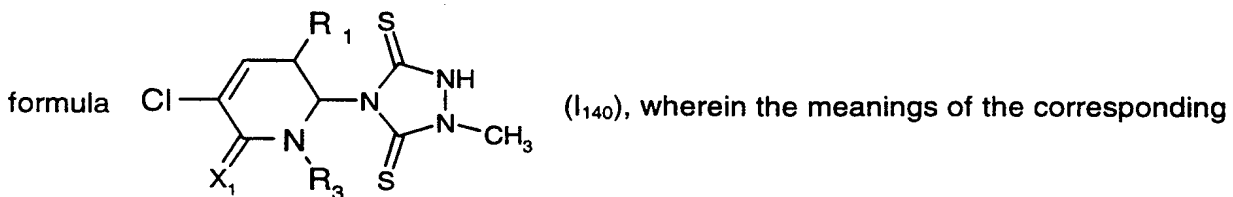
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₈ are disclosed.

Table 139: A further preferred group of compounds of formula I corresponds to general



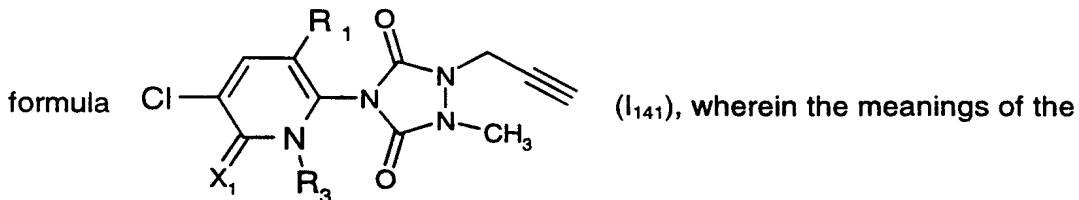
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₃₉ are disclosed.

Table 140: A further preferred group of compounds of formula I corresponds to general



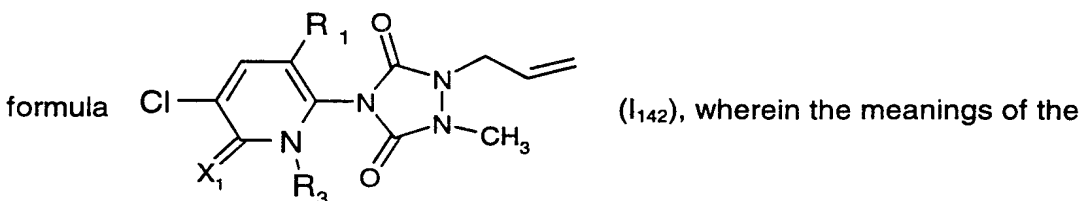
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₀ are disclosed.

Table 141: A further preferred group of compounds of formula I corresponds to general



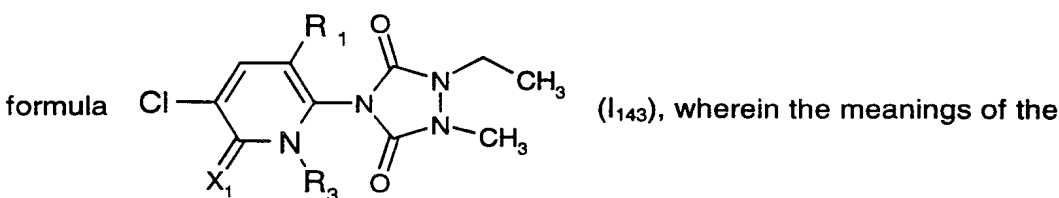
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₁ are disclosed.

Table 142: A further preferred group of compounds of formula I corresponds to general



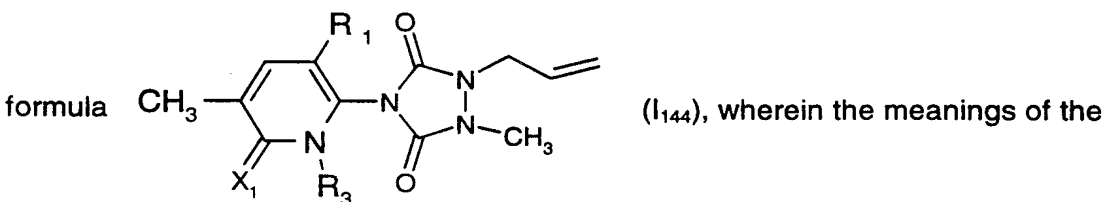
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₂ are disclosed.

Table 143: A further preferred group of compounds of formula I corresponds to general



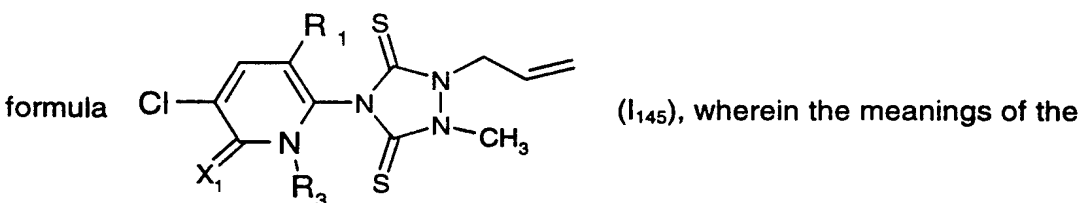
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₃ are disclosed.

Table 144: A further preferred group of compounds of formula I corresponds to general



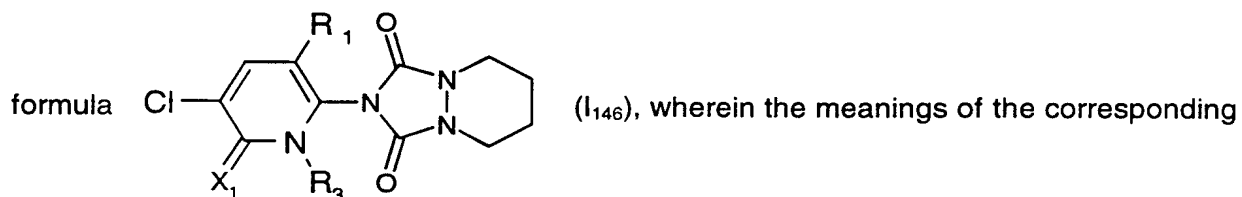
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₄ are disclosed.

Table 145: A further preferred group of compounds of formula I corresponds to general



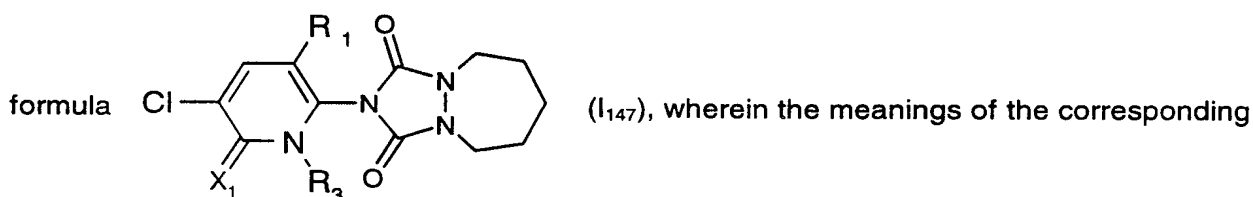
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₅ are disclosed.

Table 146: A further preferred group of compounds of formula I corresponds to general



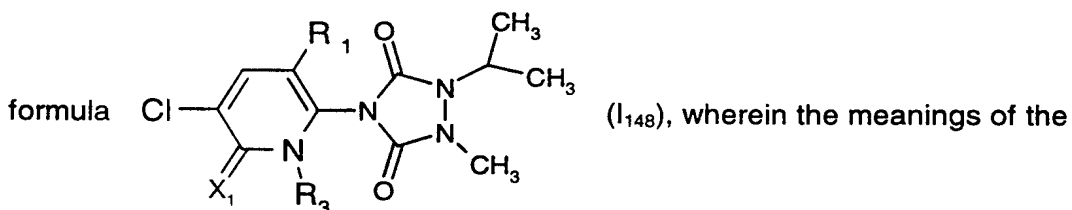
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₆ are disclosed.

Table 147: A further preferred group of compounds of formula I corresponds to general



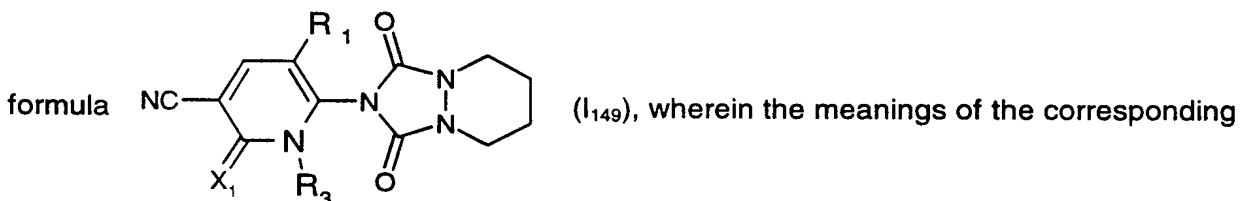
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₇ are disclosed.

Table 148: A further preferred group of compounds of formula I corresponds to general



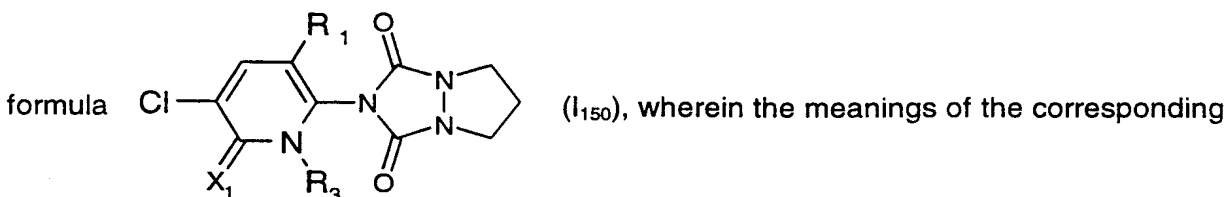
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₈ are disclosed.

Table 149: A further preferred group of compounds of formula I corresponds to general



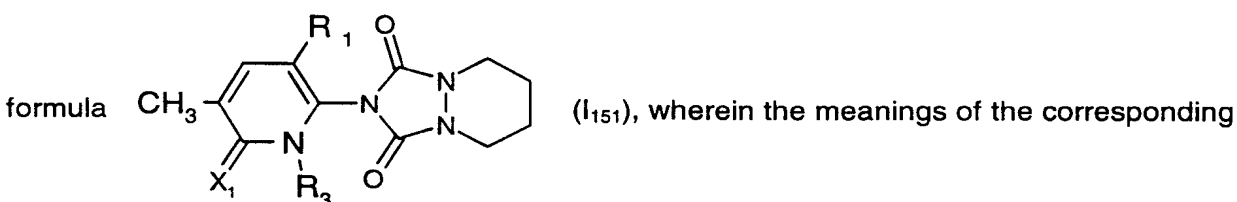
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₄₉ are disclosed.

Table 150: A further preferred group of compounds of formula I corresponds to general



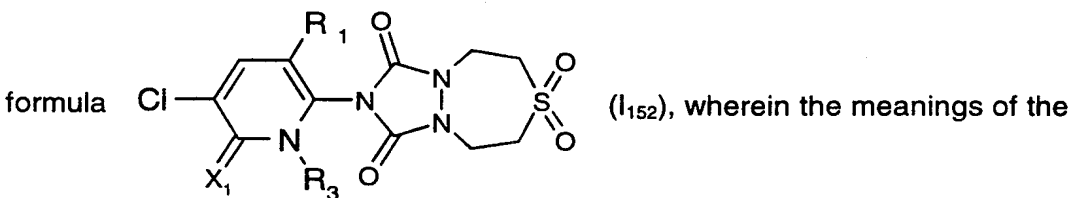
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₀ are disclosed.

Table 151: A further preferred group of compounds of formula I corresponds to general



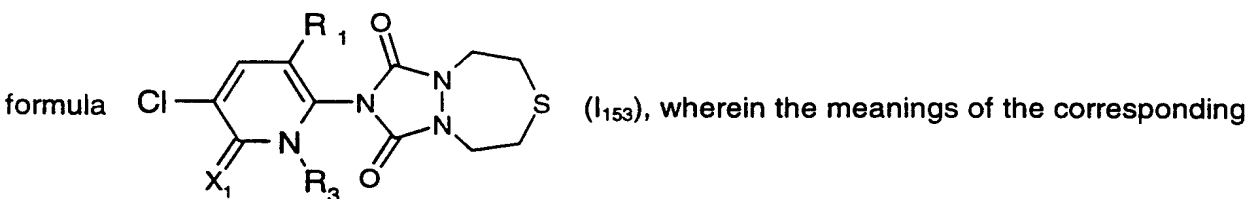
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₁ are disclosed.

Table 152: A further preferred group of compounds of formula I corresponds to general



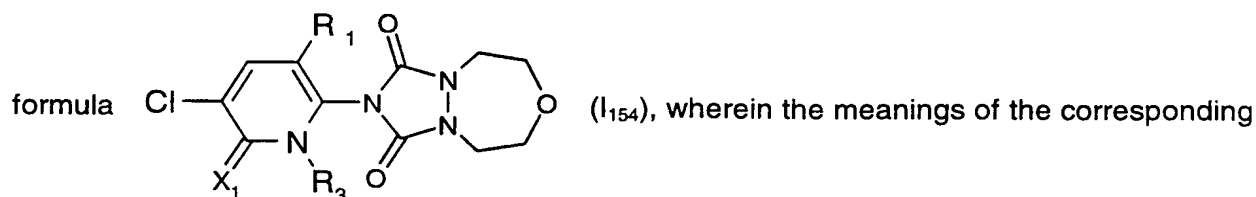
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₂ are disclosed.

Table 153: A further preferred group of compounds of formula I corresponds to general



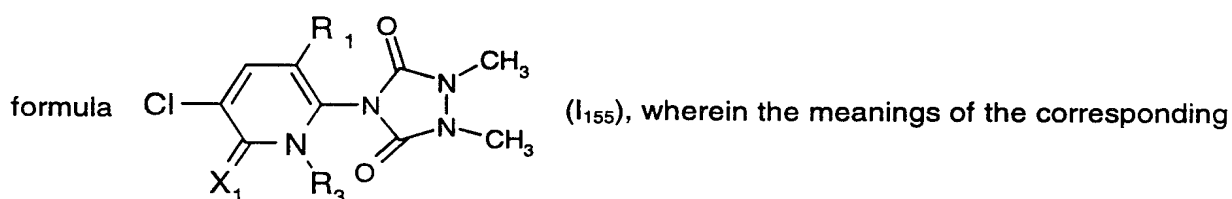
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₃ are disclosed.

Table 154: A further preferred group of compounds of formula I corresponds to general



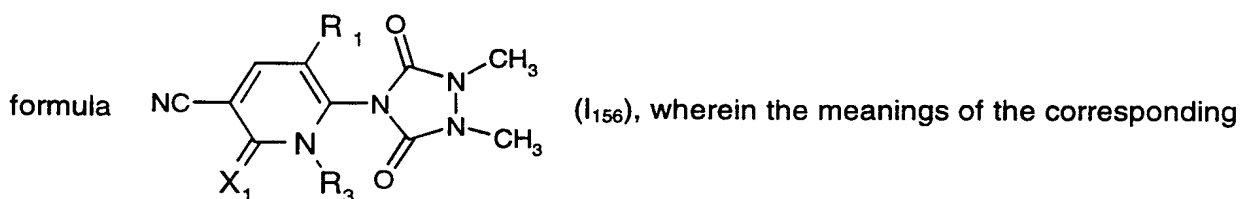
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₄ are disclosed.

Table 155: A further preferred group of compounds of formula I corresponds to general



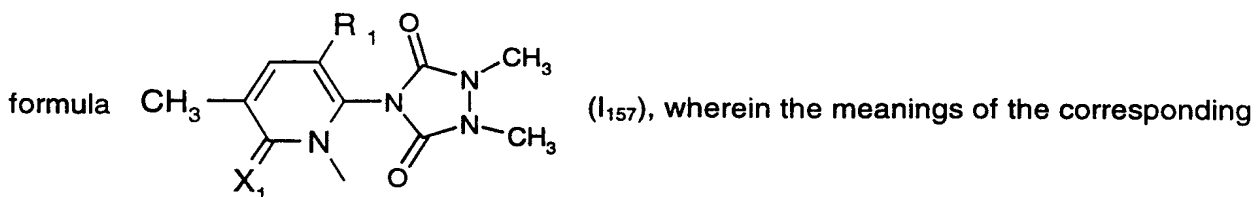
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₅ are disclosed.

Table 156: A further preferred group of compounds of formula I corresponds to general



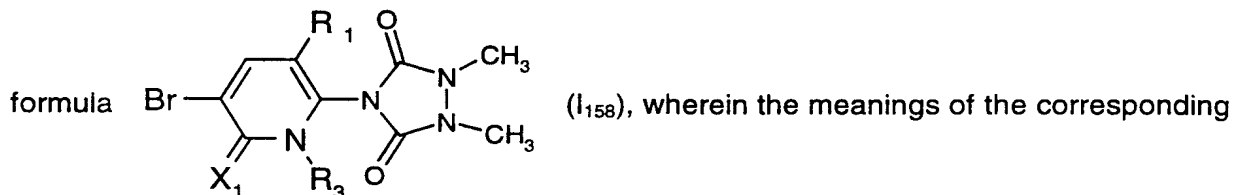
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₆ are disclosed.

Table 157: A further preferred group of compounds of formula I corresponds to general



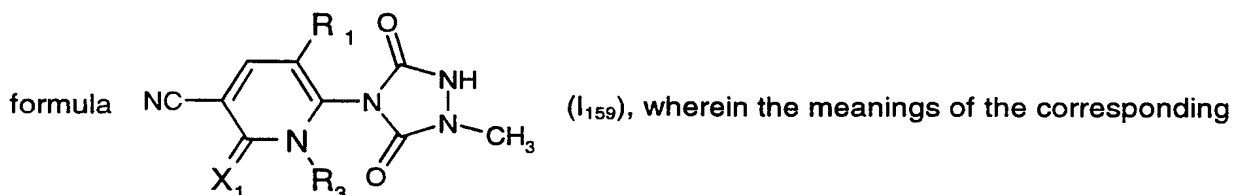
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₇ are disclosed.

Table 158: A further preferred group of compounds of formula I corresponds to general



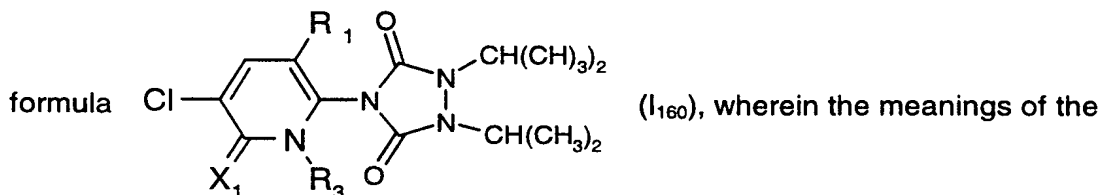
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₈ are disclosed.

Table 159: A further preferred group of compounds of formula I corresponds to general



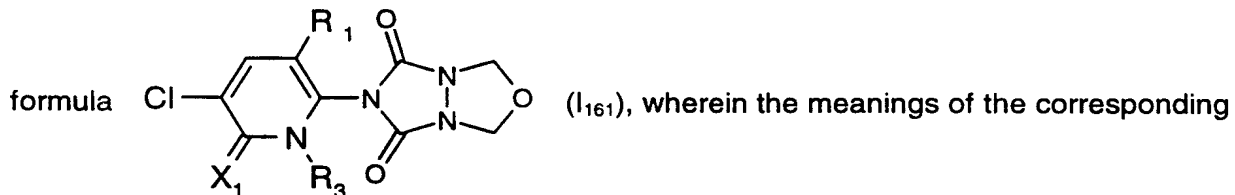
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₅₉ are disclosed.

Table 160: A further preferred group of compounds of formula I corresponds to general



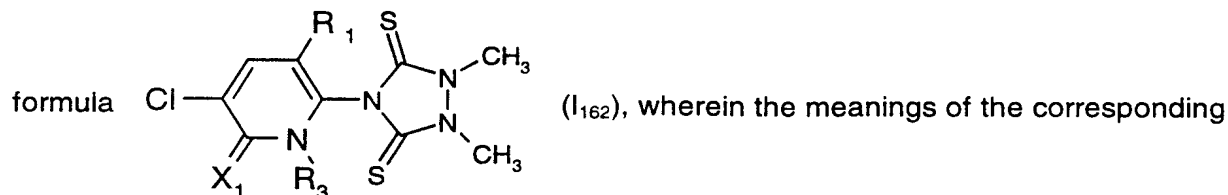
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₀ are disclosed.

Table 161: A further preferred group of compounds of formula I corresponds to general



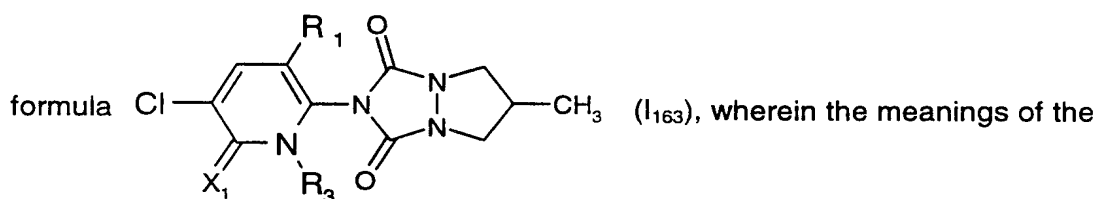
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₁ are disclosed.

Table 162: A further preferred group of compounds of formula I corresponds to general



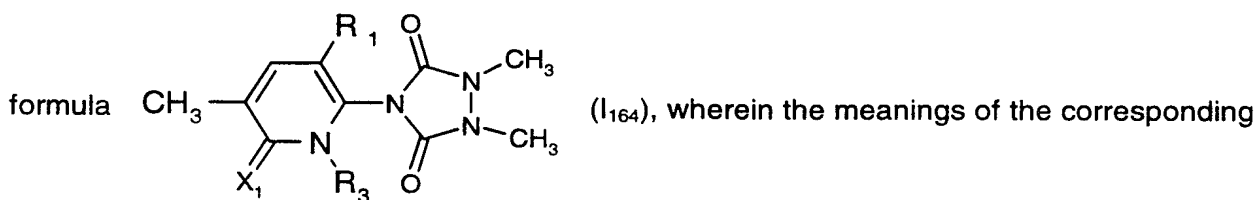
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₂ are disclosed.

Table 163: A further preferred group of compounds of formula I corresponds to general



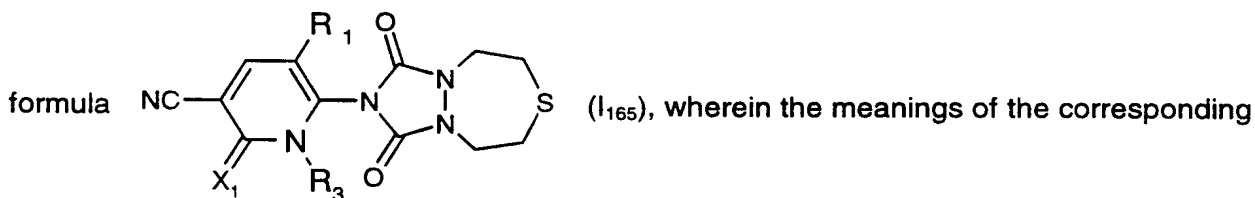
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₃ are disclosed.

Table 164: A further preferred group of compounds of formula I corresponds to general



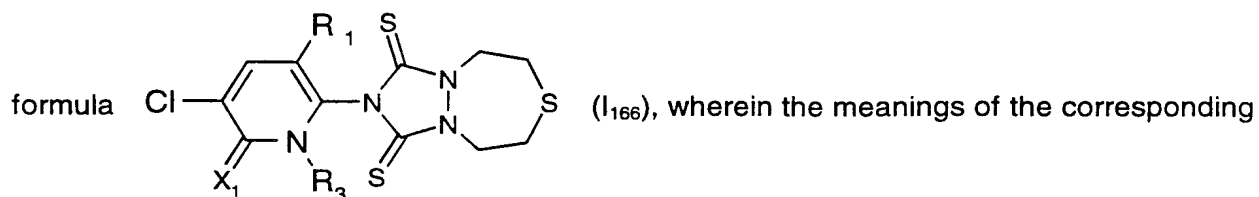
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₄ are disclosed.

Table 165: A further preferred group of compounds of formula I corresponds to general



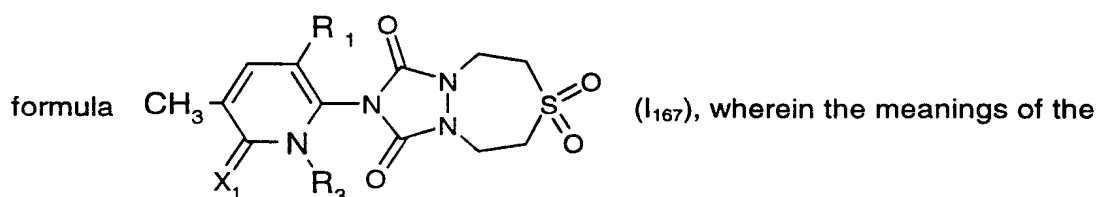
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₅ are disclosed.

Table 166: A further preferred group of compounds of formula I corresponds to general



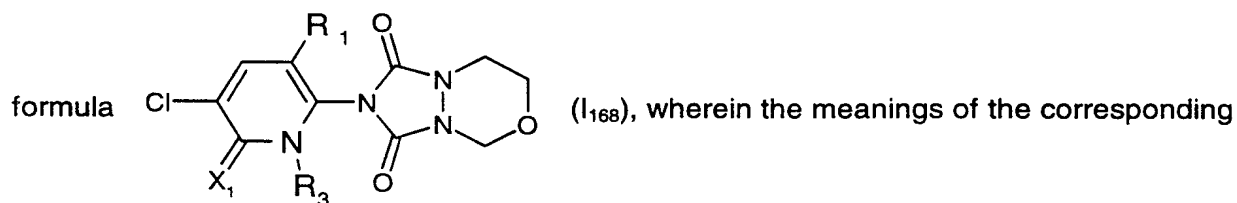
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₆ are disclosed.

Table 167: A further preferred group of compounds of formula I corresponds to general



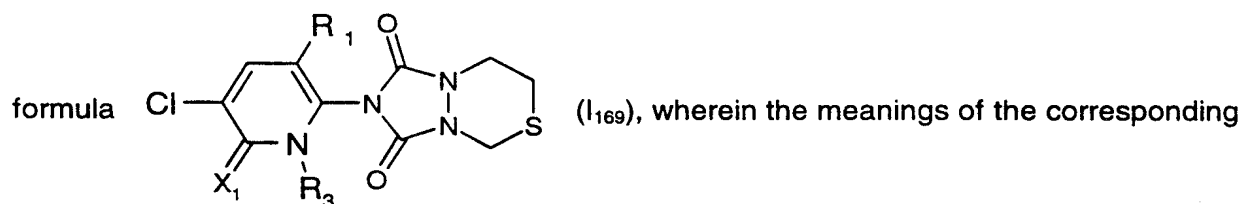
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₇ are disclosed.

Table 168: A further preferred group of compounds of formula I corresponds to general



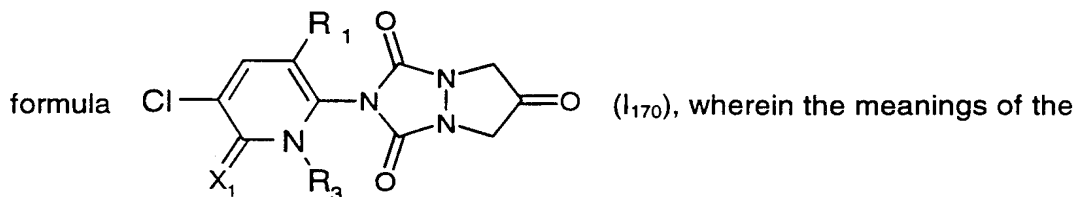
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₈ are disclosed.

Table 169: A further preferred group of compounds of formula I corresponds to general



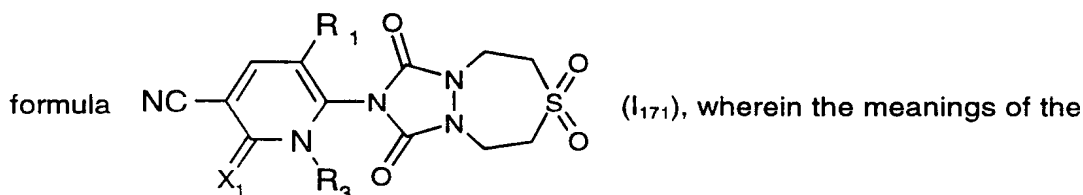
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₆₉ are disclosed.

Table 170: A further preferred group of compounds of formula I corresponds to general



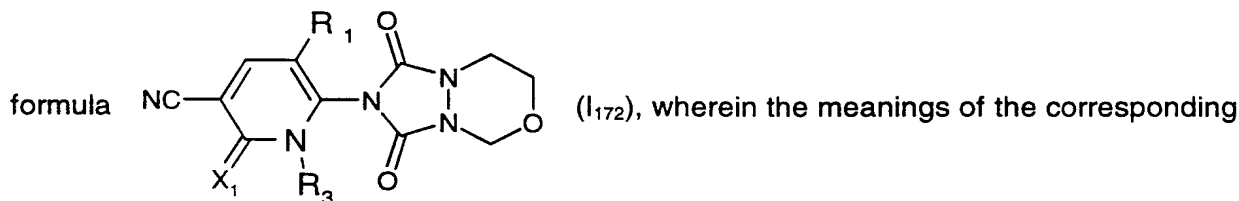
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₀ are disclosed.

Table 171: A further preferred group of compounds of formula I corresponds to general



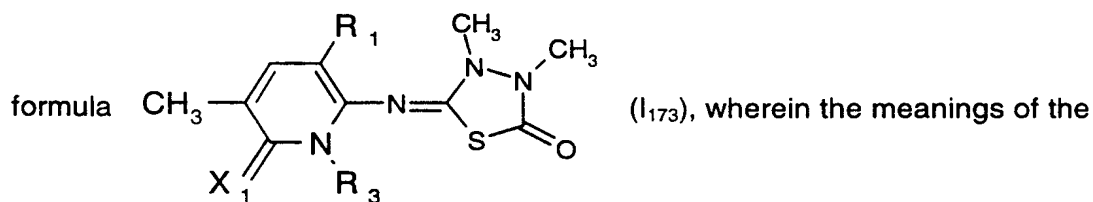
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₁ are disclosed.

Table 172: A further preferred group of compounds of formula I corresponds to general



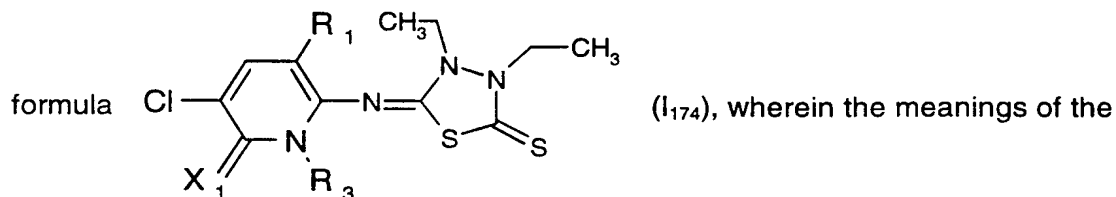
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₂ are disclosed.

Table 173: A further preferred group of compounds of formula I corresponds to general



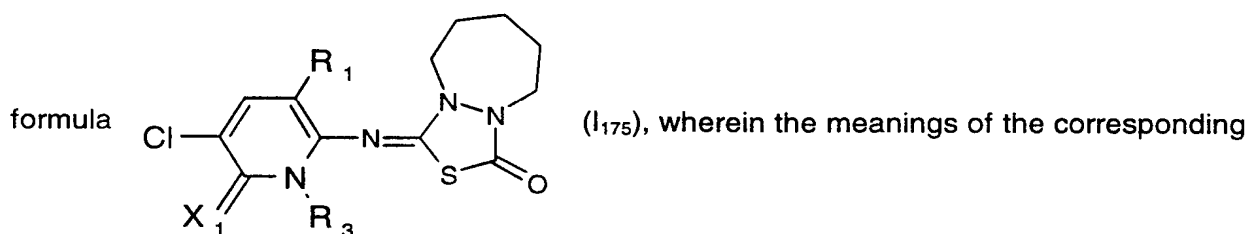
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₃ are disclosed.

Table 174: A further preferred group of compounds of formula I corresponds to general



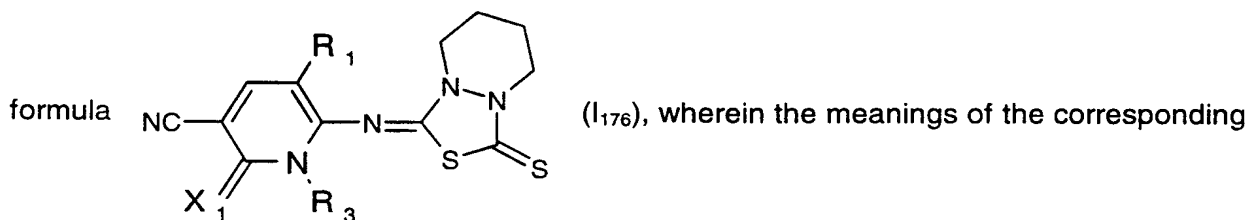
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₄ are disclosed.

Table 175: A further preferred group of compounds of formula I corresponds to general



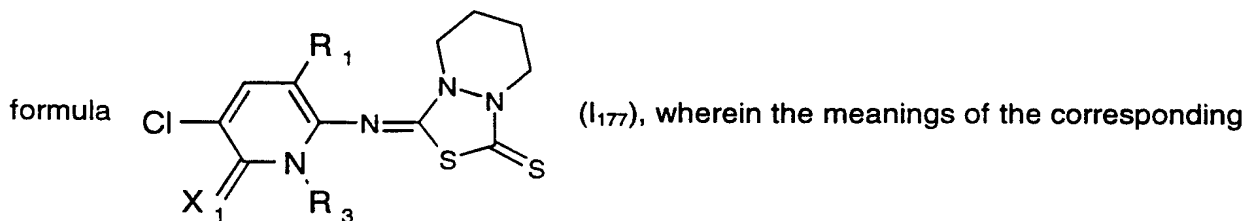
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₅ are disclosed.

Table 176: A further preferred group of compounds of formula I corresponds to general



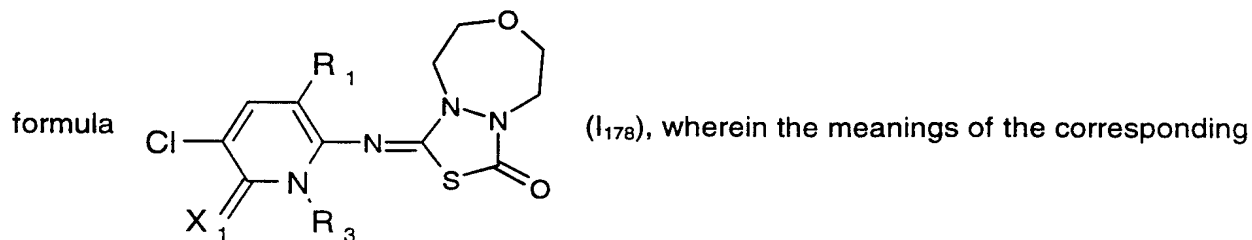
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₆ are disclosed.

Table 177: A further preferred group of compounds of formula I corresponds to general



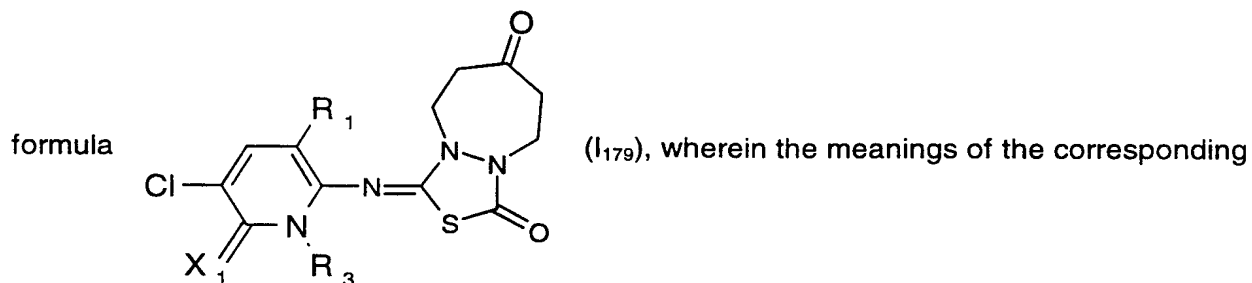
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₇ are disclosed.

Table 178: A further preferred group of compounds of formula I corresponds to general



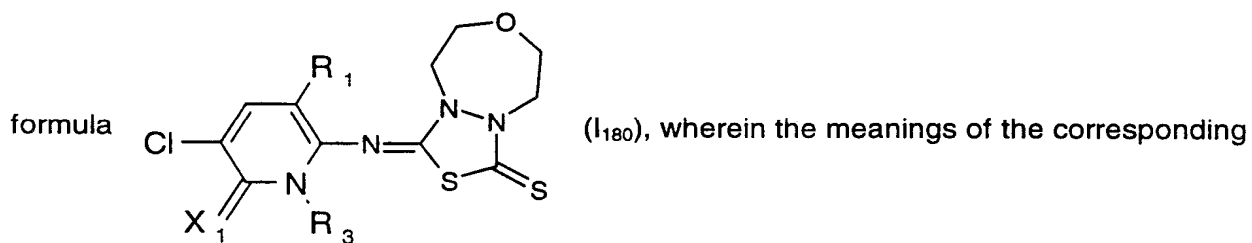
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₈ are disclosed.

Table 179: A further preferred group of compounds of formula I corresponds to general



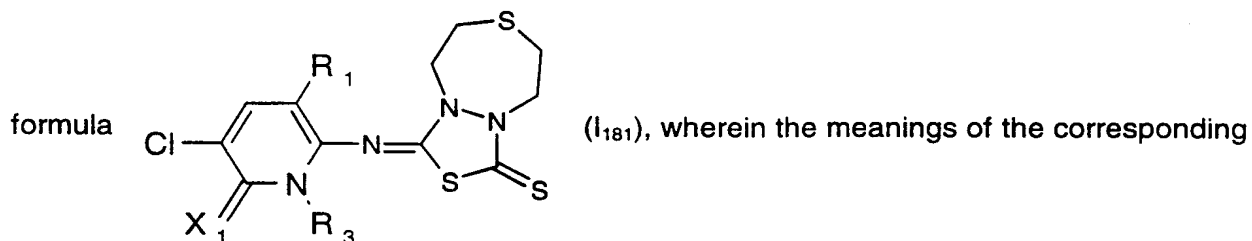
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₇₉ are disclosed.

Table 180: A further preferred group of compounds of formula I corresponds to general



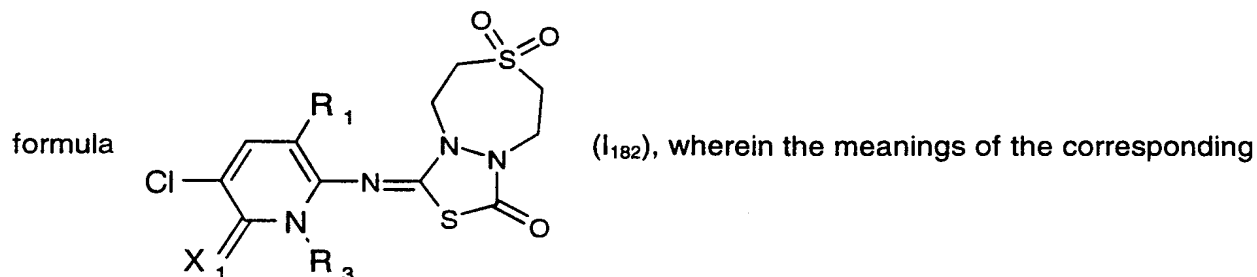
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₈₀ are disclosed.

Table 181: A further preferred group of compounds of formula I corresponds to general



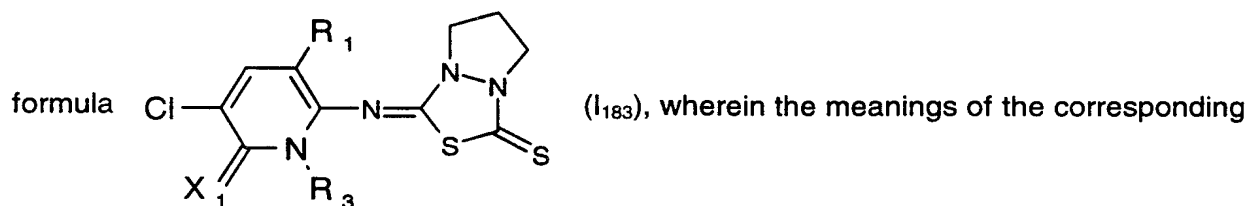
substituents R_1 , X_1 and R_3 are indicated in Table A, so that 423 specific compounds of formula I₁₈₁ are disclosed.

Table 182: A further preferred group of compounds of formula I corresponds to general



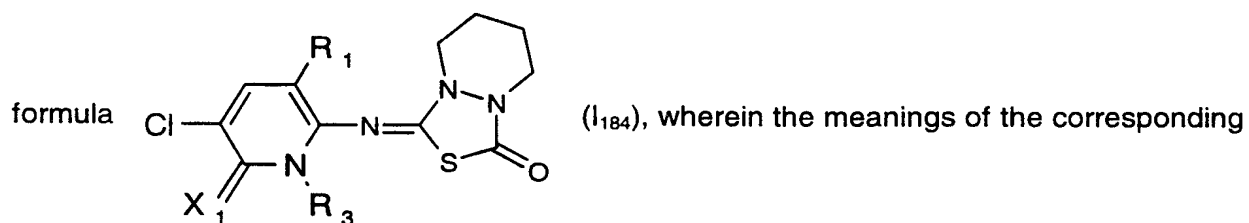
substituents R_1 , X_1 and R_3 are indicated in Table A, so that 423 specific compounds of formula I₁₈₂ are disclosed.

Table 183: A further preferred group of compounds of formula I corresponds to general



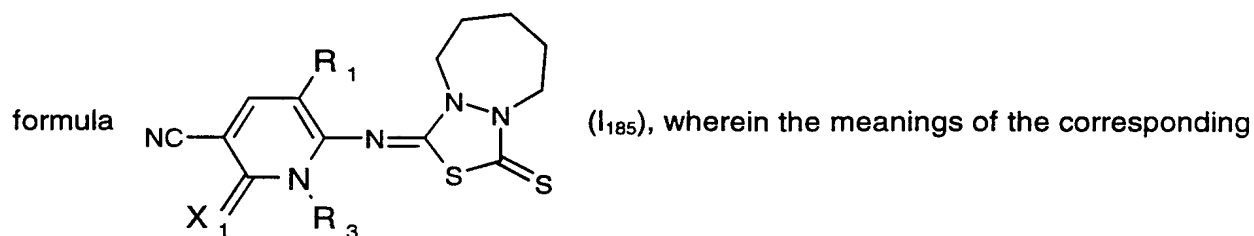
substituents R_1 , X_1 and R_3 are indicated in Table A, so that 423 specific compounds of formula I₁₈₃ are disclosed.

Table 184: A further preferred group of compounds of formula I corresponds to general



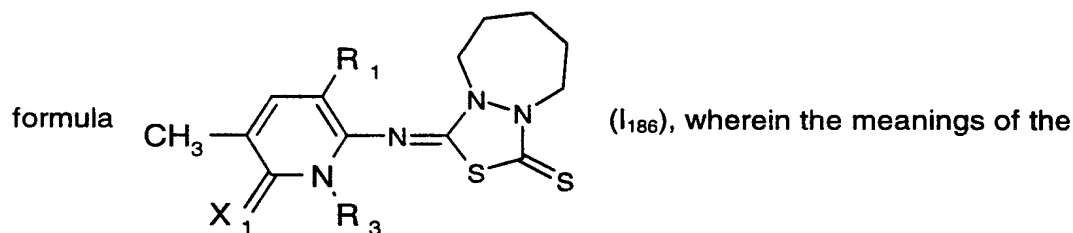
substituents R_1 , X_1 and R_3 are indicated in Table A, so that 423 specific compounds of formula I₁₈₄ are disclosed.

Table 185: A further preferred group of compounds of formula I corresponds to general



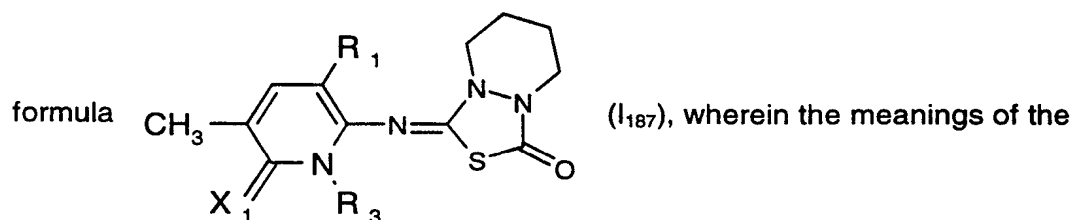
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₈₅ are disclosed.

Table 186: A further preferred group of compounds of formula I corresponds to general



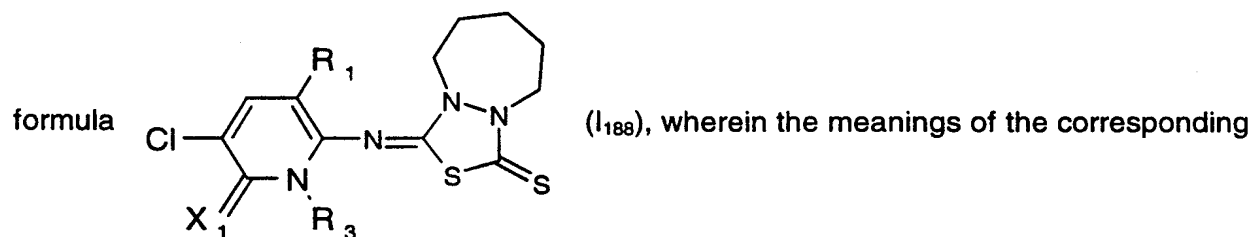
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₈₆ are disclosed.

Table 187: A further preferred group of compounds of formula I corresponds to general



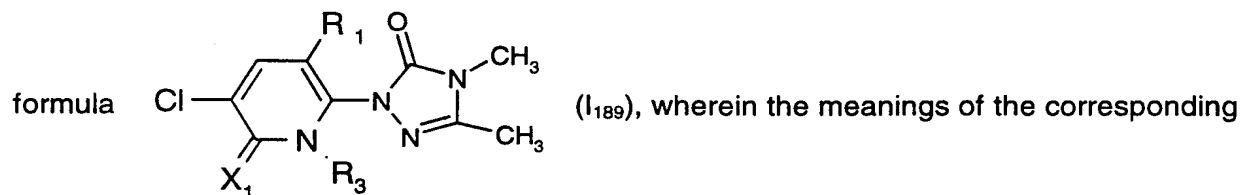
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₈₇ are disclosed.

Table 188: A further preferred group of compounds of formula I corresponds to general



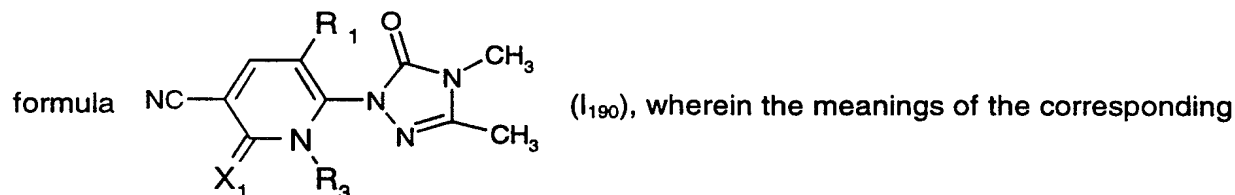
substituents R_1 , X_1 and R_3 are indicated in Table A, so that 423 specific compounds of formula I₁₈₈ are disclosed.

Table 189: A further preferred group of compounds of formula I corresponds to general



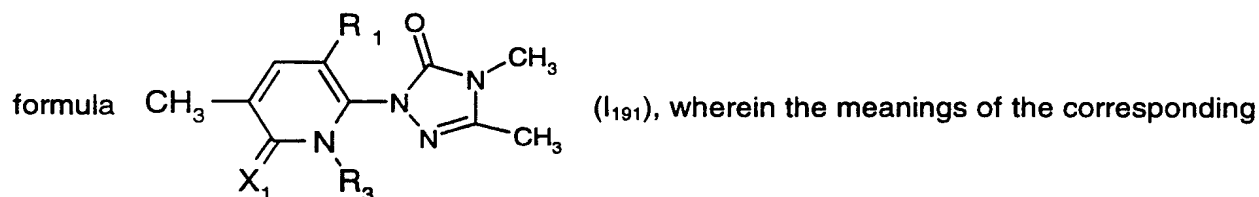
substituents R_1 , X_1 and R_3 are indicated in Table A, so that 423 specific compounds of formula I₁₈₉ are disclosed.

Table 190: A further preferred group of compounds of formula I corresponds to general



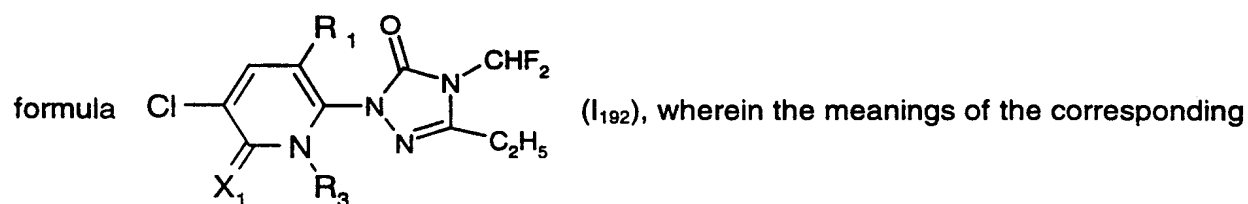
substituents R_1 , X_1 and R_3 are indicated in Table A, so that 423 specific compounds of formula I₁₉₀ are disclosed.

Table 191: A further preferred group of compounds of formula I corresponds to general



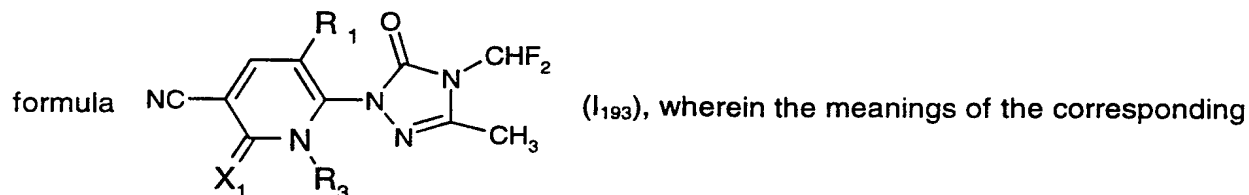
substituents R_1 , X_1 and R_3 are indicated in Table A, so that 423 specific compounds of formula I₁₉₁ are disclosed.

Table 192: A further preferred group of compounds of formula I corresponds to general



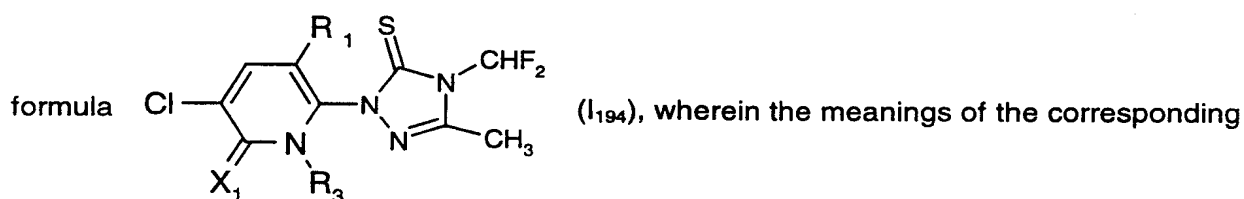
substituents R_1 , X_1 and R_3 are indicated in Table A, so that 423 specific compounds of formula I₁₉₂ are disclosed.

Table 193: A further preferred group of compounds of formula I corresponds to general



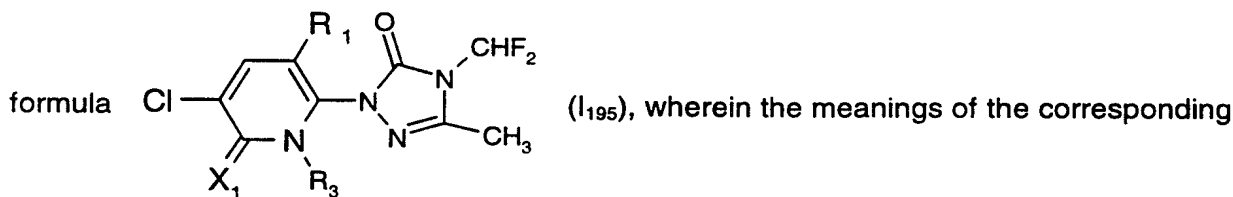
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₉₃ are disclosed.

Table 194: A further preferred group of compounds of formula I corresponds to general



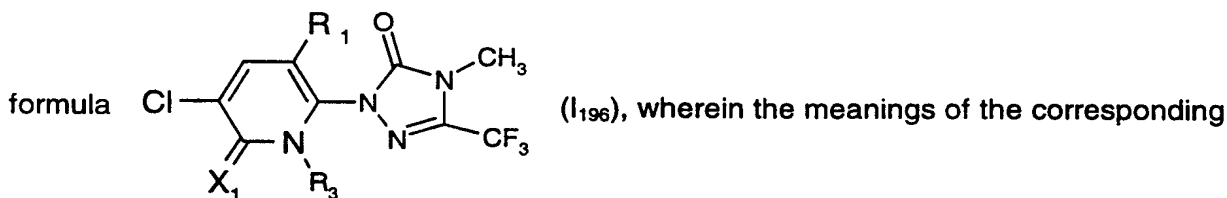
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₉₄ are disclosed.

Table 195: A further preferred group of compounds of formula I corresponds to general



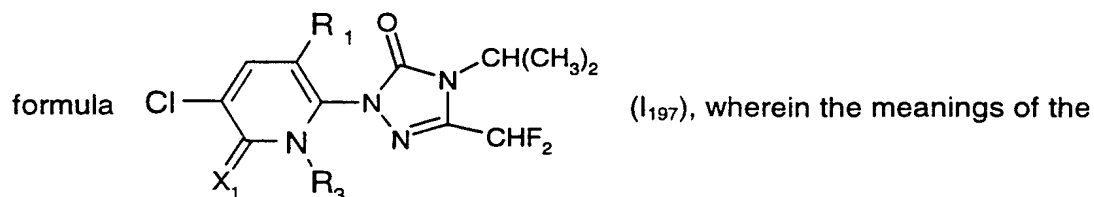
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₉₅ are disclosed.

Table 196: A further preferred group of compounds of formula I corresponds to general



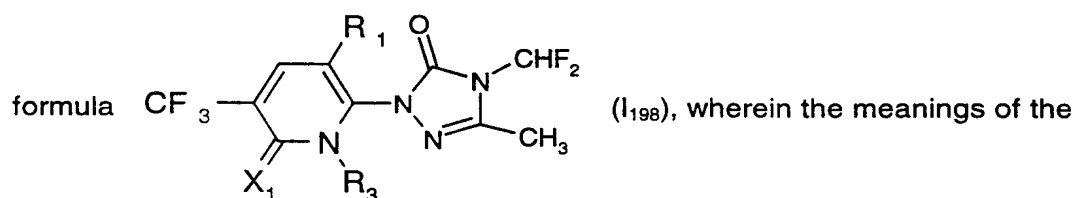
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₉₆ are disclosed.

Table 197: A further preferred group of compounds of formula I corresponds to general



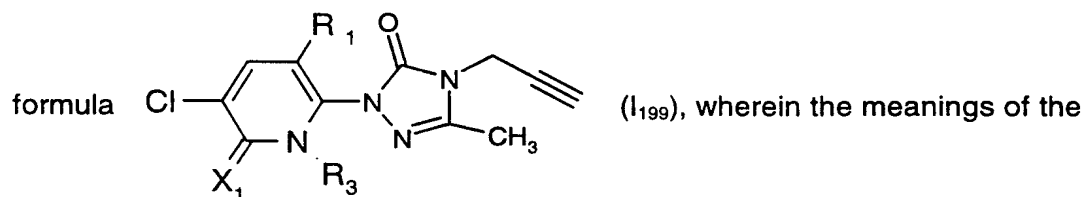
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₉₇ are disclosed.

Table 198: A further preferred group of compounds of formula I corresponds to general



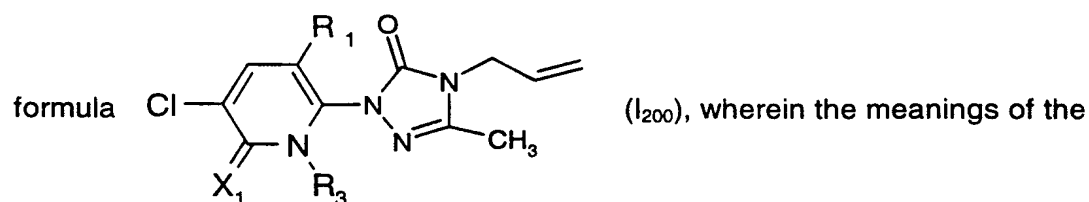
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₉₈ are disclosed.

Table 199: A further preferred group of compounds of formula I corresponds to general



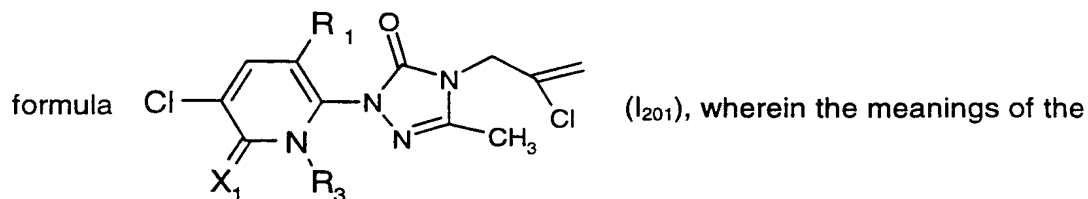
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₁₉₉ are disclosed.

Table 200: A further preferred group of compounds of formula I corresponds to general



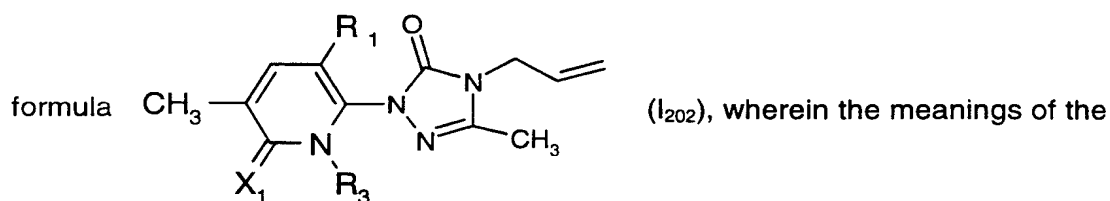
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₀ are disclosed.

Table 201: A further preferred group of compounds of formula I corresponds to general



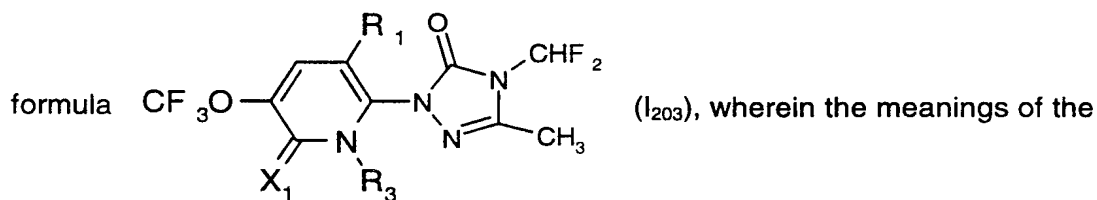
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₁ are disclosed.

Table 202: A further preferred group of compounds of formula I corresponds to general



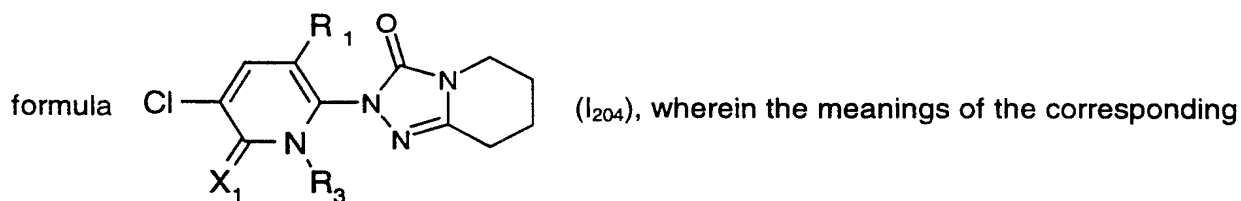
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₂ are disclosed.

Table 203: A further preferred group of compounds of formula I corresponds to general



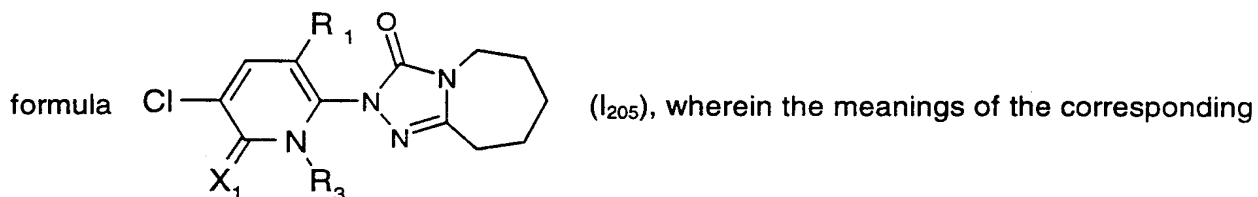
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₃ are disclosed.

Table 204: A further preferred group of compounds of formula I corresponds to general



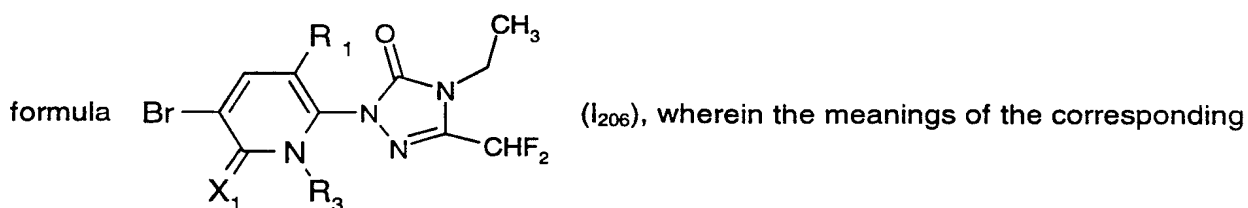
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₄ are disclosed.

Table 205: A further preferred group of compounds of formula I corresponds to general



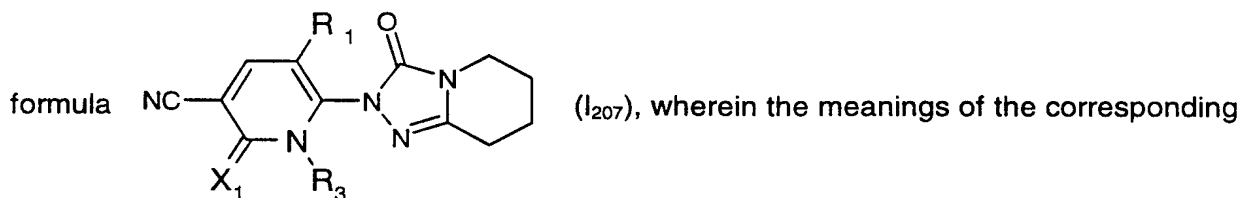
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₅ are disclosed.

Table 206: A further preferred group of compounds of formula I corresponds to general



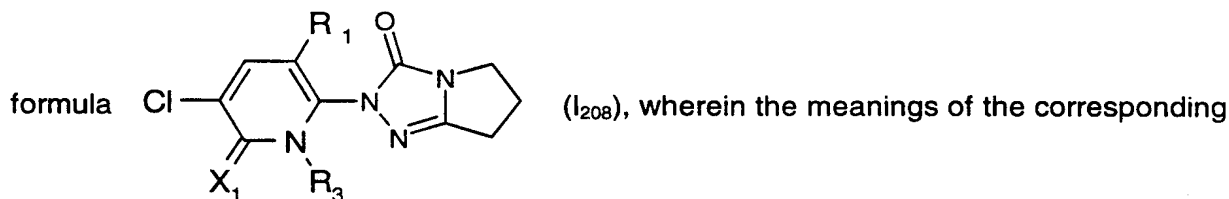
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₆ are disclosed.

Table 207: A further preferred group of compounds of formula I corresponds to general



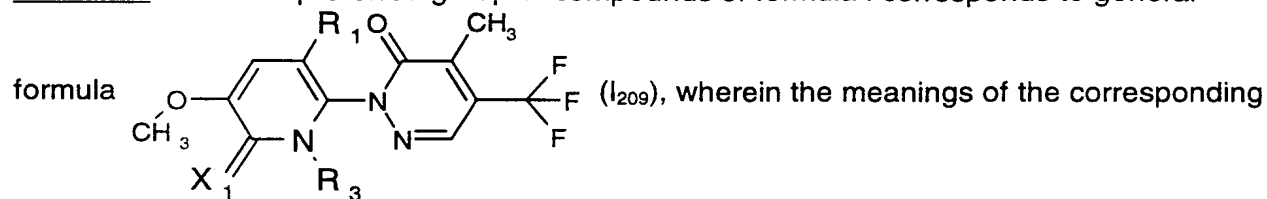
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₇ are disclosed.

Table 208: A further preferred group of compounds of formula I corresponds to general



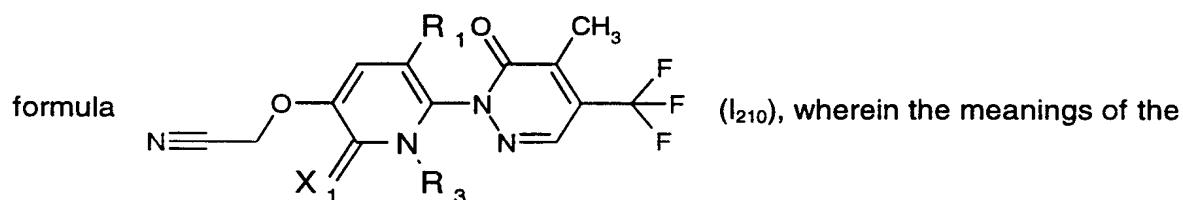
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₈ are disclosed.

Table 209: A further preferred group of compounds of formula I corresponds to general



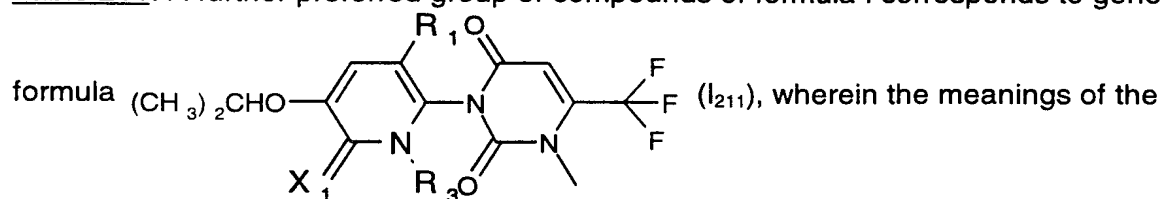
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₀₉ are disclosed.

Table 210: A further preferred group of compounds of formula I corresponds to general



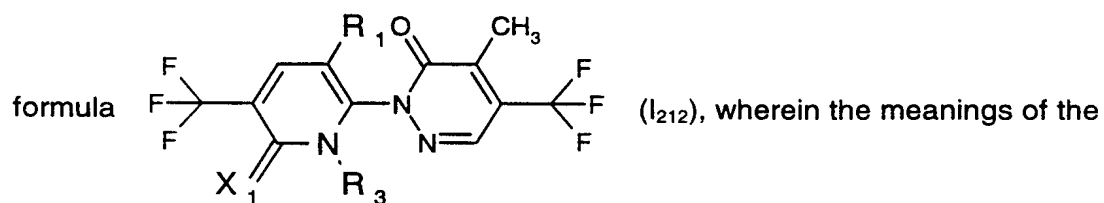
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₀ are disclosed.

Table 211: A further preferred group of compounds of formula I corresponds to general



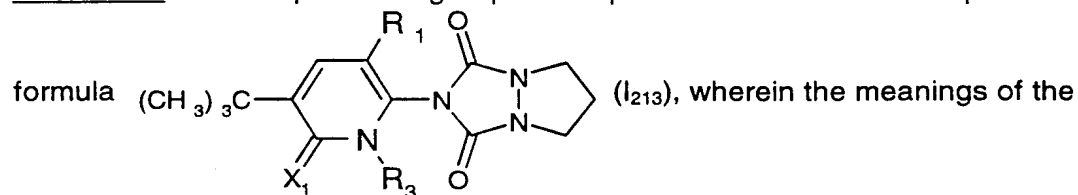
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₁ are disclosed.

Table 212: A further preferred group of compounds of formula I corresponds to general



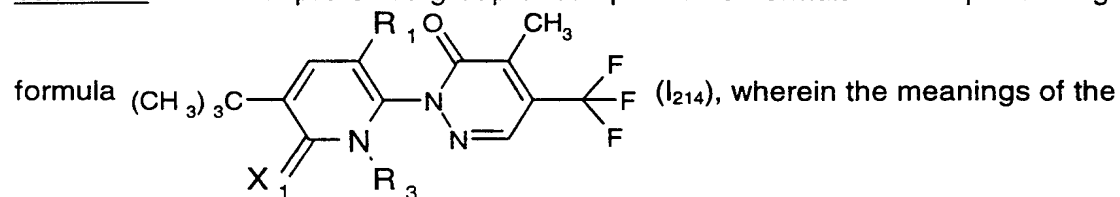
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₂ are disclosed.

Table 213: A further preferred group of compounds of formula I corresponds to general



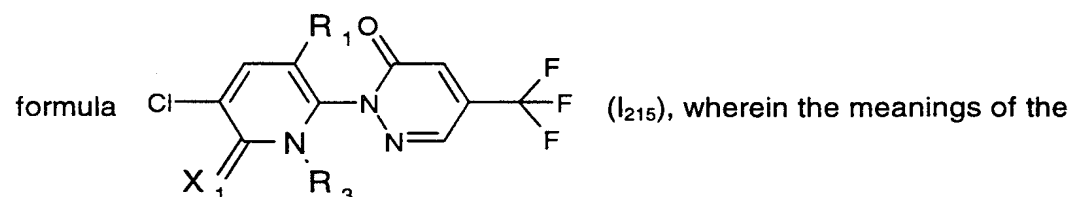
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₃ are disclosed.

Table 214: A further preferred group of compounds of formula I corresponds to general



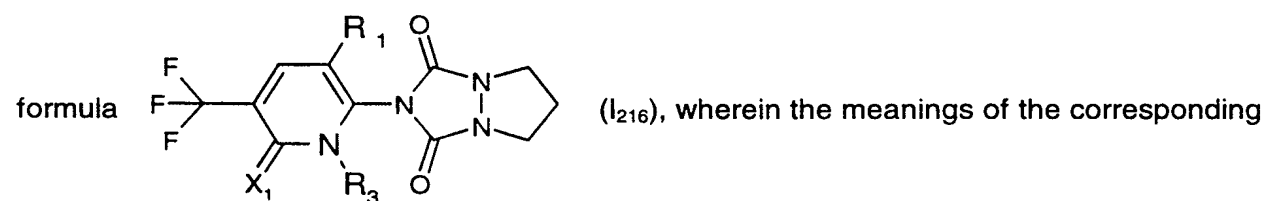
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₄ are disclosed.

Table 215: A further preferred group of compounds of formula I corresponds to general



corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₅ are disclosed.

Table 216: A further preferred group of compounds of formula I corresponds to general



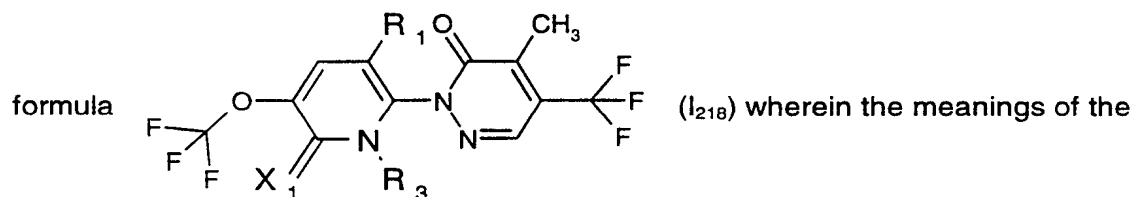
substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₆ are disclosed.

Table 217: A further preferred group of compounds of formula I corresponds to general



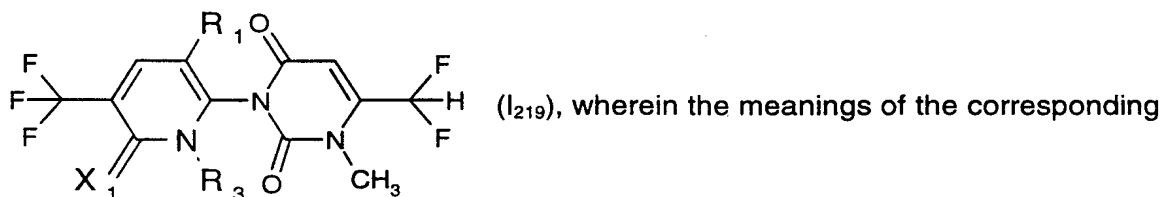
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₇ are disclosed.

Table 218: A further preferred group of compounds of formula I corresponds to general



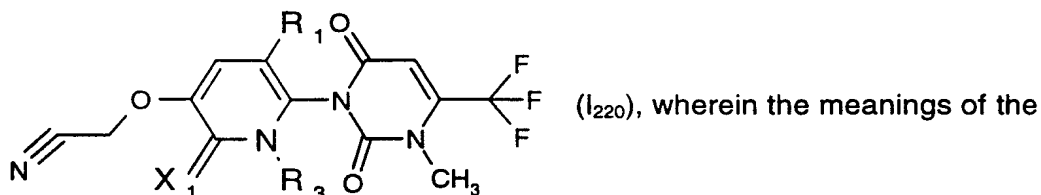
corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₈ are disclosed.

Table 219: A preferred group of compounds of formula I corresponds to general formula



substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₁₉ are disclosed.

Table 220: A preferred group of compounds of formula I corresponds to general formula



corresponding substituents R₁, X₁ and R₃ are indicated in Table A, so that 423 specific compounds of formula I₂₂₀ are disclosed.

Table A

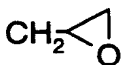
| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|---|
| .001 | H | O | CH ₃ |
| .002 | F | O | CH ₃ |
| .003 | Cl | O | CH ₃ |
| .004 | F | O | CH ₂ CH ₃ |
| .005 | Cl | O | CH ₂ CH ₃ |
| .006 | H | O | CH ₂ CH ₃ |
| .007 | F | O | CH ₂ CH ₂ CH ₃ |
| .008 | Cl | O | CH ₂ CH ₂ CH ₃ |
| .009 | H | O | CH ₂ CH ₂ CH ₃ |
| .010 | F | O | CH ₂ CH ₂ CH ₂ CH ₃ |
| .011 | Cl | O | CH ₂ CH ₂ CH ₂ CH ₃ |
| .012 | F | O | CH ₂ CH ₂ CH ₂ CH ₂ CH ₃ |
| .013 | Cl | O | CH ₂ CH ₂ CH ₂ CH ₂ CH ₃ |
| .014 | F | O | CH ₂ CH ₂ CH ₂ CH ₂ CH ₂ CH ₃ |
| .015 | F | O | CH ₂ CH ₂ CH(CH ₃) ₂ |
| .016 | Cl | O | CH ₂ CH ₂ CH(CH ₃) ₂ |
| .017 | H | O | CH ₂ CH ₂ CH(CH ₃) ₂ |
| .018 | F | O | CH ₂ CH(CH ₃)CH ₂ CH ₃ |
| .019 | Cl | O | CH ₂ CH(CH ₃)CH ₂ CH ₃ |
| .020 | H | O | CH ₂ CH(CH ₃)CH ₂ CH ₃ |
| .021 | F | O | CH ₂ CH(CH ₃)CH ₂ CH ₂ CH ₃ |
| .022 | F | O | CH ₂ CH ₂ CH(CH ₃)CH ₂ CH ₃ |
| .023 | F | O | CH ₂ CH ₂ CH ₂ CH(CH ₃) ₂ |
| .024 | F | O | CH(CH ₃)CH ₂ CH ₂ CH ₂ CH ₃ |
| .025 | Cl | O | CH(CH ₃)CH ₂ CH ₂ CH ₂ CH ₃ |
| .026 | H | O | CH(CH ₃)CH ₂ CH ₂ CH ₂ CH ₃ |
| .027 | F | O | CH ₂ C(CH ₃) ₃ |
| .028 | Cl | O | CH ₂ C(CH ₃) ₃ |
| .029 | H | O | CH ₂ CH(CH ₃) ₂ |
| .030 | Cl | O | CH ₂ CH(CH ₃) ₂ |
| .031 | F | O | CH ₂ CH(CH ₃) ₂ |
| .032 | F | O | CH ₂ C(CH ₃) ₂ CH ₂ CH ₃ |
| .033 | Cl | O | CH ₂ C(CH ₃) ₂ CH ₂ CH ₃ |
| .034 | H | O | CH ₂ C(CH ₃) ₂ CH ₂ CH ₃ |

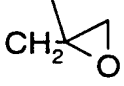
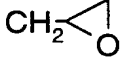
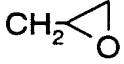
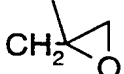
| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|--|
| .035 | F | O | CH ₂ CH ₂ C(CH ₃) ₃ |
| .036 | Cl | O | CH ₂ CH ₂ C(CH ₃) ₃ |
| .037 | F | O | CH ₂ CH ₂ CHCH ₂ |
| .038 | F | O | CH ₂ CH ₂ CH ₂ CH ₃ |
| .039 | F | O | CH(CH ₃) ₂ |
| .040 | Cl | O | CH(CH ₃) ₂ |
| .041 | H | O | CH(CH ₃) ₂ |
| .042 | F | O | CH ₂ CF ₃ |
| .043 | Cl | O | CH ₂ CF ₃ |
| .044 | H | O | CH ₂ CF ₃ |
| .045 | F | O | CH ₂ CCl ₃ |
| .046 | F | O | CH ₂ CH ₂ CF ₃ |
| .047 | F | O | CH ₂ CH ₂ CH(OH)CH ₃ |
| .048 | F | O | CH ₂ CH(OH)CH ₂ CH ₃ |
| .049 | Cl | O | CH ₂ CH(OH)CH ₂ CH ₃ |
| .050 | F | O | CH ₂ CH(OH)CH ₃ |
| .051 | H | O | CH ₂ CH ₂ CHClCH ₃ |
| .052 | Cl | O | CH ₂ CH ₂ CHClCH ₃ |
| .053 | F | O | CH ₂ CH ₂ CHClCH ₃ |
| .054 | F | O | CH ₂ CH ₂ CHFCH ₃ |
| .055 | F | O | CH ₂ CHFCH ₂ CH ₃ |
| .056 | Cl | O | CH ₂ CHFCH ₂ CH ₃ |
| .057 | H | O | CH ₂ CHFCH ₂ CH ₃ |
| .058 | F | O | CH ₂ CHClCH ₂ CH ₃ |
| .059 | F | O | CH ₂ CH ₂ F |
| .060 | Cl | O | CH ₂ CH ₂ F |
| .061 | F | O | CH ₂ CHF ₂ |
| .062 | H | O | CH ₂ CHCH ₂ |
| .063 | Cl | O | CH ₂ CHCH ₂ |
| .064 | F | O | CH ₂ CHCH ₂ |
| .065 | F | O | CH ₂ CH(CH ₃)CH ₂ |
| .066 | F | O | CH ₂ CHCH(CH ₃) |
| .067 | Cl | O | CH ₂ CH ₂ OH |
| .068 | F | O | CH ₂ CH ₂ OH |
| .069 | F | O | CH ₂ CHCHCl |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|--|
| .070 | Cl | O | CH ₂ CHCHCl |
| .071 | F | O | CH ₂ OCH ₂ C ₆ H ₅ |
| .072 | H | O | CH ₂ CCH |
| .073 | Cl | O | CH ₂ CCH |
| .074 | F | O | CH ₂ CCH |
| .075 | F | O | CH ₂ CH ₂ CCH |
| .076 | Cl | O | CH ₂ CH ₂ C ₆ H ₅ |
| .077 | F | O | CH ₂ CH ₂ C ₆ H ₅ |
| .078 | F | O | CH ₂ CH ₂ CH ₂ C ₆ H ₅ |
| .079 | F | O | CH ₂ CH ₂ CH(CH ₃)C ₆ H ₅ |
| .080 | F | O | CH ₂ CH ₂ CH ₂ CH ₂ (p-F-C ₆ H ₄) |
| .081 | H | O | CH ₂ C ₆ H ₅ |
| .082 | Cl | O | CH ₂ C ₆ H ₅ |
| .083 | F | O | CH ₂ C ₆ H ₅ |
| .084 | F | O | CH ₂ (o-F-C ₆ H ₄) |
| .085 | H | O | CH ₂ (p-Cl-C ₆ H ₄) |
| .086 | F | O | CH ₂ (m-CF ₃ -C ₆ H ₅) |
| .087 | H | O | CH ₂ CN |
| .088 | Cl | O | CH ₂ CN |
| .089 | F | O | CH ₂ CN |
| .090 | F | O | CH ₂ CH ₂ CN |
| .091 | F | O | cyclopropyl |
| .092 | F | O | cyclopentyl |
| .093 | F | O | CH ₂ -cyclopentyl |
| .094 | F | O | CH ₂ -cyclopropyl |
| .095 | F | O | CH ₂ CH ₂ Cl |
| .096 | F | O | CH ₂ CHCl ₂ |
| .097 | H | O | CH ₂ OCH ₃ |
| .098 | Cl | O | CH ₂ OCH ₃ |
| .099 | F | O | CH ₂ OCH ₃ |
| .100 | F | O | CH ₂ CH ₂ OCH ₃ |
| .101 | F | O | CH ₂ CH ₂ OCH ₂ CH ₃ |
| .102 | F | O | CH ₂ CH(CH ₃)OCH ₃ |
| .103 | H | O | CH ₂ CH ₂ OCH ₂ CH ₂ OCH ₃ |
| .104 | Cl | O | CH ₂ CH ₂ OCH ₂ CH ₂ OCH ₃ |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|---|
| .105 | F | O | CH ₂ CH ₂ OCH ₂ CH ₂ OCH ₃ |
| .106 | H | O | CH ₂ SCH ₃ |
| .107 | Cl | O | CH ₂ SCH ₃ |
| .108 | F | O | CH ₂ SCH ₃ |
| .109 | H | O | CH ₂ S(O)CH ₃ |
| .110 | Cl | O | CH ₂ S(O)CH ₃ |
| .111 | F | O | CH ₂ S(O)CH ₃ |
| .112 | H | O | CH ₂ S(O) ₂ CH ₃ |
| .113 | Cl | O | CH ₂ S(O) ₂ CH ₃ |
| .114 | F | O | CH ₂ S(O) ₂ CH ₃ |
| .115 | F | O | CH ₂ SCH ₂ CH ₃ |
| .116 | F | O | CH ₂ CH ₂ SCH ₃ |
| .117 | F | O | CH ₂ CH ₂ SCH ₂ CH ₃ |
| .118 | Cl | O | CH ₂ CH ₂ SCH ₂ CH ₃ |
| .119 | H | O | CH ₂ CH ₂ SCH ₂ CH ₃ |
| .120 | Cl | O | CH ₂ CH ₂ SCH ₃ |
| .121 | H | O | CH ₂ CH ₂ SCH ₃ |
| .122 | F | O | CH ₂ CH ₂ S(O)CH ₃ |
| .123 | F | O | CH ₂ CH ₂ S(O) ₂ CH ₃ |
| .124 | Cl | O | CH ₂ CH ₂ S(O)CH ₃ |
| .125 | Cl | O | CH ₂ CH ₂ S(O) ₂ CH ₃ |
| .126 | F | O | CH ₂ CH ₂ S(O)CH ₂ CH ₃ |
| .127 | Cl | O | CH ₂ CH ₂ S(O)CH ₂ CH ₃ |
| .128 | H | O | CH ₂ CH ₂ S(O)CH ₂ CH ₃ |
| .129 | F | O | CH ₂ CH ₂ S(O) ₂ CH ₂ CH ₃ |
| .130 | Cl | O | CH ₂ CH ₂ S(O) ₂ CH ₂ CH ₃ |
| .131 | H | O | CH ₂ CH ₂ S(O) ₂ CH ₂ CH ₃ |
| .132 | F | O | CH ₂ CH(CH ₃)SCH ₃ |
| .133 | H | O | CH ₂ COOH |
| .134 | Cl | O | CH ₂ COOH |
| .135 | F | O | CH ₂ COOH |
| .136 | F | O | CH ₂ COOCH ₃ |
| .137 | H | O | CH ₂ COOCH ₂ CH ₃ |
| .138 | Cl | O | CH ₂ COOCH ₂ CH ₃ |
| .139 | F | O | CH ₂ COOCH ₂ CH ₃ |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|--|
| .140 | F | O | CH ₂ COOC(CH ₃) ₃ |
| .141 | F | O | CH ₂ COOCH ₂ CHCH ₂ |
| .142 | F | O | CH ₂ COOCH ₂ CCH |
| .143 | F | O | CH ₂ COOCH ₂ C ₆ H ₅ |
| .144 | H | O | CH ₂ CHO |
| .145 | Cl | O | CH ₂ CHO |
| .146 | F | O | CH ₂ CHO |
| .147 | H | O | CH ₂ C(O)CH ₃ |
| .148 | Cl | O | CH ₂ C(O)CH ₃ |
| .149 | F | O | CH ₂ C(O)CH ₃ |
| .150 | F | O | CH ₂ COSCH ₂ CH ₃ |
| .151 | H | O | CH ₂ COSCH(CH ₃) ₂ |
| .152 | Cl | O | CH ₂ COSCH(CH ₃) ₂ |
| .153 | F | O | CH ₂ COSCH(CH ₃) ₂ |
| .154 | F | O | CH ₂ COSCH ₂ C ₆ H ₅ |
| .155 | F | O | CH ₂ CONH ₂ |
| .156 | F | O | CH ₂ CON(CH ₃) ₂ |
| .157 | Cl | O | CH ₂ CON(CH ₃) ₂ |
| .158 | F | O | CH ₂ CON(CH ₂ CH ₃) ₂ |
| .159 | Cl | O | CH ₂ CON(CH ₂ CH ₃) ₂ |
| .160 | H | O | CH ₂ CON(CH ₂ CH ₃) ₂ |
| .161 | F | O | CH ₂ OCH ₂ C ₆ H ₅ |
| .162 | Cl | O | CH ₂ CONHCH ₂ CCH |
| .163 | F | O | CH ₂ CONHCH ₂ CCH |
| .164 | Cl | O | CH ₂ CH ₂ COOH |
| .165 | F | O | CH ₂ CH ₂ COOH |
| .166 | F | O | CH ₂ CH ₂ COOCH ₂ CH ₃ |
| .167 | H | O | CH ₂ CH ₂ CN |
| .168 | Cl | O | CH ₂ CH ₂ CN |
| .169 | F | O | CH ₂ CH ₂ CN |
| .170 | F | O | CH ₂ CH(CH ₃)CN |
| .171 | Cl | O | CH(CH ₃)CH ₂ CN |
| .172 | Cl | O | CH ₂ CH ₂ CHO |
| .173 | F | O | CH ₂ CH ₂ CHO |
| .174 | F | O | CH ₂ CH ₂ C(O)CH ₃ |


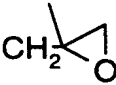
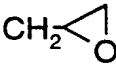
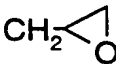
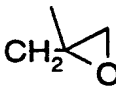
| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|---|
| .175 | Cl | O | CH ₂ CH ₂ C(O)CH ₃ |
| .176 | F | O | CH ₂ COCH ₂ CH ₃ |
| .177 | Cl | O | CH ₂ COCH ₂ CH ₃ |
| .178 | H | O | CH ₂ COCH ₂ CH ₃ |
| .179 | F | O | CH ₂ COCH ₂ CH ₂ CH ₃ |
| .180 | F | O | CH ₂ CH ₂ COCH ₂ CH ₃ |
| .181 | F | O | CH ₂ CH ₂ COCH ₂ CF ₃ |
| .182 | F | O | CH ₂ CHClCOCH ₂ CH ₃ |
| .183 | F | O | CH ₂ CH ₂ COCHClCH ₃ |
| .184 | F | O | CH ₂ CH(CH ₃)COOCH ₃ |
| .185 | F | O | CH ₂ CH ₂ CH ₂ COOCH ₃ |
| .186 | F | O | CH ₂ CH ₂ CH ₂ COSCH ₂ CH ₃ |
| .187 | F | O | CH ₂ CH ₂ CH ₂ CON(CH ₃) ₂ |
| .188 | F | O | CH ₂ CH ₂ CH(CH ₃)COOCH ₂ CH ₃ |
| .189 | H | O | CH ₂ CH(OH)COOH |
| .190 | Cl | O | CH ₂ CH(OH)COOH |
| .191 | F | O | CH ₂ CH(OH)COOH |
| .192 | Cl | O | CH ₂ CH(Cl)COOH |
| .193 | F | O | CH ₂ CH(Cl)COOH |
| .194 | Cl | O | CH ₂ CH(Cl)COOCH ₂ CH ₃ |
| .195 | F | O | CH ₂ CH(Cl)COOCH ₂ CH ₃ |
| .196 | F | O | CH ₂ CH(Cl)COOH |
| .197 | F | O | CH ₂ C(CH ₃)(Cl)COOH |
| .198 | F | O | CH ₂ CH(Cl)COOCH ₂ CHCH ₂ |
| .199 | F | O | CH ₂ CH(Cl)COOCH ₂ CCH |
| .200 | F | O | CH ₂ CH(Cl)COOCH ₂ C ₆ H ₅ |
| .201 | F | O | CH ₂ CH(Cl)C(O)SCH(CH ₃) ₂ |
| .202 | F | O | CH ₂ CH(Cl)C(O)NH(CH ₂ CCH) |
| .203 | F | O | CH ₂ CH(CH ₃)C(O)N(CH ₃)(CH ₂ CHCH ₂) |
| .204 | F | O | CH ₂ CH(Br)COOC(CH ₃) ₃ |
| .205 | F | O | CH ₂ CH(Br)COOCH ₂ CHCH ₂ |
| .206 | F | O | CH ₂ COOCH ₂ CH ₂ Cl |
| .207 | F | O | CH ₂ COOCH ₂ CF ₃ |
| .208 | H | O | CH ₂  |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|---|
| .209 | H | O |  |
| .210 | Cl | O |  |
| .211 | F | O |  |
| .212 | F | O |  |
| .213 | F | O | S(O) ₂ CH ₃ |
| .214 | F | O | S(O) ₂ CH ₂ CH ₃ |
| .215 | Cl | O | S(O) ₂ CF ₃ |
| .216 | Cl | O | S(O) ₂ CH ₂ CH ₃ |
| .217 | F | O | S(O) ₂ CH(CH ₃) ₂ |
| .218 | H | O | C(O)CH ₃ |
| .219 | Cl | O | C(O)CH ₃ |
| .220 | F | O | C(O)CH ₃ |
| .221 | F | O | C(O)CF ₃ |
| .222 | F | O | C(O)CH ₂ CH ₃ |
| .223 | Cl | O | OH |
| .224 | F | O | OH |
| .225 | H | O | OCH ₃ |
| .226 | Cl | O | OCH ₃ |
| .227 | F | O | OCH ₃ |
| .228 | H | O | OCH ₂ CH ₃ |
| .229 | Cl | O | OCH ₂ CH ₃ |
| .230 | F | O | OCH ₂ CH ₃ |
| .231 | F | O | OCH ₂ CH(CH ₃) ₂ |
| .232 | F | O | OCF ₃ |
| .233 | F | O | OCHF ₂ |
| .234 | F | O | OCH ₂ CHCH ₂ |
| .235 | F | O | OCH ₂ C(CH ₃)CH ₂ |
| .236 | F | O | OCH ₂ CHCHCl |
| .237 | Cl | O | OCH ₂ OCH ₃ |
| .238 | F | O | OCH ₂ OCH ₃ |
| .239 | F | O | OCH ₂ SCH ₃ |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|---|
| .240 | F | O | OCH ₂ CCH |
| .241 | F | O | OCH ₂ COOH |
| .242 | F | O | OCH ₂ COOCH ₃ |
| .243 | F | O | OCH ₂ COOCH ₂ CH ₃ |
| .244 | F | O | OCH ₂ COOCH(CH ₃) ₂ |
| .245 | Cl | O | OCH(CH ₃)COOH |
| .246 | F | O | OCH(CH ₃)COOH |
| .247 | F | O | OCH(CH ₃)COOCH ₂ CH ₃ |
| .248 | F | O | OCH(CH ₃)COOCH ₂ CCH |
| .249 | F | O | OCH(CH ₃)COOCH ₂ CHCH ₂ |
| .250 | F | O | OCH ₂ COSCH ₂ CH ₃ |
| .251 | F | O | OCH ₂ COSCH(CH ₃) ₂ |
| .252 | F | O | OCH ₂ COSCH ₂ C ₆ H ₅ |
| .253 | F | O | OCH ₂ CONH ₂ |
| .254 | F | O | OCH ₂ CON(CH ₃) ₂ |
| .255 | Cl | O | OCH ₂ CONHCH ₂ CCH |
| .256 | F | O | OCH ₂ CONHCH ₂ CCH |
| .257 | F | O | OCH ₂ C ₆ H ₅ |
| .258 | F | O | OCH ₂ (p-CH ₃ O-C ₆ H ₄) |
| .259 | F | O | OCH ₂ (o-F-C ₆ H ₄) |
| .260 | Cl | O | OCH ₂ (m-CF ₃ -C ₆ H ₄) |
| .261 | F | O | OCH ₂ CH ₂ C ₆ H ₅ |
| .262 | H | O | OCH ₂ CN |
| .263 | F | O | OCH ₂ CN |
| .264 | F | O | OCH ₂ CH ₂ Cl |
| .265 | Cl | O | OCH ₂ CH ₂ OH |
| .266 | F | O | OCH ₂ CH ₂ OH |
| .267 | H | O | OCH ₂ CH ₂ CN |
| .268 | Cl | O | OCH ₂ CH ₂ CN |
| .269 | F | O | OCH ₂ CH ₂ CN |
| .270 | Cl | O | OCH ₂ CH(OCH ₃)(CH ₃) |
| .271 | F | O | OCH ₂ CH(OCH ₃)(CH ₃) |
| .272 | H | O | OC(O)CH ₃ |
| .273 | Cl | O | OC(O)CH ₃ |
| .274 | F | O | OC(O)CH ₃ |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|--|
| .275 | H | S | CH ₃ |
| .276 | Cl | S | CH ₃ |
| .277 | F | S | CH ₃ |
| .278 | H | S | CH ₂ CH ₃ |
| .279 | Cl | S | CH ₂ CH ₃ |
| .280 | F | S | CH ₂ CH ₃ |
| .281 | F | S | CH ₂ CH ₂ CH ₃ |
| .282 | F | S | CH ₂ CH(CH ₃) ₂ |
| .283 | F | S | CH ₂ CH ₂ CF ₃ |
| .284 | F | S | CH(CH ₃) ₂ |
| .285 | F | S | CH ₂ CH(CH ₃) ₂ |
| .286 | F | S | CH ₂ CH(Cl)CH ₃ |
| .287 | Cl | S | CH ₂ CHCH ₂ |
| .288 | F | S | CH ₂ CHCH ₂ |
| .289 | F | S | CH ₂ C(CH ₃)CH ₂ |
| .290 | H | S | CH ₂ CCH |
| .291 | Cl | S | CH ₂ CCH |
| .292 | F | S | CH ₂ CCH |
| .293 | F | S | CH ₂ CH ₂ CCH |
| .294 | F | S | CH(CH ₃)CCH |
| .295 | H | S | CH ₂ CH ₂ OH |
| .296 | Cl | S | CH ₂ CH ₂ OH |
| .297 | F | S | CH ₂ CH ₂ OH |
| .298 | F | S | CH ₂ CH(OH)CH ₃ |
| .299 | H | S | CH ₂ C ₆ H ₅ |
| .300 | Cl | S | CH ₂ C ₆ H ₅ |
| .301 | F | S | CH ₂ C ₆ H ₅ |
| .302 | Cl | S | CH ₂ (o-F-C ₆ H ₄) |
| .303 | F | S | CH ₂ (o-F-C ₆ H ₄) |
| .304 | F | S | CH ₂ (m-CF ₃ -C ₆ H ₄) |
| .305 | F | S | CH ₂ (p-CH ₃ -C ₆ H ₄) |
| .306 | F | S | CH ₂ (2,4-di-F-C ₆ H ₃) |
| .307 | F | S | CH ₂ CH ₂ CH(CH ₃)C ₆ H ₅ |
| .308 | F | S | CH ₂ CH ₂ CH ₂ CH ₂ (p-F-C ₆ H ₄) |
| .309 | Cl | S | CH ₂ CN |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|---|
| .310 | F | S | CH ₂ CN |
| .311 | F | S | cyclopropyl |
| .312 | Cl | S | CH ₂ -cyclopropyl |
| .313 | F | S | CH ₂ -cyclopropyl |
| .314 | F | S | CH ₂ Cl |
| .315 | H | S | CH ₂ OCH ₃ |
| .316 | Cl | S | CH ₂ OCH ₃ |
| .317 | F | S | CH ₂ OCH ₃ |
| .318 | F | S | CH ₂ OCH ₂ CHCH ₂ |
| .319 | F | S | CH ₂ CH ₂ OCH ₃ |
| .320 | F | S | CH ₂ CH(OCH ₃)CH ₃ |
| .321 | F | S | CH ₂ CH(OCH ₂ CCH)CH ₃ |
| .322 | H | S | CH ₂ CH ₂ OCH ₂ CH ₂ OCH ₃ |
| .323 | Cl | S | CH ₂ CH ₂ OCH ₂ CH ₂ OCH ₃ |
| .324 | F | S | CH ₂ CH ₂ OCH ₂ CH ₂ OCH ₃ |
| .325 | H | S | CH ₂ SCH ₃ |
| .326 | Cl | S | CH ₂ SCH ₃ |
| .327 | F | S | CH ₂ SCH ₃ |
| .328 | F | S | CH ₂ SCH ₂ CHCH ₂ |
| .329 | F | S | CH ₂ SCH ₂ CCH |
| .330 | F | S | CH ₂ CH ₂ SCH ₃ |
| .331 | F | S | CH ₂ CH ₂ S(O)CH ₃ |
| .332 | F | S | CH ₂ CH ₂ S(O) ₂ CH ₃ |
| .333 | F | S | CH ₂ COOH |
| .334 | F | S | CH ₂ COOCH ₃ |
| .335 | F | S | CH ₂ COOCH ₂ CH ₃ |
| .336 | F | S | CH ₂ COOC(CH ₃) ₃ |
| .337 | F | S | CH ₂ COOCH ₂ C ₆ H ₅ |
| .338 | F | S | CH ₂ COOCH ₂ (p-Cl-C ₆ H ₄) |
| .339 | F | S | CH ₂ C(O)SCH ₃ |
| .340 | F | S | CH ₂ C(O)SCH(CH ₃) ₂ |
| .341 | F | S | CH ₂ C(O)SCH ₂ C ₆ H ₅ |
| .342 | F | S | CH ₂ C(O)NH ₂ |
| .343 | F | S | CH ₂ C(O)NH(CH ₃) |
| .344 | Cl | S | CH ₂ C(O)NH(CH ₂ CCH) |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|---|
| .345 | F | S | CH ₂ C(O)NH(CH ₂ CCH) |
| .346 | F | S | CH ₂ C(O)N(CH ₂ CH ₃) ₂ |
| .347 | F | S | CH ₂ CHO |
| .348 | F | S | CH ₂ C(O)CH ₃ |
| .349 | H | S | CH ₂ CH ₂ COOH |
| .350 | Cl | S | CH ₂ CH ₂ COOH |
| .351 | F | S | CH ₂ CH ₂ COOH |
| .352 | F | S | CH ₂ CH ₂ COOCH ₃ |
| .353 | F | S | CH ₂ CH ₂ COOCH ₂ C ₆ H ₅ |
| .354 | Cl | S | CH ₂ CH ₂ C(O)SCH ₂ CH ₃ |
| .355 | F | S | CH ₂ CH ₂ C(O)SCH ₂ CH ₃ |
| .356 | F | S | CH ₂ CH(OH)COOH |
| .357 | F | S | CH ₂ CH(Cl)COOH |
| .358 | Cl | S | CH ₂ CH(Cl)COOCH ₂ CH ₃ |
| .359 | F | S | CH ₂ CH(Cl)COOCH ₂ CH ₃ |
| .360 | F | S | CH ₂ CH(Cl)COOH |
| .361 | F | S | CH ₂ C(CH ₃)(Cl)COOH |
| .362 | F | S | CH ₂ CH(Cl)COOCH ₂ CHCH ₂ |
| .363 | Cl | S | CH ₂ CH(Cl)COOCH ₂ CCH |
| .364 | F | S | CH ₂ CH(Cl)COOCH ₂ CCH |
| .365 | F | S | CH ₂ CH(Cl)COOCH ₂ C ₆ H ₅ |
| .366 | F | S | CH ₂ CH(Br)COOH |
| .367 | F | S | CH ₂ CH(Cl)C(O)SCH(CH ₃) ₂ |
| .368 | F | S | CH ₂ CH(Cl)C(O)NH(CH ₂ CCH) |
| .369 | F | S | CH ₂ CH(CH ₃)C(O)N(CH ₃)(CH ₂ CHCH ₂) |
| .370 | F | S | CH ₂ CH ₂ C(O)NH(CH ₂ CCH) |
| .371 | H | S | CH ₂  |
| .372 | Cl | S | CH ₂  |
| .373 | F | S | CH ₂  |
| .374 | Cl | S | CH ₂  |
| .375 | F | S | CH ₂  |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|---|
| .376 | F | S | OH |
| .377 | H | S | OCH ₃ |
| .378 | Cl | S | OCH ₃ |
| .379 | F | S | OCH ₃ |
| .380 | F | S | OCH ₂ CH ₃ |
| .381 | Cl | S | OCH ₂ CH(CH ₃) ₂ |
| .382 | F | S | OCH ₂ CH(CH ₃) ₂ |
| .383 | F | S | OCH(CH ₃) ₂ |
| .384 | F | S | OCF ₃ |
| .385 | H | S | OCH ₂ OCH ₃ |
| .386 | Cl | S | OCH ₂ OCH ₃ |
| .387 | F | S | OCH ₂ OCH ₃ |
| .388 | F | S | OCH ₂ SCH ₃ |
| .389 | Cl | S | OCH ₂ CHCH ₂ |
| .390 | F | S | OCH ₂ CHCH ₂ |
| .391 | Cl | S | OCH ₂ CCH |
| .392 | F | S | OCH ₂ CCH |
| .393 | F | S | OCH(CH ₃)CHCH ₂ |
| .394 | F | S | OCH(CH ₃)CCH |
| .395 | F | S | OCH ₂ CHCH(Cl) |
| .396 | F | S | OCH ₂ COOH |
| .397 | F | S | OCH ₂ COOCH ₂ CH ₃ |
| .398 | F | S | OCH(CH ₃)COOH |
| .399 | F | S | OCH(CH ₃)COOCH ₂ CCH |
| .400 | F | S | OCH ₂ C(O)NH ₂ |
| .401 | F | S | OCH ₂ C(O)NH(CH ₃) |
| .402 | F | S | OCH ₂ C(O)NH(CH ₂ CCH) |
| .403 | F | S | OCH ₂ C(O)SCH ₃ |
| .404 | F | S | OCH ₂ C(O)SCH ₂ CH ₃ |
| .405 | F | S | OCH ₂ C(O)SCH(CH ₃) ₂ |
| .406 | Cl | S | OCH ₂ C(O)SCH ₂ C ₆ H ₅ |
| .407 | F | S | OCH(CH ₃)C(O)SCH ₂ C ₆ H ₅ |
| .408 | F | S | OCH ₂ CH ₂ OH |
| .409 | F | S | OCH ₂ CH(CH ₃)OH |
| .410 | F | S | OCH ₂ CH ₂ Cl |

| Comp. No. | R ₁ | X ₁ | R ₃ |
|-----------|----------------|----------------|---|
| .411 | Cl | S | OCH ₂ CH ₂ CN |
| .412 | F | S | OCH ₂ CH ₂ CN |
| .413 | Cl | S | OCH ₂ CH ₂ CF ₃ |
| .414 | F | S | OCH ₂ CH ₂ CF ₃ |
| .415 | F | S | OCH ₂ CH(OH)(C ₆ H ₅) |
| .416 | F | O | CH ₂ COCH ₃ |
| .417 | F | O | CH ₂ CONHCH ₂ CH=CH ₂ |
| .418 | Cl | O | CH ₂ CONHCH ₂ CH=CH ₂ |
| .419 | Cl | S | CH ₂ CONHCH ₂ CH ₃ |
| .420 | Cl | O | CH ₂ CONHCH ₂ CH ₃ |
| .421 | F | O | CH ₂ CONHCH ₂ CH ₃ |
| .422 | F | O | CH ₂ CONHCH ₃ |
| .423 | Cl | O | CH ₂ CONHCH ₃ |

Table B: Prepared compounds from the preceding Tables with physico-chemical data. The figure before the point indicates the number of the Table, e.g. 1.002 indicates in Table 1 compound No. 002 of Table A.

| Comp. No. | physical data |
|-----------|--|
| 1.002 | m.p. 159-160°C |
| 1.007 | m.p. 123-125°C |
| 1.064 | m.p. 115-116°C |
| 1.071 | nD(30°C) 1.5431 |
| 1.074 | m.p. 218-220°C |
| 1.139 | nD(30°C) 1.5131 |
| 34.074 | ¹ H-NMR (CDCl ₃): 8,14 ppm (s, 1H); 7,72 ppm (d, 1H); 7,36 ppm (s, 1H); 4,90 ppm (s, 2H); 2,21 ppm (s, 1H) |

Examples of specific formulations for compounds of formula I, such as emulsifiable concentrates, solutions, wettable powders, coated granules, extruder granules, dusts and suspension concentrates, are described on pages 9 to 13 of WO 97/34485.

Biological Examples

Example B1: Herbicidal action prior to emergence of the plants (pre-emergence action)

Monocotyledonous and dicotyledonous test plants are sown in standard soil in plastics pots. Immediately after sowing, the test compounds, in the form of an aqueous suspension (prepared from a 25 % wettable powder (Example F3, b), as described, for example, in WO 97/34485), or in the form of an emulsion (prepared from a 25 % emulsifiable concentrate (Example F1, c), as described, for example, in WO 97/34485), are applied by spraying in a concentration corresponding to 2000 g of active ingredient/ha (500 litres water/ha). The test plants are then grown in a greenhouse under optimum conditions. After a test duration of 3 weeks, the test is evaluated in accordance with a scale of nine ratings (1 = total damage, 9 = no action). Ratings of from 1 to 4 (especially from 1 to 3) indicate good to very good herbicidal action. In this test the compounds of formula I exhibit strong herbicidal action.

Test plants: Lolium, Setaria, Sinapis, Solanum, Ipomoea

Examples of the good herbicidal activity of the compounds of formula I are given in Table B1.

Table B1: Pre-emergence action:

| Test plant: | Lolium | Setaria | Sinapis | Solanum | Ipomoea | conc. [g a.i./ha] |
|-------------|--------|---------|---------|---------|---------|----------------------|
| Comp. No. | | | | | | |
| 1.002 | 1 | 1 | 1 | 1 | 2 | 2000 |
| 1.007 | 3 | 1 | 1 | 1 | 1 | 2000 |
| 1.064 | 3 | 1 | 1 | 1 | 1 | 2000 |
| 1.071 | 6 | 1 | 1 | 1 | 3 | 2000 |
| 1.074 | 1 | 1 | 1 | 1 | 1 | 2000 |
| 1.139 | 1 | 1 | 1 | 1 | 1 | 2000 |

The same results are obtained when compounds of formula I are formulated in accordance with Examples F2 and F4 to F8 according to WO 97/34485.

Example B2: Post-emergence herbicidal action

In a greenhouse, monocotyledonous and dicotyledonous test plants are grown in standard soil in plastics pots and at the 4- to 6-leaf stage are sprayed with an aqueous suspension of the test compounds of formula I, prepared from a 25 % wettable powder (Example F3, b) according to WO 97/34485), or with an emulsion of the test compounds of formula I, prepared from a 25 % emulsifiable concentrate (Example F1, c) according to

WO 97/34485), in a concentration corresponding to 2000 g of active ingredient/ha (500 litres water/ha). The test plants are then grown on in a greenhouse under optimum conditions. After a test duration of about 18 days, the test is evaluated in accordance with a scale of nine ratings (1 = total damage, 9 = no action). Ratings of from 1 to 4 (especially from 1 to 3) indicate good to very good herbicidal action.

Test plants: Lolium, Setaria, Sinapis, Solanum, Ipomoea

In this test too, the compounds of formula I according to the invention exhibit strong herbicidal action.

Examples of the good herbicidal activity of the compounds of formula I are given in Table B2.

Table B2: Post-emergence action:

| Test plant: | Lolium | Setaria | Sinapis | Solanum | Ipomoea | conc. [g a.i./ha] |
|-------------|--------|---------|---------|---------|---------|----------------------|
| Comp. No. | | | | | | |
| 1.002 | 1 | 1 | 1 | 1 | 1 | 2000 |
| 1.007 | 2 | 2 | 1 | 1 | 1 | 2000 |
| 1.064 | 4 | 4 | 3 | 1 | 1 | 2000 |
| 1.071 | 2 | 2 | 1 | 1 | 3 | 2000 |
| 1.074 | 1 | 1 | 1 | 1 | 1 | 2000 |
| 1.139 | 1 | 1 | 1 | 1 | 1 | 2000 |

The same results are obtained when compounds of formula I are formulated in accordance with Examples F2 and F4 to F8 according to WO 97/34485.

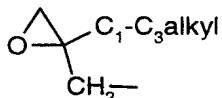
The compounds of formula I according to the invention can also be used for weed control in admixture with known herbicides as co-herbicides, for example in the form of ready-prepared formulations or in the form of a 'tank-mix'. Suitable mixing partners for the compounds of formula I include, for example, the following co-herbicides: compound of formula I + acetochlor, compound of formula I + acifluorfen, compound of formula I + aclonifen, compound of formula I + alachlor, compound of formula I + ametryn, compound of formula I + aminotriazole, compound of formula I + amidosulfuron, compound of formula I + asulam, compound of formula I + atrazine, compound of formula I + BAY FOE 5043, compound of formula I + benazolin, compound of formula I + bensulfuron, compound of

formula I + bentazone, compound of formula I + bifenox, compound of formula I + bispyribac-sodium, compound of formula I + bialaphos, compound of formula I + bromacil, compound of formula I + bromoxynil, compound of formula I + bromophenoxim, compound of formula I + butachlor, compound of formula I + butylate, compound of formula I + cafenstrole, compound of formula I + carbetamide, compound of formula I + chloridazone, compound of formula I + chlorimuron-ethyl, compound of formula I + chlorbromuron, compound of formula I + chlorsulfuron, compound of formula I + chlortoluron, compound of formula I + cinosulfuron, compound of formula I + clethodim, compound of formula I + clodinafop, compound of formula I + clomazone, compound of formula I + clopyralid, compound of formula I + cloransulam, compound of formula I + cyanazine, compound of formula I + cyhalofop, compound of formula I + dalapon, compound of formula I + 2,4-D, compound of formula I + 2,4-DB, compound of formula I + desmetryn, compound of formula I + desmedipham, compound of formula I + dicamba, compound of formula I + diclofop, compound of formula I + difenzoquat metilsulfate, compound of formula I + diflufenican, compound of formula I + dimefuron, compound of formula I + dimepiperate, compound of formula I + dimethachlor, compound of formula I + dimethametryn, compound of formula I + dimethenamid, compound of formula I + S-dimethenamid, compound of formula I + dinitramine, compound of formula I + dinoterb, compound of formula I + dipropetryn, compound of formula I + diuron, compound of formula I + diquat, compound of formula I + DSMA, compound of formula I + EPTC, compound of formula I + esprocarb, compound of formula I + ethalfluralin, compound of formula I + ethametsulfuron, compound of formula I + ethephon, compound of formula I + ethofumesate, compound of formula I + ethoxysulfuron, compound of formula I + fenclorim, compound of formula I + flamprop, compound of formula I + fluazasulfuron, compound of formula I + fluazifop, compound of formula I + flumetralin, compound of formula I + flumetsulam, compound of formula I + fluometuron, compound of formula I + flurochloridone, compound of formula I + fluoxaprop, compound of formula I + fluroxypyr, compound of formula I + fluthiacet-methyl, compound of formula I + fluxofenim, compound of formula I + fomesafen, compound of formula I + glufosinate, compound of formula I + glyphosate, compound of formula I + halosulfuron, compound of formula I + haloxyfop, compound of formula I + hexazinone, compound of formula I + imazamethabenz, compound of formula I + imazapyr, compound of formula I + imazaquin, compound of formula I + imazethapyr, compound of formula I + imazosulfuron, compound of formula I + ioxynil, compound of formula I + isoproturon, compound of formula I + isoxaben, compound of formula I + isoxaflutole, compound of formula I + karbutylate, compound of formula I + lactofen, compound of formula I + lenacil, compound of formula I + linuron, compound of formula I + MCPP, compound of formula I + metamitron,

compound of formula I + metazachlor, compound of formula I + methabenzthiazuron, compound of formula I + methazole, compound of formula I + metobromuron, compound of formula I + metolachlor, compound of formula I + S-metolachlor, compound of formula I + metosulam, compound of formula I + metribuzin, compound of formula I + metsulfuron-methyl, compound of formula I + molinate, compound of formula I + MCPA, compound of formula I + MSMA, compound of formula I + napropamide, compound of formula I + NDA-402989, compound of formula I + nefenacet, compound of formula I + nicosulfuron, compound of formula I + norflurazon, compound of formula I + oryzalin, compound of formula I + oxadiazon, compound of formula I + oxasulfuron, compound of formula I + oxyfluorfen, compound of formula I + paraquat, compound of formula I + pendimethalin, compound of formula I + phenmedipham, compound of formula I + phenoxaprop-P-ethyl (R), compound of formula I + picloram, compound of formula I + pretilachlor, compound of formula I + primisulfuron, compound of formula I + prometon, compound of formula I + prometryn, compound of formula I + propachlor, compound of formula I + propanil, compound of formula I + propazine, compound of formula I + propaquizafop, compound of formula I + propyzamide, compound of formula I + prosulfuron, compound of formula I + pyrazolynate, compound of formula I + pyrazosulfuron-ethyl, compound of formula I + pyrazoxyphen, compound of formula I + pyridate, compound of formula I + pyriminobac-methyl, compound of formula I + pyriothiobac-sodium, compound of formula I + quinclorac, compound of formula I + quizalofop, compound of formula I + rimsulfuron, compound of formula I + sequestrene, compound of formula I + sethoxydim, compound of formula I + simetryn, compound of formula I + simazine, compound of formula I + sulcotrione, compound of formula I + sulfosate, compound of formula I + sulfosulfuron-methyl, compound of formula I + tebutam, compound of formula I + tebuthiuron, compound of formula I + terbacil, compound of formula I + terbumeton, compound of formula I + terbuthylazine, compound of formula I + terbutryn, compound of formula I + thiazafluron, compound of formula I + thiazopyr, compound of formula I + thifensulfuron-methyl, compound of formula I + thiobencarb, compound of formula I + tralkoxydim, compound of formula I + triallate, compound of formula I + triasulfuron, compound of formula I + trifluralin, compound of formula I + tribenuron-methyl, compound of formula I + triclopyr, compound of formula I + triflusulfuron, and compound of formula I + trinexapac-ethyl, and esters and salts of those mixing partners for the compound of formula I that are mentioned e.g. in The Pesticide Manual, Eleventh Edition, 1997, BCPC.

R₃ is hydroxy, C₁-C₆alkoxy, C₃-C₆alkenyloxy, C₃-C₆alkynyloxy, C₁-C₆haloalkoxy, C₃-C₆haloalkenyloxy, C₁-C₆alkoxy-C₁-C₆alkyl, C₃-C₆alkenyloxy-C₁-C₆alkyl, C₃-C₆alkynyloxy-C₁-C₆alkyl, C₁-C₆alkoxy-C₁-C₆alkoxy-C₁-C₆alkyl, B₁-C₁-C₆alkoxy, R₄(R₅)N-, C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₂-C₆haloalkyl, C₃-C₆haloalkenyl, C₃-C₆cycloalkyl, C₃-C₆halocycloalkyl, B₁-C₁-C₆alkyl, OHC-, C₁-C₆alkylcarbonyl, C₁-C₆alkylcarbonyloxy, C₁-C₆haloalkylcarbonyl, C₂-C₆alkenylcarbonyl, C₁-C₆alkoxycarbonyl, C₁-C₆alkyl-S(O)₂-,

C₁-C₆haloalkyl-S(O)₂-, C₃-C₈trialkylsilyloxy, (C₁-C₆alkyl)₂N-N=CH-, ,

, B₁-CH=N-, (CH₃)₂N-CH=N-, (C₁-C₅hydroxyalkyl)-CH₂-, (B₁-C₁-C₅-

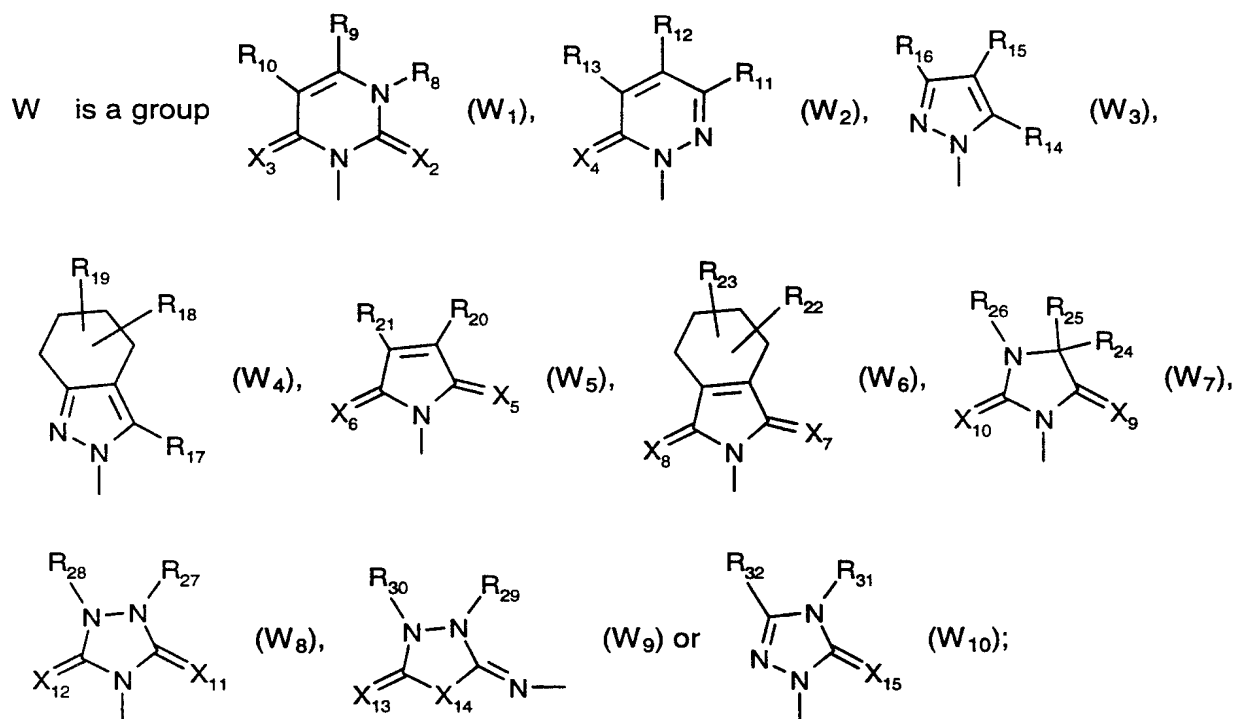
hydroxyalkyl)-CH₂-, (B₁-C₁-C₅haloalkyl)-CH₂-, (hydroxy-C₁-C₅alkyl)-O- or (B₁-C₁-C₅-hydroxyalkyl)-O-;

B₁ is cyano, OHC-, HOC(O)-, C₁-C₆alkylcarbonyl, C₁-C₆haloalkylcarbonyl, C₁-C₆alkoxycarbonyl, C₃-C₆alkenyloxycarbonyl, C₃-C₆alkynyloxycarbonyl, benzyloxy, benzyloxy-carbonyl, benzyloxycarbonyl mono- to tri-substituted on the phenyl ring by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl, benzylthio, benzylthiocarbonyl, benzylthiocarbonyl mono- to tri-substituted on the phenyl ring by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl, C₁-C₆haloalkoxycarbonyl, C₁-C₆alkylthio-C(O)-, R₆(R₇)NC(O)-, phenyl, phenyl mono- to tri-substituted by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl, C₁-C₆alkyl-S(O)₂-, C₁-C₆alkyl-S(O)-, C₁-C₆alkylthio-, C₃-C₆cycloalkyl, C₁-C₆alkoxy, C₃-C₆alkenylthio or C₃-C₆alkynylthio;

R₄ and R₅ are each independently of the other hydrogen, C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₁-C₆haloalkyl, C₃-C₆haloalkenyl, C₃-C₆cycloalkyl, C₁-C₆alkoxy-C₁-C₆alkyl, OHC-, C₁-C₆alkylcarbonyl, C₁-C₆haloalkylcarbonyl, C₁-C₆alkyl-S(O)₂- or C₁-C₆haloalkyl-S(O)₂-;

R₆ and R₇ are each independently of the other hydrogen, C₁-C₆alkyl, C₃-C₆alkenyl, C₃-C₆alkynyl, C₁-C₆haloalkyl, C₃-C₆haloalkenyl, phenyl, phenyl mono- to tri-substituted by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl, benzyl or benzyl mono- to tri-substituted on the phenyl ring by halogen, C₁-C₄alkyl or by C₁-C₄haloalkyl;

X₁ is oxygen or sulfur;



R₈ is C₁-C₃alkyl, C₁-C₃haloalkyl or amino;

R₉ is C₁-C₃haloalkyl, C₁-C₃alkyl-S(O)_{n1}, C₁-C₃haloalkyl-S(O)_{n1} or cyano; or

R₈ and R₉ together form a C₃- or C₄-alkylene bridge or C₃- or C₄-alkenylene bridge, each of which may be substituted by halogen, C₁-C₃haloalkyl or by cyano;

n₁ is 0, 1 or 2;

R₁₀ is hydrogen, C₁-C₃alkyl, halogen, C₁-C₃haloalkyl or cyano; or

R₁₀ and R₉ together form a C₃- or C₄-alkylene bridge or C₃- or C₄-alkenylene bridge, each of which may be substituted by halogen, C₁-C₃haloalkyl or by cyano;

R₁₁ is hydrogen, C₁-C₃alkyl, halogen or cyano;

R₁₂ is C₁-C₃haloalkyl;

R₁₂ and R₁₁ together form a C₃- or C₄-alkylene bridge or C₃- or C₄-alkenylene bridge;

R₁₃ is hydrogen, C₁-C₃alkyl or halogen;

R₁₃ and R₁₂ together form a C₃- or C₄-alkylene bridge or C₃- or C₄-alkenylene bridge;

R₁₄ is hydrogen, C₁-C₃alkyl, halogen, C₁-C₃haloalkyl, R₃₃O-, R₃₄S(O)_{n2}, R₃₅(R₃₆)N,

R₃₈(R₃₉)N-C(R₃₇)=N-, hydroxy, nitro or N≡C-S- ;

R₃₃ is C₁-C₃alkyl, C₁-C₃haloalkyl, C₂-C₄alkenyl, C₃- or C₄-alkynyl or C₁-C₅alkoxycarbonyl-C₁-C₄alkyl;

R₃₄ is C₁-C₄alkyl or C₁-C₄haloalkyl;

n₂ is 0, 1 or 2;

R₃₅ is hydrogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₃-C₆cycloalkyl, OHC- or C₁-C₄alkylcarbonyl;

R₃₆, R₃₇ and R₃₉ are each independently of the others hydrogen or C₁-C₄alkyl;

R₃₈ is C₁-C₄alkyl;

R₁₅ is hydrogen, C₁-C₄alkyl, halogen, C₁-C₄haloalkyl, C₂-C₄alkenyl, C₃-C₅haloalkenyl, C₃- or C₄-alkynyl, C₁-C₄alkoxy, C₁-C₄alkylcarbonyl, C₁-C₄haloalkylcarbonyl, C₂-C₄alkenylcarbonyl, C₂-C₄haloalkenylcarbonyl, C₂-C₄alkynylcarbonyl, C₂-C₄haloalkynylcarbonyl, C₁-C₄alkoxycarbonyl, C₁-C₄alkylcarbonyl, C₁-C₄alkylS(O)_{n3}, C₃- or C₄-alkynylS(O)_{n3}, OHC-, nitro, amino, cyano or $\text{N}\equiv\text{C}-\text{S}-$;

n₃ is 0, 1 or 2;

R₁₆ and R₁₇ are each independently of the other hydrogen, C₁-C₄alkyl, halogen, C₁-C₄haloalkyl or cyano;

R₁₈ and R₁₉ are each independently of the other hydrogen, methyl, halogen, hydroxy or =O;

R₂₀ and R₂₁ are each independently of the other hydrogen, C₁-C₄alkyl or C₁-C₄haloalkyl;

R₂₂ and R₂₃ are each independently of the other hydrogen, C₁-C₃alkyl, halogen or hydroxy;

R₂₄ and R₂₅ are each independently of the other hydrogen or C₁-C₄alkyl; or

R₂₄ and R₂₅ together form the group $\begin{array}{c} \text{R}_{40} \\ \diagup \\ \text{C} \\ \diagdown \\ \text{R}_{41} \end{array}$;

R₄₀ and R₄₁ are each independently of the other C₁-C₄alkyl; or

R₄₀ and R₄₁ together form a C₄- or C₅-alkylene bridge;

R₂₆ is hydrogen or C₁-C₃alkyl; or

R₂₆ together with R₂₅ forms a C₃-C₅alkylene bridge, which may be interrupted by oxygen and/or substituted by halogen, C₁-C₄alkyl, C₂-C₄alkenyl, C₁-C₃haloalkyl, C₁-C₃alkylcarbonyloxy, C₁-C₄alkoxycarbonyl, C₁-C₃alkylsulfonyloxy, hydroxy or by =O;

R₂₇, R₂₈, R₂₉ and R₃₀ are each independently of the others hydrogen, C₁-C₃alkyl, C₃- or C₄-alkenyl or C₃-C₅alkynyl; or

R₂₇ and R₂₈ together and/or R₂₉ and R₃₀ together in each case form a C₂-C₅alkylene bridge or C₃-C₅alkenylene bridge, each of which may be interrupted by oxygen, sulfur or -S(O)₂- and/or substituted by halogen, C₁-C₄alkyl, C₂-C₄alkenyl, C₁-C₃alkylcarbonyloxy, C₁-C₃alkylsulfonyloxy, hydroxy or by =O;

R_{31} is hydrogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_3 - or C_4 -alkenyl, C_3 - or C_4 -haloalkenyl or C_3 - or C_4 -alkynyl;

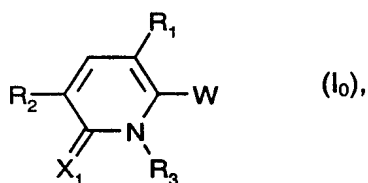
R_{32} is hydrogen, C_1 - C_4 alkyl, C_1 - C_3 alkoxy- C_1 - or - C_2 -alkyl, C_1 - C_4 haloalkyl, C_3 - or C_4 -alkenyl, C_3 - or C_4 -haloalkenyl or C_3 - or C_4 -alkynyl; or

R_{32} and R_{31} together form a C_3 - C_5 alkylene bridge; and

X_2 , X_3 , X_4 , X_5 , X_6 , X_7 , X_8 , X_9 , X_{10} , X_{11} , X_{12} , X_{13} , X_{14} and X_{15} are each independently of the others oxygen or sulfur,

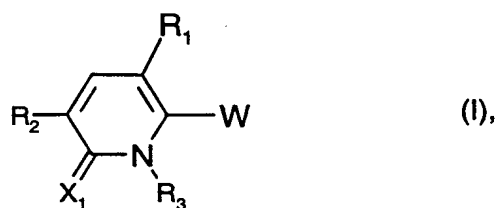
or an agrochemically acceptable salt or stereoisomer of such a compound of formula I.

2. A compound according to claim 1 of formula I₀



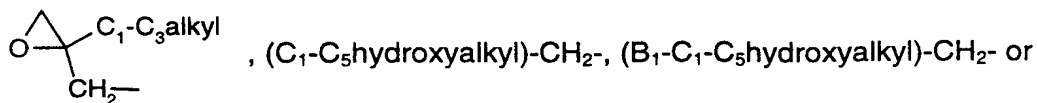
wherein R_1 is hydrogen, fluorine, chlorine, bromine or methyl; R_2 is methyl, halogen, hydroxy, nitro, amino or cyano; and R_3 , X_1 and W are as defined in claim 1.

3. A process for the preparation of a compound of formula I

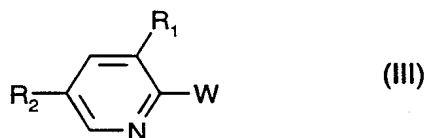


wherein R_1 , R_2 and W are as defined in claim 1; X_1 is O or S; R_3 is C_1 - C_6 alkoxy- C_1 - C_6 alkyl, C_1 - C_6 alkoxy- C_1 - C_6 alkoxy- C_1 - C_6 alkyl, C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_3 - C_6 alkynyl, C_2 - C_6 haloalkyl,

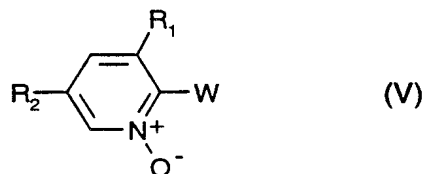
C_3 - C_6 haloalkenyl, C_3 - C_6 cycloalkyl, C_3 - C_6 halocycloalkyl, B_1 - C_1 - C_6 alkyl,  ,



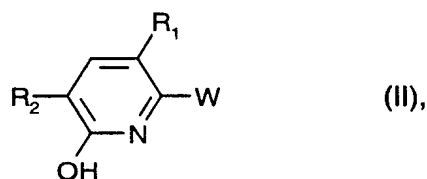
(B₁-C₁-C₅haloalkyl)-CH₂-; and B₁ is as defined in claim 1, which process comprises oxidising a compound of formula III



in a suitable solvent to form a compound of formula V



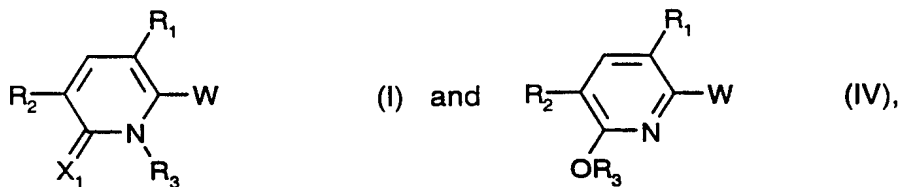
and then rearranging that compound in an inert solvent in the presence of an anhydride or in the presence of antimony pentachloride to yield, after aqueous working-up, a compound of formula II



the radicals R₁, R₂ and W in the compounds of formulae II, III and V being as defined, and then alkylating that compound in the presence of an inert solvent and a base with a compound of formula VI

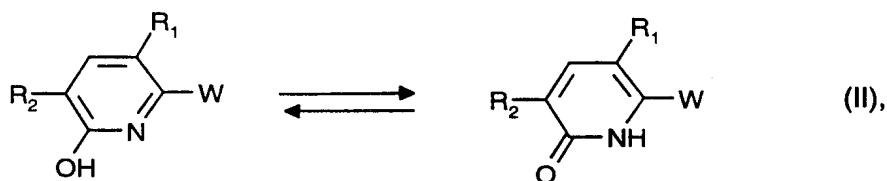


wherein R₃ is as defined and L is a leaving group, in a suitable inert solvent and a base to form the compounds of formulae I and IV



wherein R_1 , R_2 , R_3 and W are as defined and X_1 is O, and then, after the compound of formula I has been separated from the pyridol derivative of formula IV, optionally functionalising the pyridono derivative of formula I further in accordance with the definitions of X_1 and R_3 .

4. A compound of formula II



wherein R_1 , R_2 and W are as defined in claim 1.

5. A herbicidal and plant-growth-inhibiting composition, comprising a herbicidally effective amount of a compound of formula I on an inert carrier.

6. A herbicidal and plant-growth-inhibiting composition according to claim 5, comprising at least one further co-herbicide as additional component.

7. A method of controlling undesired plant growth, which method comprises applying a compound of formula I, or a composition comprising such a compound, in a herbicidally effective amount to plants or to the locus thereof.

8. Use of a composition according to claim 5 in the control of undesired plant growth.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 99/02313

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D401/04 A01N43/54 A01N43/58 A01N43/56 A01N43/50
A01N43/653

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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Date of the actual completion of the international search

2 August 1999

Date of mailing of the international search report

13/09/1999

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INTERNATIONAL SEARCH REPORT

International Application No

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